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* * * * * Welcome to STN International * * * * *

NEWS 1 Web Page for STN Seminar Schedule - N. America
NEWS 2 AUG 10 Time limit for inactive STN sessions doubles to 40
minutes
NEWS 3 AUG 18 COMPENDEX indexing changed for the Corporate Source
(CS) field
NEWS 4 AUG 24 ENCOMPLIT/ENCOMPLIT2 reloaded and enhanced
NEWS 5 AUG 24 CA/CAPplus enhanced with legal status information for
U.S. patents
NEWS 6 SEP 09 50 Millionth Unique Chemical Substance Recorded in
CAS REGISTRY
NEWS 7 SEP 11 WPIDS, WPINDEX, and WPIX now include Japanese FTERM
thesaurus

NEWS EXPRESS MAY 26 09 CURRENT WINDOWS VERSION IS V8.4,
AND CURRENT DISCOVER FILE IS DATED 06 APRIL 2009.

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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 12:19:39 ON 14 OCT 2009

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.22	0.22

FILE 'REGISTRY' ENTERED AT 12:20:09 ON 14 OCT 2009

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STRUCTURE FILE UPDATES: 12 OCT 2009 HIGHEST RN 1187916-70-6
DICTIONARY FILE UPDATES: 12 OCT 2009 HIGHEST RN 1187916-70-6

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 26, 2009.

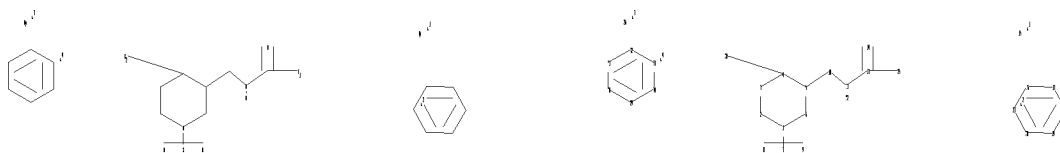
Please note that search-term pricing does apply when
conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>

Uploading C:\Program Files\STNEXP\Queries\10593950news1.str



chain nodes :
7 8 9 10 11 12 13 14 15 25 26 28
ring nodes :
1 2 3 4 5 6 16 17 18 19 20 21 29 30 31 32 33 34
chain bonds :
1-7 4-28 5-10 7-8 7-9 10-11 11-12 11-13 13-14 13-25
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 16-17 16-21 17-18 18-19 19-20 20-21 29-30
29-34 30-31 31-32 32-33 33-34
exact/norm bonds :
1-7 4-28 7-8 7-9 10-11 11-13 13-14 13-25
exact bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-10 11-12
normalized bonds :
16-17 16-21 17-18 18-19 19-20 20-21 29-30 29-34 30-31 31-32 32-33 33-34

G1:[*1],[*2]

G2:[*3],[*4]

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:Atom 16:Atom 17:Atom 18:Atom
19:Atom 20:Atom 21:Atom 25:CLASS 26:Atom 28:CLASS 29:Atom 30:Atom 31:Atom
32:Atom 33:Atom 34:Atom

Generic attributes :

26:

Number of Carbon Atoms : less than 7

Type of Ring System : Monocyclic

Element Count :

Node 26: Limited

N,N1-3

C,C3-5

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss sam

SAMPLE SEARCH INITIATED 12:20:36 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 338 TO ITERATE

100.0% PROCESSED 338 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

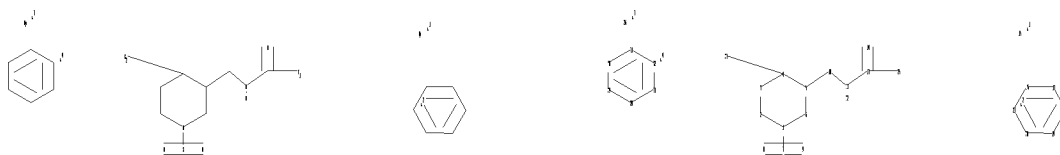
PROJECTED ITERATIONS: 5657 TO 7863

PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=>

Uploading C:\Program Files\STNEXP\Queries\10593950news2.str



```

chain nodes :
7  8  9  10 11 12 13 14 15 25 26 27
ring nodes :
1  2  3  4  5  6 16 17 18 19 20 21 28 29 30 31 32 33
chain bonds :
1-7  4-27 5-10 7-8 7-9 10-11 11-12 11-13 13-14 13-25
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6 16-17 16-21 17-18 18-19 19-20 20-21 28-29
28-33 29-30 30-31 31-32 32-33
exact/norm bonds :
1-7  4-27 7-8 7-9 10-11 11-13 13-14 13-25
exact bonds :
1-2  1-6  2-3  3-4  4-5  5-6 5-10 11-12
normalized bonds :
16-17 16-21 17-18 18-19 19-20 20-21 28-29 28-33 29-30 30-31 31-32 32-33

```

G1:[*1],[*2]

G2:[*3],[*4]

Match level :

```

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:Atom 16:Atom 17:Atom 18:Atom
19:Atom 20:Atom 21:Atom 25:CLASS 26:Atom 27:CLASS 28:Atom 29:Atom 30:Atom
31:Atom 32:Atom 33:Atom

```

L3 STRUCTURE UPLOADED

=> d 13

L3 HAS NO ANSWERS

L3 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s l3 sss sam

SAMPLE SEARCH INITIATED 12:22:28 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 338 TO ITERATE

100.0% PROCESSED 338 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

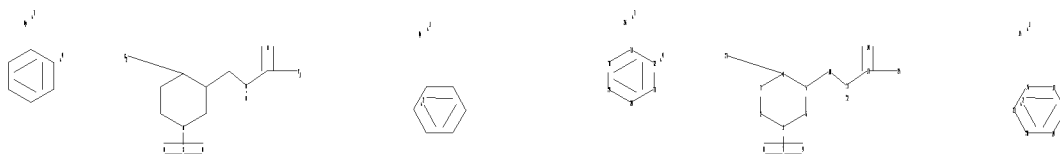
PROJECTED ITERATIONS: 5657 TO 7863

PROJECTED ANSWERS: 0 TO 0

L4 0 SEA SSS SAM L3

=>

Uploading C:\Program Files\STNEXP\Queries\10593950news3.str



chain nodes :

7 8 9 10 11 12 13 14 15 25 26 27

ring nodes :

1 2 3 4 5 6 16 17 18 19 20 21 28 29 30 31 32 33

chain bonds :

1-7 4-27 5-10 7-8 7-9 10-11 11-12 11-13 13-14 13-25

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 16-17 16-21 17-18 18-19 19-20 20-21 28-29
28-33 29-30 30-31 31-32 32-33

exact/norm bonds :

1-2 1-6 1-7 2-3 3-4 4-5 4-27 5-6 7-8 7-9 10-11 11-13 13-14 13-25

exact bonds :

5-10 11-12

normalized bonds :

16-17 16-21 17-18 18-19 19-20 20-21 28-29 28-33 29-30 30-31 31-32 32-33

G1:[*1],[*2]

G2:[*3],[*4]

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:Atom 16:Atom 17:Atom 18:Atom
19:Atom 20:Atom 21:Atom 25:CLASS 26:Atom 27:CLASS 28:Atom 29:Atom 30:Atom
31:Atom 32:Atom 33:Atom

L5 STRUCTURE UPLOADED

=> s l5 sss sam

SAMPLE SEARCH INITIATED 12:23:24 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 338 TO ITERATE

100.0% PROCESSED 338 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 5657 TO 7863

PROJECTED ANSWERS: 0 TO 0

L6 0 SEA SSS SAM L5

=> s l3 sss full

THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 185.40 U.S. DOLLARS

DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y

FULL SEARCH INITIATED 12:23:33 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 7162 TO ITERATE

100.0% PROCESSED 7162 ITERATIONS

1 ANSWERS

SEARCH TIME: 00.00.01

L7 1 SEA SSS FUL L3

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

188.28

188.50

FILE 'CAPLUS' ENTERED AT 12:23:40 ON 14 OCT 2009

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FILE COVERS 1907 - 14 Oct 2009 VOL 151 ISS 16
FILE LAST UPDATED: 13 Oct 2009 (20091013/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Aug 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Aug 2009

CAPLUS now includes complete International Patent Classification (IPC)
reclassification data for the third quarter of 2009.

CAS Information Use Policies apply and are available at:

<http://www.cas.org/legal/infopolicy.html>

This file contains CAS Registry Numbers for easy and accurate
substance identification.

=> s 17

L8 2 L7

=> d ibib abs hitstr 1-2

L8 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:793715 CAPLUS

DOCUMENT NUMBER: 147:189075

TITLE: 3,4,5-Substituted piperidines as β -secretase,
cathepsin D, plasmepsin II and HIV protease inhibitors
and their preparation and use in the treatment of
diseases

INVENTOR(S): Herold, Peter; Mah, Robert; Stutz, Stefan; Tschinke,
Vincenzo; Schumacher, Christoph; Stojanovic,
Aleksandar; Jotterand, Nathalie; Behnke, Dirk

PATENT ASSIGNEE(S): Speedel Experimenta AG, Switz.

SOURCE: U.S. Pat. Appl. Publ., 108 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

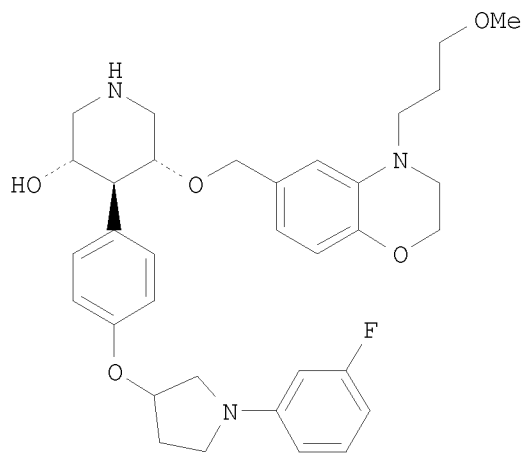
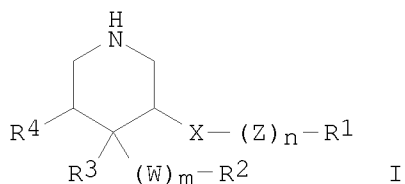
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20070167433	A1	20070719	US 2007-655108	20070119
EP 1816122	A2	20070808	EP 2007-100713	20070118
EP 1816122	A3	20070919		

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL,
BA, HR, MK, YU

PRIORITY APPLN. INFO.: CH 2006-88 A 20060119

OTHER SOURCE(S): MARPAT 147:189075

GI



AB Use of compds. of the general formula I and pharmaceutically acceptable salt thereof, as β -secretase, cathepsin D, plasmepsin II and/or HIV protease inhibitors. Compds. of formula I wherein R1 is (un)substituted heterocyclyl and (un)substituted aryl; R2 is Ph, naphthyl, acenaphthyl, pyridinyl, pyrimidinyl, etc.; R3 is H, OH, C1-8 alkoxy, and C1-8 alkenyloxy; R4 is (un)substituted C1-8 alkyl, (un)substituted C1-8 alkoxy-C1-8 alkyl, (mono/di)-C1-8 alkylamino-C1-8 alkyl, etc.; X is a bond, O, S, (un)substituted methylene, CHOH and derivs., etc.; W is O and S; Z is (un)substituted C1-8 alkylene, C2-8 alkenylene, O, N, S, etc.; n is 1 or n is 0 and 1 when X is OCO; m is 0 and 1; and their pharmaceutically acceptable salts, prodrugs, and stable non-radioactive isotopes thereof, are claimed. Example compound II was prepared by a multistep procedure (procedure given). All the invention compds. were evaluated for their β -secretase, cathepsin D, plasmepsin II and HIV protease inhibitory activity.

IT 912347-16-1P

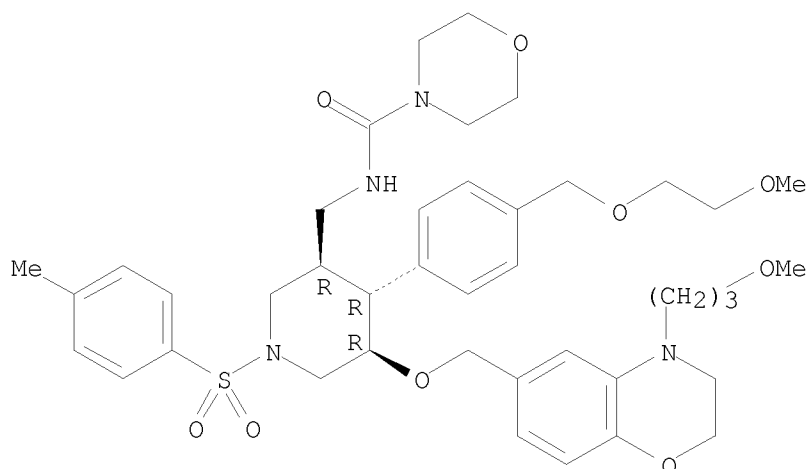
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of trisubstituted piperidines as β -secretase, cathepsin D, plasmepsin II and HIV-protease inhibitors useful in the treatment of diseases)

RN 912347-16-1 CAPLUS

CN 4-Morpholinecarboxamide, N-[[[(3R,4R,5R)-5-[[[3,4-dihydro-4-(3-methoxypropyl)-2H-1,4-benzoxazin-6-yl]methoxy]-4-[4-[(2-methoxyethoxy)methyl]phenyl]-1-[(4-methylphenyl)sulfonyl]-3-piperidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:1030984 CAPLUS

DOCUMENT NUMBER: 145:419157

TITLE: Preparation of 3,4,5-substituted piperidines as renin inhibitors

INVENTOR(S): Herold, Peter; Mah, Robert; Tschinke, Vincenzo; Jotterand, Nathalie; Behnke, Dirk; Stojanovic, Aleksandar; Stutz, Stefan; Quirmbach, Michael; Jelakovic, Stjepan

PATENT ASSIGNEE(S): Speedel Experimenta AG, Switz.

SOURCE: PCT Int. Appl., 128pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

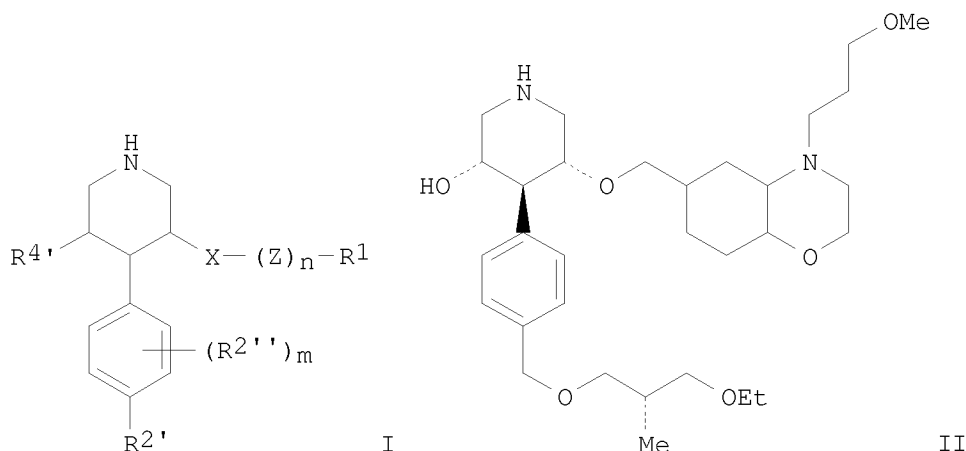
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006103275	A1	20061005	WO 2006-EP61193	20060330
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
CA 2601108	A1	20061005	CA 2006-2601108	20060330
EP 1863763	A1	20071212	EP 2006-743229	20060330
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
JP 2008535825	T	20080904	JP 2008-503524	20060330
CN 101151247	A	20080326	CN 2006-80010622	20070929
IN 2007DN08234	A	20071123	IN 2007-DN8234	20071025
PRIORITY APPLN. INFO.:			CH 2005-593	A 20050331
			CH 2006-86	A 20060119

OTHER SOURCE(S):
GI

CASREACT 145:419157; MARPAT 145:419157



AB The application relates to novel substituted piperidines of the general formula I (wherein R1 = (un)substituted aryl or heterocyclyl; R2' = C2-8alkenyloxy-C1-8alkyl, C1-8alkoxy-C1-8alkyl, etc.; R2'' = halogen; R4' = (un)substituted C1-8alkoxy, C1-8alkoxy-C1-8alkoxy, etc.; X = a bond, O or S; Z = C1-8alkylene, C2-8alkenylene, etc.; m = 0-2; and n = 0-1), to a process for the preparation thereof, and to the use of these compds. as medicines, especially as renin inhibitors. I can be used to prevent, delay the progression, or treat high blood pressure, heart failure, glaucoma, myocardial infarction, renal failure, restenoses or stroke in humans. For example, II was prepared in a 17 step synthesis starting from the reduction of Me 3-oxo-3,4-dihydro-2H-benzo[1,4]oxazine-6-carboxylate. In renin inhibition tests that measure reduction in angiotensin I formation in vitro, I showed inhibitory activity at minimal concns. of about 10⁻⁶ - 10⁻¹⁰ mol/l.

IT 912347-16-1P

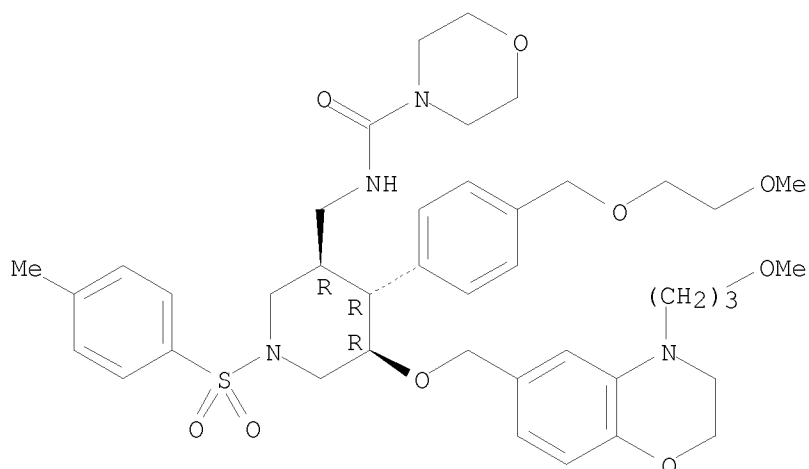
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 3,4,5-substituted piperidines as renin inhibitors)

RN 912347-16-1 CAPLUS

CN 4-Morpholinecarboxamide, N-[[[(3R,4R,5R)-5-[[[3,4-dihydro-4-(3-methoxypropyl)-2H-1,4-benzoxazin-6-yl]methoxy]-4-[4-[(2-methoxyethoxy)methyl]phenyl]-1-[(4-methylphenyl)sulfonyl]-3-piperidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD
(7 CITINGS)
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> loghold

LOGHOLD IS NOT A RECOGNIZED COMMAND

The previous command name entered was not recognized by the system.

For a list of commands available to you in the current file, enter

"HELP COMMANDS" at an arrow prompt (=>).

=> file reg

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	12.78	201.28
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-1.64	-1.64

FILE 'REGISTRY' ENTERED AT 12:25:23 ON 14 OCT 2009

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STRUCTURE FILE UPDATES: 12 OCT 2009 HIGHEST RN 1187916-70-6

DICTIONARY FILE UPDATES: 12 OCT 2009 HIGHEST RN 1187916-70-6

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 26, 2009.

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

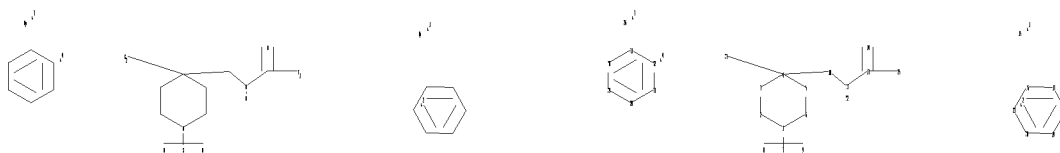
REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information

on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>

Uploading C:\Program Files\STNEXP\Queries\10593950news4.str



chain nodes :

7 8 9 10 11 12 13 14 15 25 26 27

ring nodes :

1 2 3 4 5 6 16 17 18 19 20 21 28 29 30 31 32 33

chain bonds :

1-7 4-27 4-10 7-8 7-9 10-11 11-12 11-13 13-14 13-25

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 16-17 16-21 17-18 18-19 19-20 20-21 28-29
28-33 29-30 30-31 31-32 32-33

exact/norm bonds :

1-7 4-27 7-8 7-9 10-11 11-13 13-14 13-25

exact bonds :

1-2 1-6 2-3 3-4 4-5 4-10 5-6 11-12

normalized bonds :

16-17 16-21 17-18 18-19 19-20 20-21 28-29 28-33 29-30 30-31 31-32 32-33

G1:[*1],[*2]

G2:[*3],[*4]

Match level :

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11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:Atom 16:Atom 17:Atom 18:Atom
19:Atom 20:Atom 21:Atom 25:CLASS 26:Atom 27:CLASS 28:Atom 29:Atom 30:Atom
31:Atom 32:Atom 33:Atom

L9 STRUCTURE UPLOADED

=> d 19

L9 HAS NO ANSWERS

L9 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s 19 sss sam

SAMPLE SEARCH INITIATED 12:25:47 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 695 TO ITERATE

100.0% PROCESSED 695 ITERATIONS

23 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 12319 TO 15481

PROJECTED ANSWERS: 173 TO 747

L10 23 SEA SSS SAM L9

=> s 19 sss full

THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 185.40 U.S. DOLLARS

DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y

FULL SEARCH INITIATED 12:25:53 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 14410 TO ITERATE

100.0% PROCESSED 14410 ITERATIONS

425 ANSWERS

SEARCH TIME: 00.00.01

L11 425 SEA SSS FUL L9

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

185.88

387.16

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

0.00

-1.64

FILE 'CAPLUS' ENTERED AT 12:25:58 ON 14 OCT 2009

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FILE COVERS 1907 - 14 Oct 2009 VOL 151 ISS 16

FILE LAST UPDATED: 13 Oct 2009 (20091013/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Aug 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Aug 2009

CAPLUS now includes complete International Patent Classification (IPC)
reclassification data for the third quarter of 2009.

CAS Information Use Policies apply and are available at:

<http://www.cas.org/legal/infopolicy.html>

This file contains CAS Registry Numbers for easy and accurate
substance identification.

=> s l11

L12 16 L11

=> d ibib abs hitstr 1-16

L12 ANSWER 1 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2009:420053 CAPLUS

DOCUMENT NUMBER: 150:554933

TITLE: Optimisation of a series of potent, selective and
orally bioavailable GlyT1 inhibitors

AUTHOR(S): Thomson, Joanne L.; Blackaby, Wesley P.; Jennings,
Andrew S. R.; Goodacre, Simon C.; Pike, Andrew;
Thomas, Steve; Brown, Terry A.; Smith, Alison; Pillai,
Gopalan; Street, Leslie J.; Lewis, Richard T.

CORPORATE SOURCE: Department of Medicinal Chemistry, Neuroscience
Research Centre, Merck Sharp and Dohme, Harlow, Essex,
CM20 2QR, UK

SOURCE: Bioorganic & Medicinal Chemistry Letters (2009),
19(8), 2235-2239

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 150:554933

AB A series of heterocyclic sulfonamides have been developed which are potent
and selective inhibitors of hGlyT1. SAR studies to optimize the in vitro
and in vivo properties are described. Optimization of the central
scaffold resulted in cyclohexane sulfones 28 and 29, which have good PK
properties and show promise for further development.

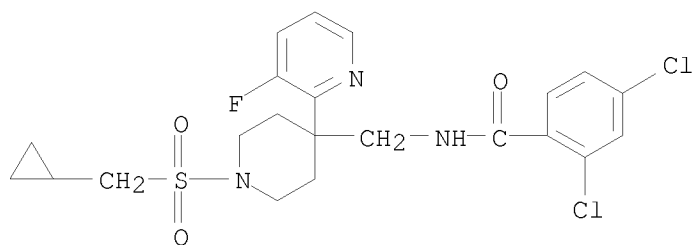
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1156439-27-8P 1156439-28-9P 1156439-29-0P
1156439-32-5P 1156439-34-7P

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); SPN
(Synthetic preparation); THU (Therapeutic use); BIOL (Biological study);
PREP (Preparation); USES (Uses)

(heterocyclic sulfonamides preparation and SAR as oral GlyT1 inhibitors)

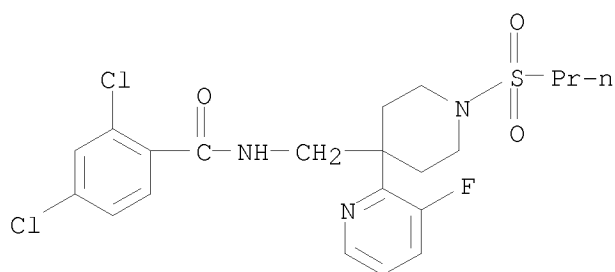
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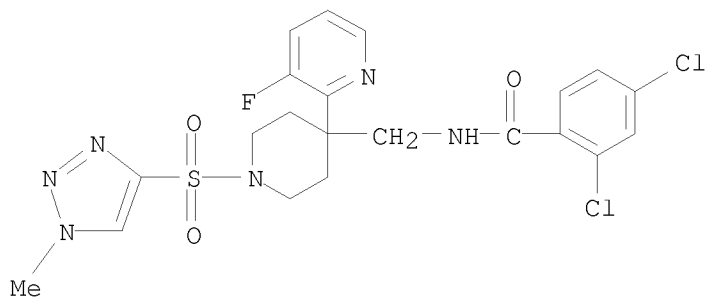
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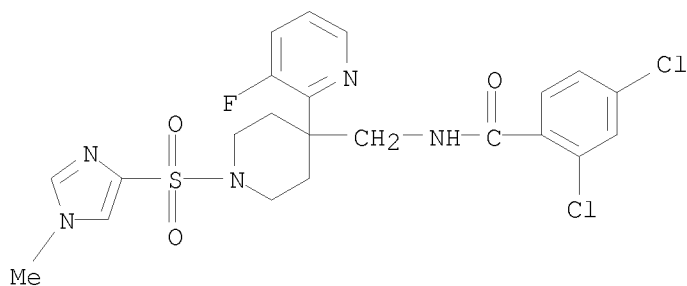
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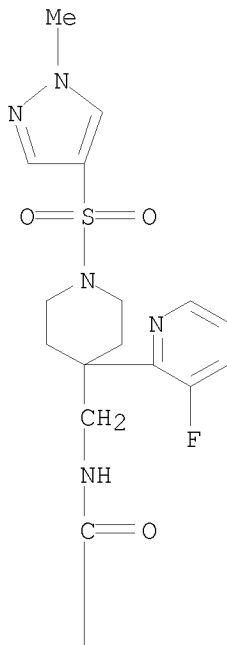
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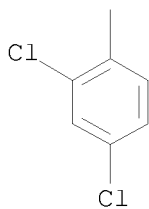


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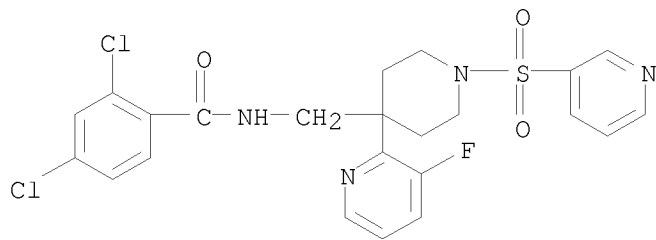
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PAGE 2-A

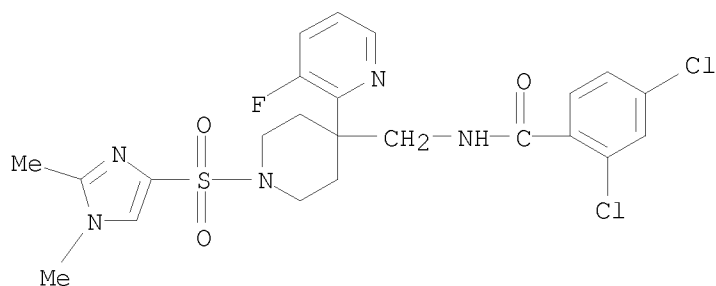


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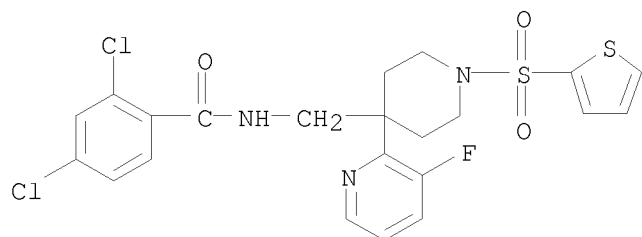
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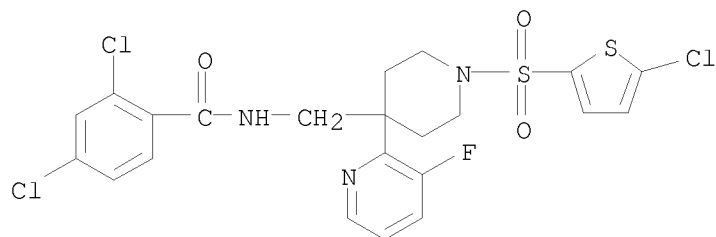
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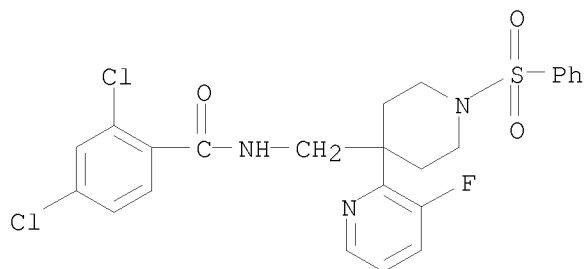
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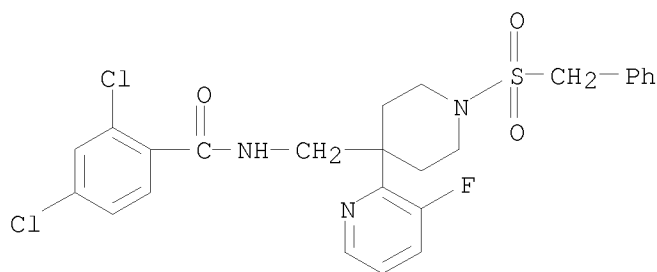


RN 1156439-32-5 CAPLUS

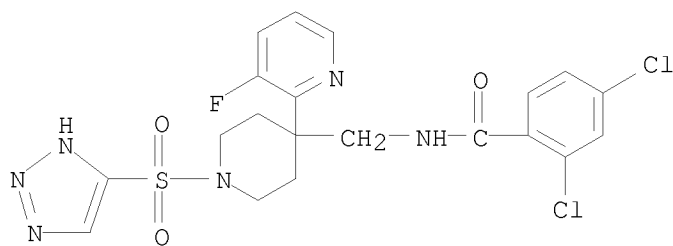
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RN 1156439-34-7 CAPLUS
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IT 895132-42-0
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (heterocyclic sulfonamides preparation and SAR as oral GlyT1 inhibitors)
 RN 895132-42-0 CAPLUS
 CN Benzamide, 2,4-dichloro-N-[[4-(3-fluoro-2-pyridinyl)-1-(1H-1,2,3-triazol-5-
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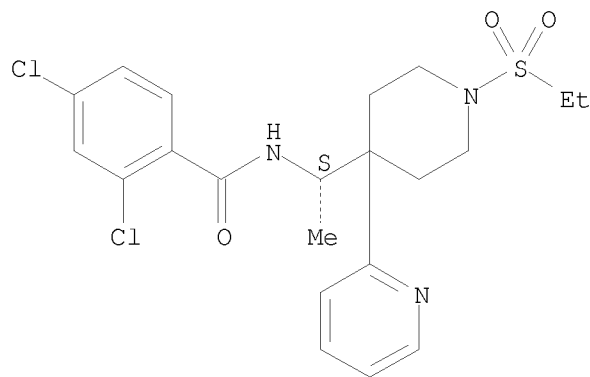


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 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

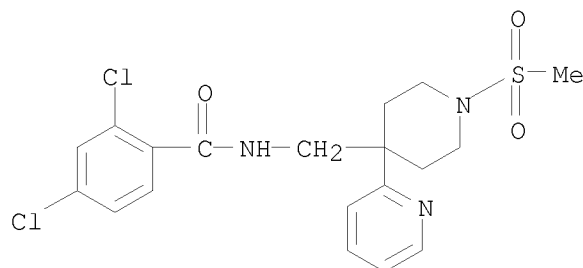
L12 ANSWER 2 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2009:221549 CAPLUS
 DOCUMENT NUMBER: 150:463324
 TITLE: Discovery of GlyT1 inhibitors with improved
 pharmacokinetic properties
 AUTHOR(S): Wolkenberg, Scott E.; Zhao, Zhijian; Wisnoski, David
 D.; Leister, William H.; O'Brien, Julie; Lemaire, Wei;
 Williams, David L., Jr.; Jacobson, Marlene A.; Sur,
 Cyrille; Kinney, Gene G.; Pettibone, Doug J.; Tiller,
 Philip R.; Smith, Sheri; Gibson, Christopher; Ma,

Bennett K.; Polsky-Fisher, Stacey L.; Lindsley, Craig W.; Hartman, George D.
 CORPORATE SOURCE: Department of Medicinal Chemistry, Technology Enabled Synthesis Group, Merck & Co., Inc., West Point, PA, 19486, USA
 SOURCE: Bioorganic & Medicinal Chemistry Letters (2009), 19(5), 1492-1495
 CODEN: BMCLE8; ISSN: 0960-894X
 PUBLISHER: Elsevier B.V.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Glycine transporter 1 (GlyT1) represents a novel target for the treatment of schizophrenia via the potentiation of glutamatergic NMDA receptors. The discovery of 4,4-disubstituted piperidine inhibitors of GlyT1 which exhibit improved pharmacokinetic properties, including oral bioavailability, is discussed.
 IT 866558-70-5P 866558-88-5P 866558-90-9P
 866558-91-0P 866558-92-1P 866558-97-6P
 1146663-94-6P 1146663-97-9P 1146663-99-1P
 1146664-03-0P 1146664-08-5P 1146664-10-9P
 RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of GlyT1 inhibitors with improved pharmacokinetics for schizophrenia treatment)
 RN 866558-70-5 CAPLUS
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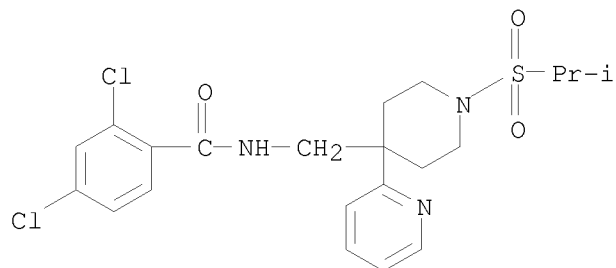
Absolute stereochemistry.



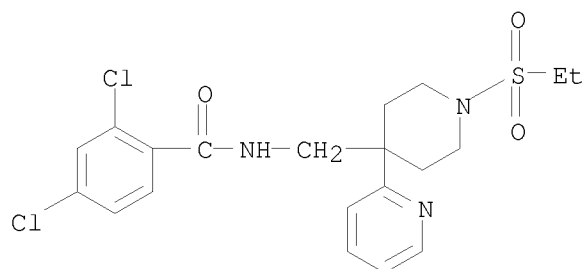
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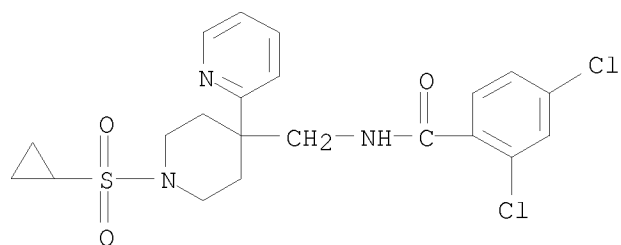
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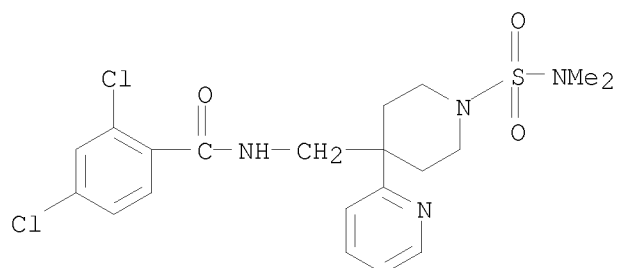
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RN 866558-92-1 CAPLUS
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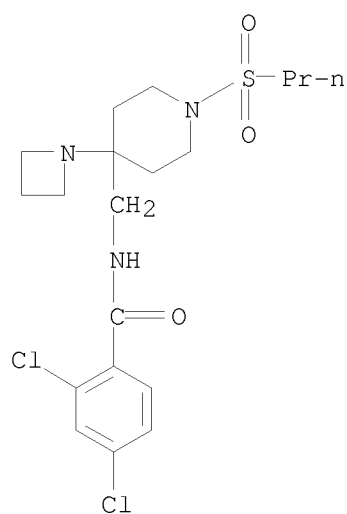


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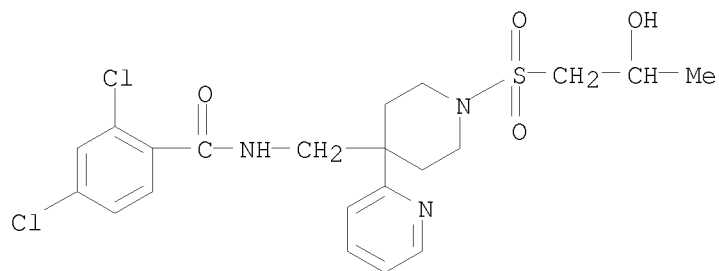
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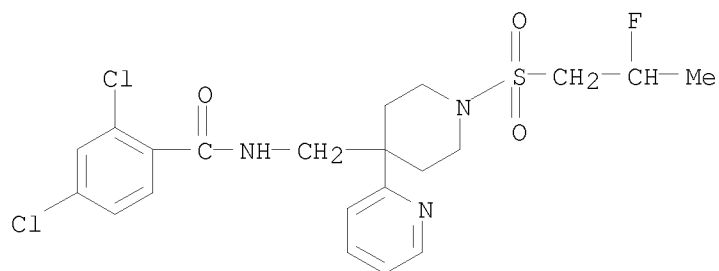
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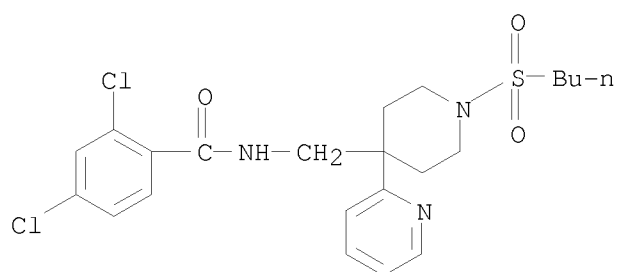
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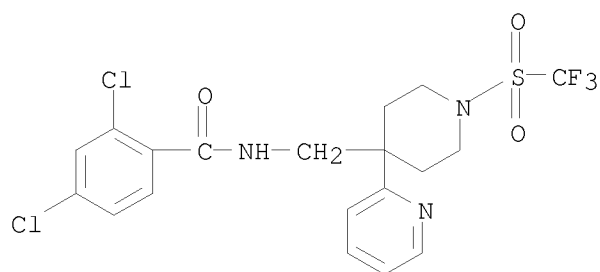
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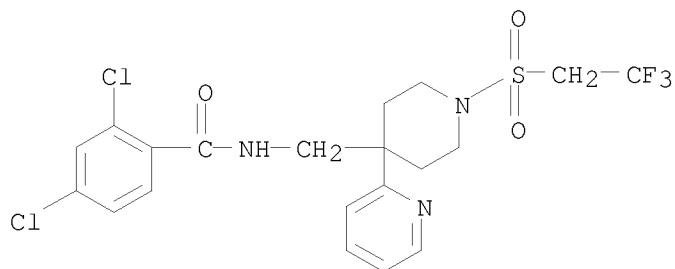
RN 1146664-08-5 CAPLUS

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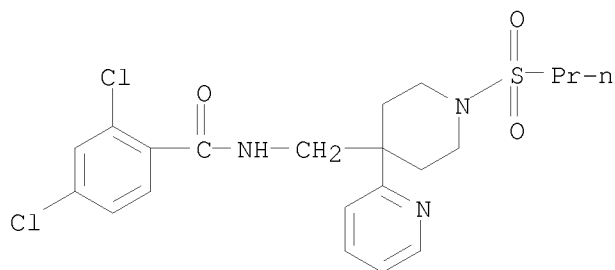


RN 1146664-10-9 CAPLUS

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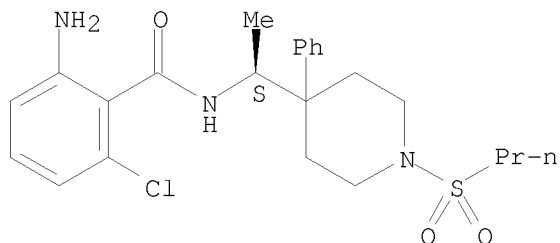


IT 866558-75-0
 RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (preparation of GlyT1 inhibitors with improved pharmacokinetics for schizophrenia treatment)
 RN 866558-75-0 CAPLUS
 CN Benzamide, 2,4-dichloro-N-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



IT 852029-50-6
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (preparation of GlyT1 inhibitors with improved pharmacokinetics for schizophrenia treatment)
 RN 852029-50-6 CAPLUS
 CN Benzamide, 2-amino-6-chloro-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
 REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER: 2009:221548 CAPLUS

DOCUMENT NUMBER: 150:463323

TITLE: Discovery of N-[[1-(propylsulfonyl)-4-pyridin-2-yl]piperidin-4-yl]methyl]benzamides as novel, selective and potent GlyT1 inhibitors

AUTHOR(S): Zhao, Zhijian; Leister, William H.; O'Brien, Julie A.; Lemaire, Wei; Williams, David L.; Jacobson, Marlene A.; Sur, Cyrille; Kinney, Gene G.; Pettibone, Doug J.; Tiller, Philip R.; Smith, Sheri; Hartman, George D.; Lindsley, Craig W.; Wolkenberg, Scott E.

CORPORATE SOURCE: Department of Medicinal Chemistry, Technology Enabled Synthesis Group, Merck & Co., Inc., West Point, PA, 19486, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2009), 19(5), 1488-1491

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Employing an iterative analog library approach, novel potent and selective glycine transporter 1 (GlyT1) inhibitors containing a 4-pyridin-2-ylpiperidine sulfonamide have been discovered. These inhibitors are devoid of time-dependent CYP inhibition activity and exhibit improved aqueous solubility

vs. the corresponding 4-phenylpiperidine analogs.

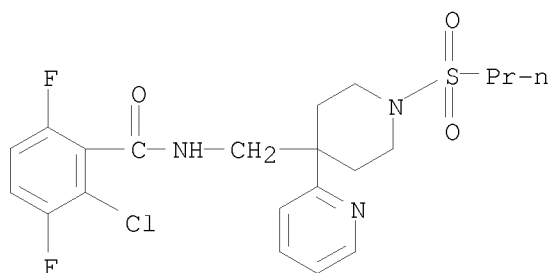
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	1146403-33-9P	1146403-35-1P	1146403-36-2P
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	1146403-75-9P	1146403-82-8P	1146403-84-0P
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RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(propylsulfonyl-pyridinyl-piperidinyl methyl-benzamides preparation as novel selective GlyT1 inhibitors)

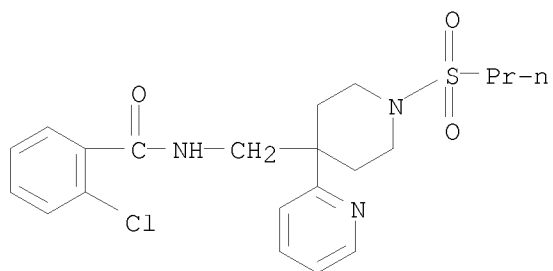
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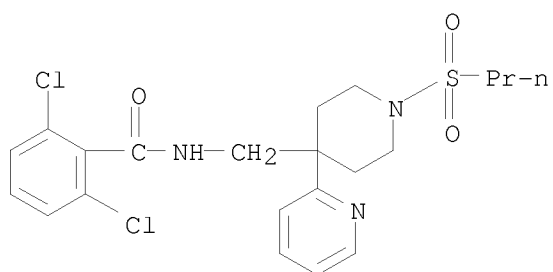
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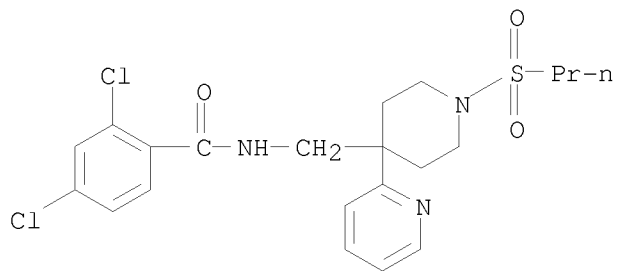
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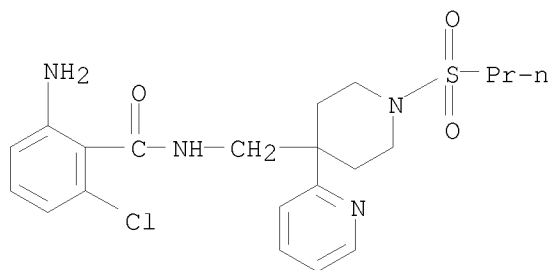
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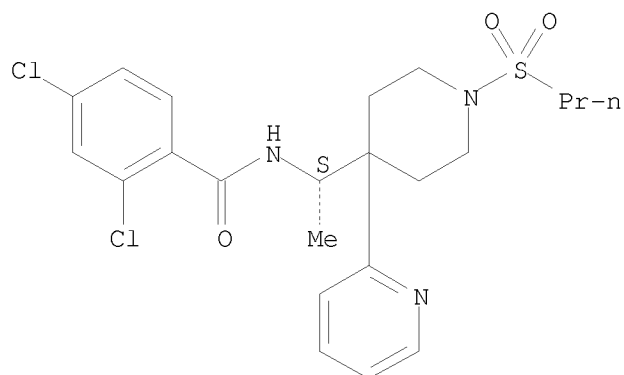
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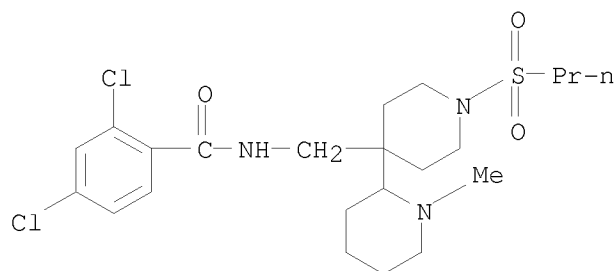


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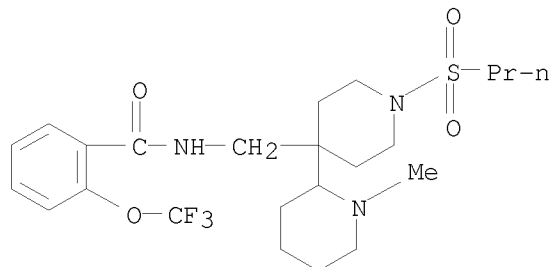
Absolute stereochemistry.



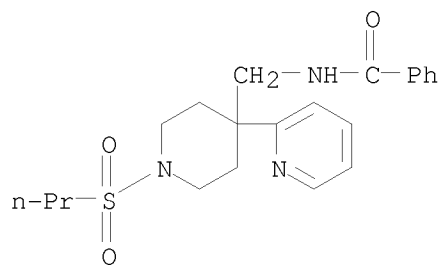
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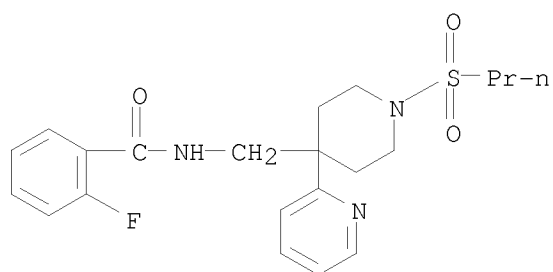
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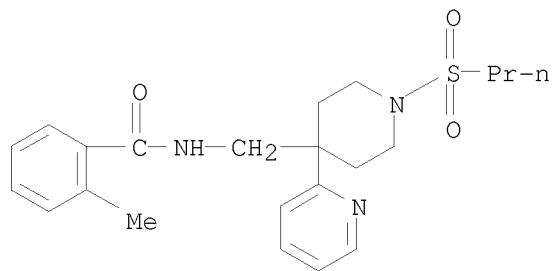
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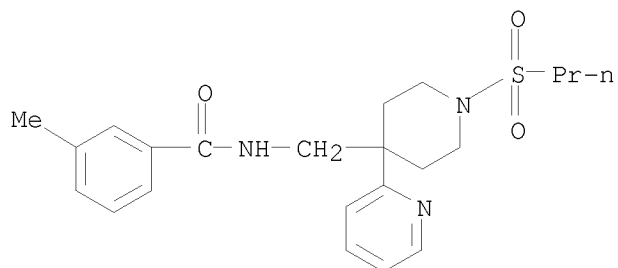
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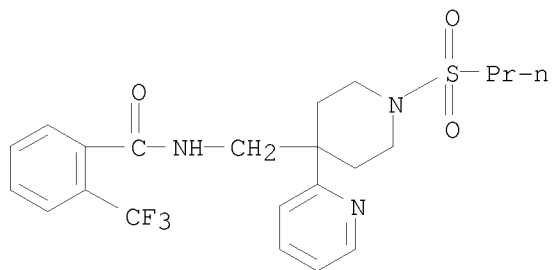
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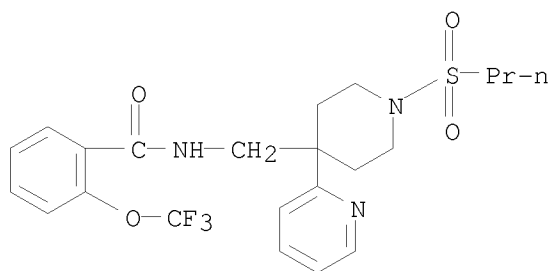
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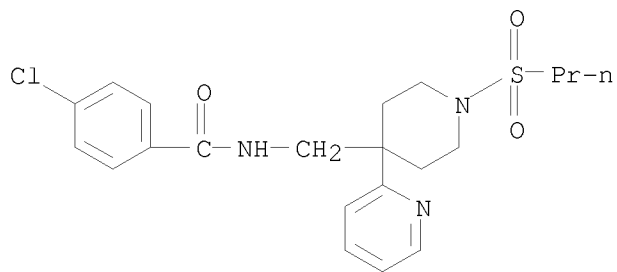
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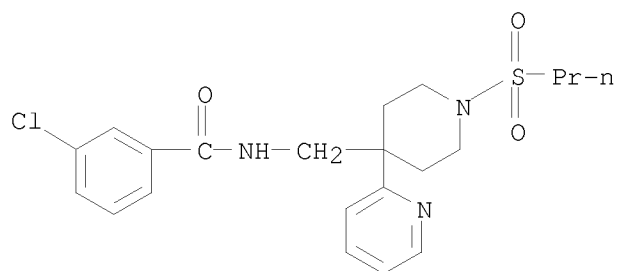
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RN 1146403-42-0 CAPLUS
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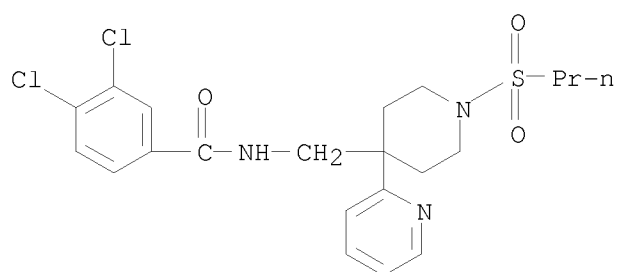


RN 1146403-43-1 CAPLUS
 CN Benzamide, 3-chloro-N-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 1146403-45-3 CAPLUS

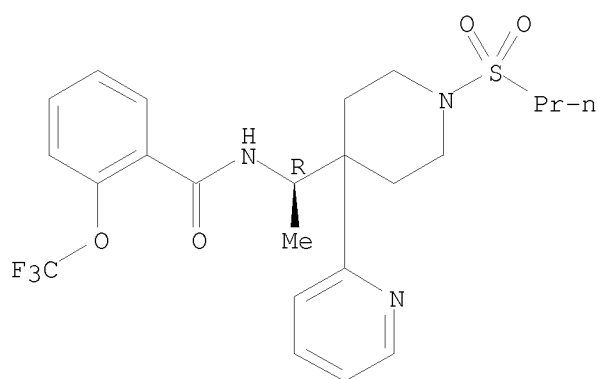
CN Benzamide, 3,4-dichloro-N-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 1146403-68-0 CAPLUS

CN Benzamide, N-[(1R)-1-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]-2-(trifluoromethoxy)- (CA INDEX NAME)

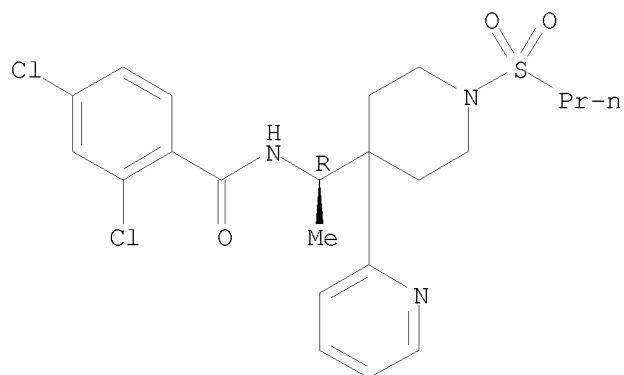
Absolute stereochemistry.



RN 1146403-69-1 CAPLUS

CN Benzamide, 2,4-dichloro-N-[(1R)-1-[[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

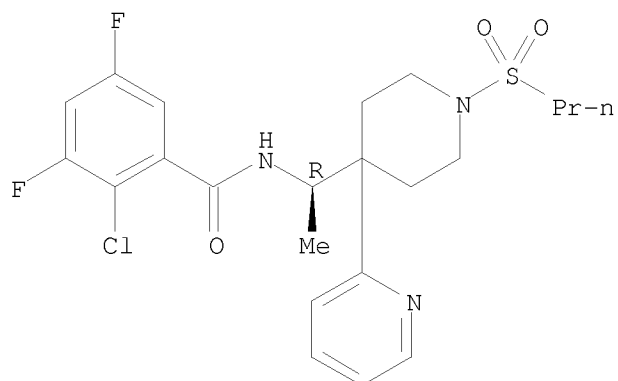
Absolute stereochemistry.



RN 1146403-71-5 CAPLUS

CN Benzamide, 2-chloro-3,5-difluoro-N-[(1R)-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

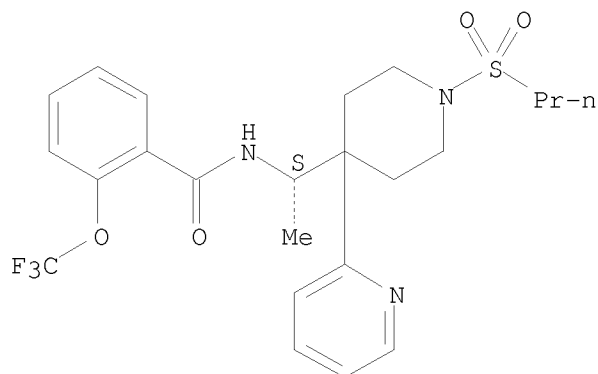
Absolute stereochemistry.



RN 1146403-74-8 CAPLUS

CN Benzamide, N-[(1S)-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]-2-(trifluoromethoxy)- (CA INDEX NAME)

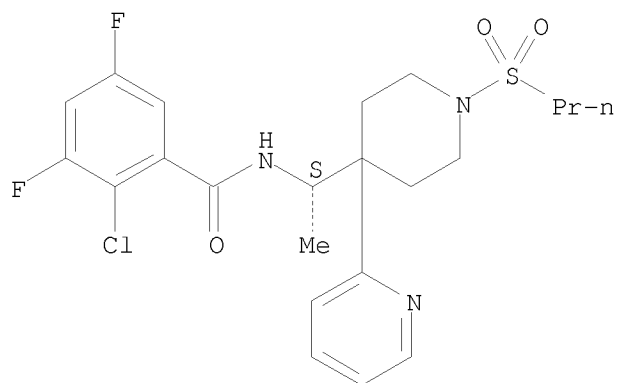
Absolute stereochemistry.



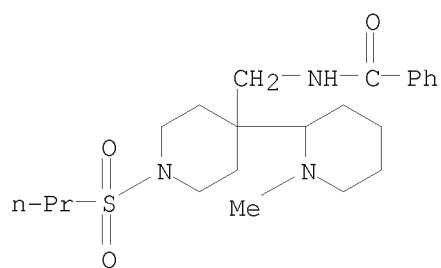
RN 1146403-75-9 CAPLUS

CN Benzamide, 2-chloro-3,5-difluoro-N-[(1S)-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

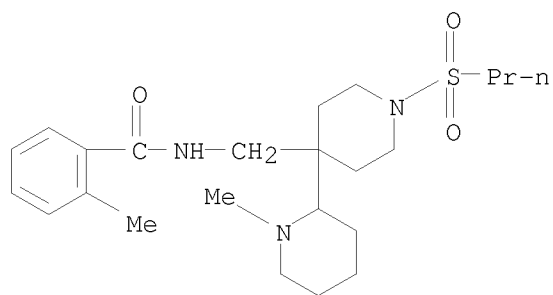
Absolute stereochemistry.



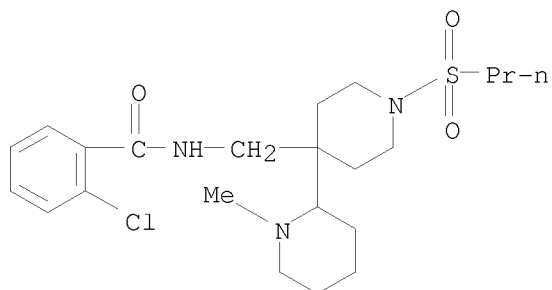
RN 1146403-82-8 CAPLUS
 CN Benzamide, N-[[1-methyl-1'-(propylsulfonyl) [2,4'-bipiperidin]-4'-yl]methyl]- (CA INDEX NAME)



RN 1146403-84-0 CAPLUS
 CN Benzamide, 2-methyl-N-[[1-methyl-1'-(propylsulfonyl) [2,4'-bipiperidin]-4'-yl]methyl]- (CA INDEX NAME)

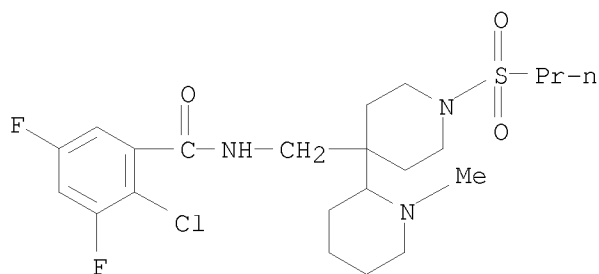


RN 1146403-86-2 CAPLUS
 CN Benzamide, 2-chloro-N-[[1-methyl-1'-(propylsulfonyl) [2,4'-bipiperidin]-4'-yl]methyl]- (CA INDEX NAME)



RN 1146403-87-3 CAPLUS

CN Benzamide, 2-chloro-3,5-difluoro-N-[[1-methyl-1'-(propylsulfonyl)[2,4'-bipiperidin]-4'-yl]methyl]- (CA INDEX NAME)

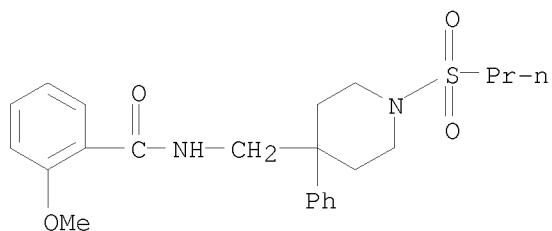


IT 266341-42-8 852029-50-6 866558-69-2
 866558-79-4 866558-87-4 866558-93-2
 866558-95-4 866559-00-4 1146403-53-3
 1146403-54-4 1146403-55-5 1146403-57-7
 1146403-59-9 1146403-60-2 1146403-61-3
 1146403-63-5

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (propylsulfonyl-pyridinyl-piperidinyl methyl-benzamides preparation as novel selective GlyT1 inhibitors)

RN 266341-42-8 CAPLUS

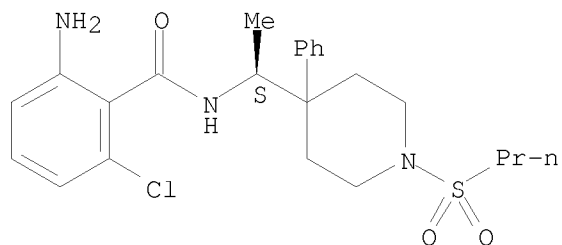
CN Benzamide, 2-methoxy-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 852029-50-6 CAPLUS

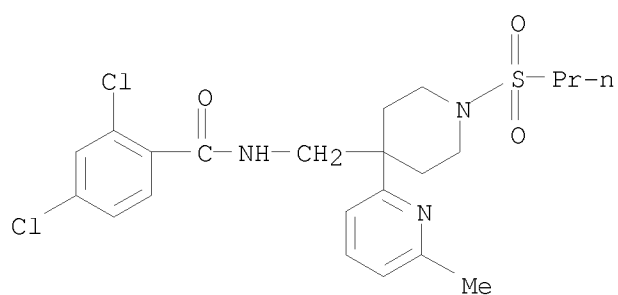
CN Benzamide, 2-amino-6-chloro-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.



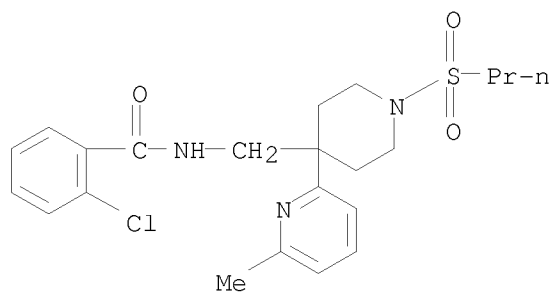
RN 866558-69-2 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



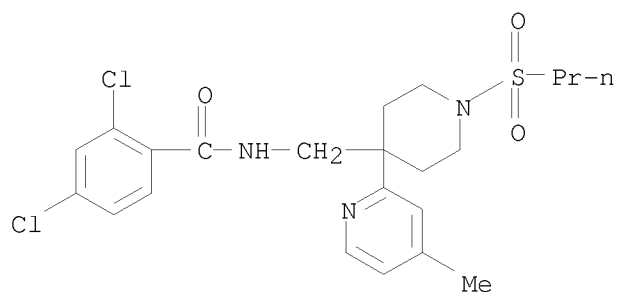
RN 866558-79-4 CAPLUS

CN Benzamide, 2-chloro-N-[[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

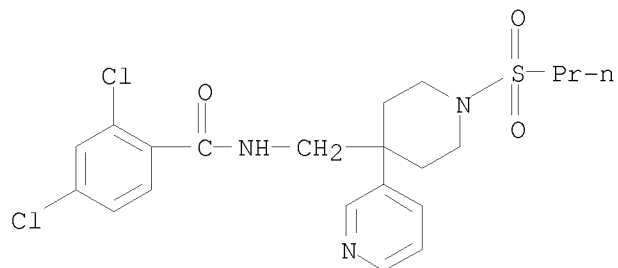


RN 866558-87-4 CAPLUS

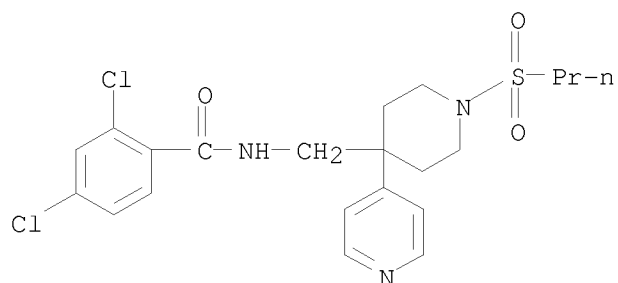
CN Benzamide, 2,4-dichloro-N-[[4-(4-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



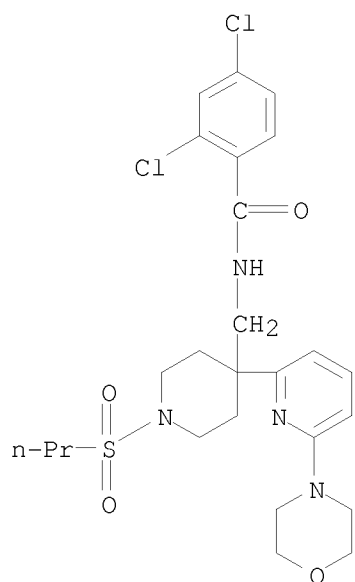
RN 866558-93-2 CAPLUS
 CN Benzamide, 2,4-dichloro-N-[[1-(propylsulfonyl)-4-(3-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



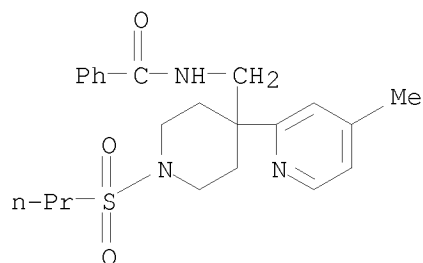
RN 866558-95-4 CAPLUS
 CN Benzamide, 2,4-dichloro-N-[[1-(propylsulfonyl)-4-(4-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



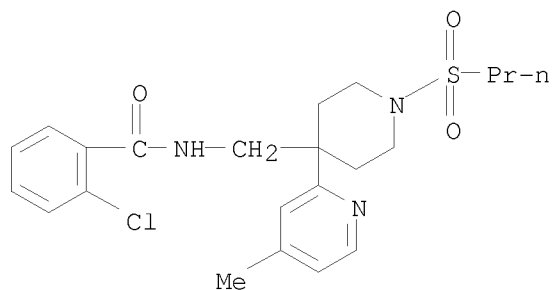
RN 866559-00-4 CAPLUS
 CN Benzamide, 2,4-dichloro-N-[[4-[6-(4-morpholinyl)-2-pyridinyl]-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



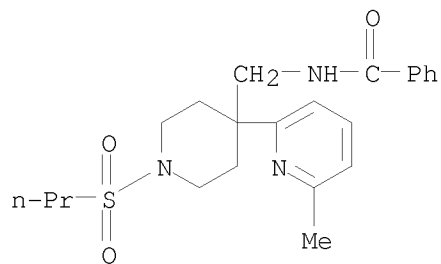
RN 1146403-53-3 CAPLUS
 CN Benzamide, N-[[4-(4-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



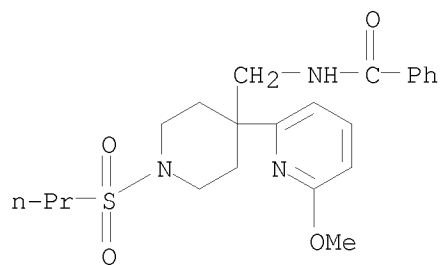
RN 1146403-54-4 CAPLUS
 CN Benzamide, 2-chloro-N-[[4-(4-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 1146403-55-5 CAPLUS
 CN Benzamide, N-[[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

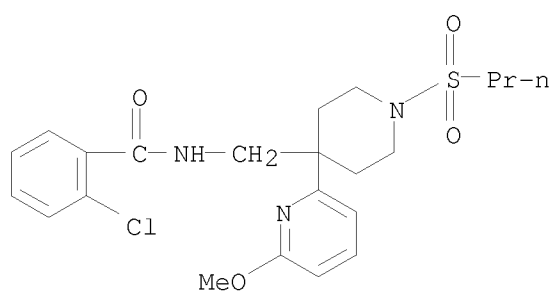


RN 1146403-57-7 CAPLUS
 CN Benzamide, N-[[4-(6-methoxy-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



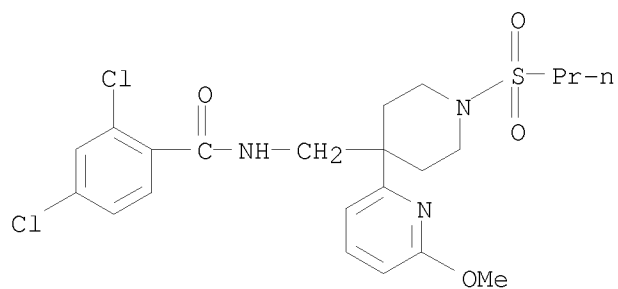
RN 1146403-59-9 CAPLUS

CN Benzamide, 2-chloro-N-[[4-(6-methoxy-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



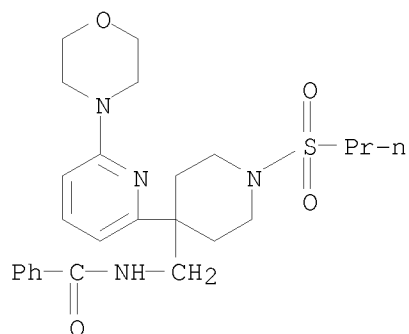
RN 1146403-60-2 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(6-methoxy-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

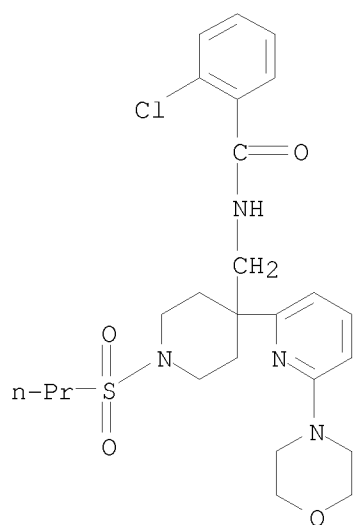


RN 1146403-61-3 CAPLUS

CN Benzamide, N-[[4-[6-(4-morpholinyl)-2-pyridinyl]-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



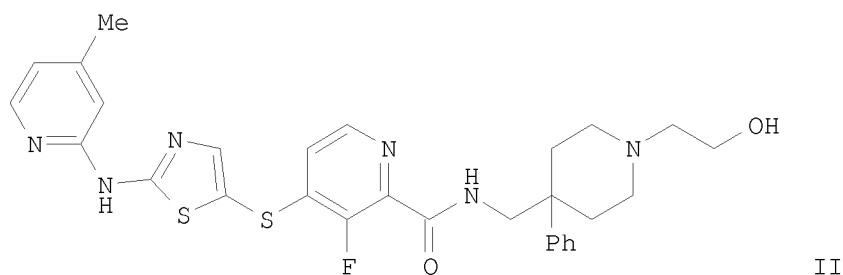
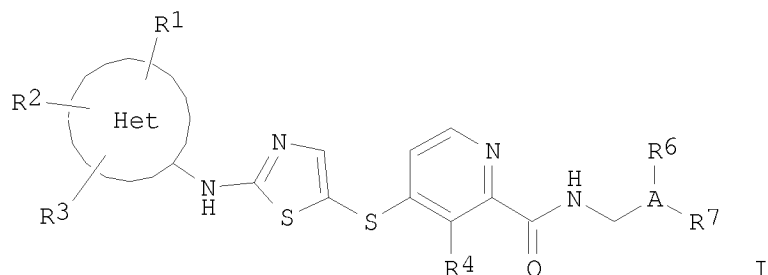
RN 1146403-63-5 CAPLUS
 CN Benzamide, 2-chloro-N-[[4-[6-(4-morpholinyl)-2-pyridinyl]-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
 REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 4 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2008:1245020 CAPLUS
 DOCUMENT NUMBER: 149:471466
 TITLE: Thiazole-pyridinecarboxamide derivatives as tyrosine kinase inhibitors and their preparation, pharmaceutical compositions and use in the treatment of cancers
 INVENTOR(S): Marinier, Anne; Dodier, Marco; Roy, Stephan; Zimmermann, Kurt; Sang, Xiaopeng; Wittman, Mark D.; Langley, David R.; Rajamani, Ramkumar
 PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
 SOURCE: PCT Int. Appl., 147pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008124757	A1	20081016	WO 2008-US59735	20080409
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRIORITY APPLN. INFO.:			US 2007-910841P	P 20070410
OTHER SOURCE(S):			MARPAT 149:471466	
GI				



AB The invention provides compds. of formula I and their pharmaceutically acceptable salts thereof. The compds. of formula I inhibit tyrosine kinase activity thereby making them useful as anticancer agents and for the treatment of Alzheimer's disease. Compds. of formula I wherein Het is heteroaryl and heterocyclyl; A is cycloalkyl and heterocyclyl; R1-R3 are independently H, (un)substituted alkyl, (un)substituted aryl, (un)substituted cycloalkyl, OH, hydroxyalkyl, (un)substituted alkoxy, halo, haloalkyl, etc.; R1R2 or R2R3 can be taken together to form (un)substituted carbocyclic or heterocyclic ring; R4 is H, (un)substituted alkyl, OH, CN and halo; R6 and R7 are independently H, (un)substituted alkyl, (un)substituted aryl, (un)substituted alkylidene, OH, hydroxyalkyl, (un)substituted alkoxy, halo, haloalkyl, haloalkoxy, etc.; and their pharmaceutically acceptable salts and stereoisomers thereof, are claimed. Example compound II was prepared by amidation of 3-fluoro-4-[2-(pyridin-2-ylamino)thiazol-5-ylthio]picolinic acid with 2-[4-(aminomethyl)-4-phenylpiperidin-1-yl]ethanol. All the invention compds. were evaluated for their tyrosine kinase inhibitory activity.

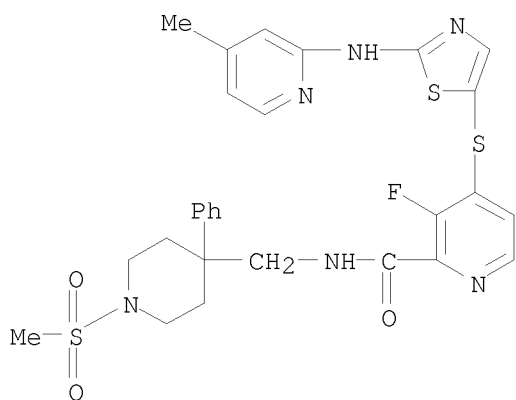
From the assay, it was determined that II exhibited an IC₅₀ value of 0.003μM against IGF-1R.

IT 1071485-75-0P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate and intermediate; preparation of thiazole-pyridinecarboxamide derivs. as tyrosine kinase inhibitors useful in the treatment of cancers)

RN 1071485-75-0 CAPLUS

CN 2-Pyridinecarboxamide, 3-fluoro-4-[[2-[(4-methyl-2-pyridinyl)amino]-5-thiazolyl]thio]-N-[[1-(methylsulfonyl)-4-phenyl-4-piperidinyl]methyl]- (CA INDEX NAME)



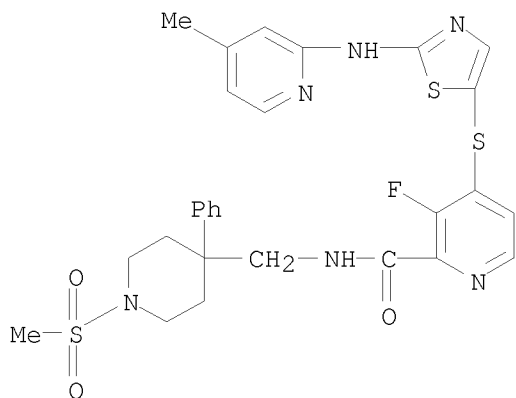
IT 1071484-06-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of thiazole-pyridinecarboxamide derivs. as tyrosine kinase inhibitors useful in the treatment of cancers)

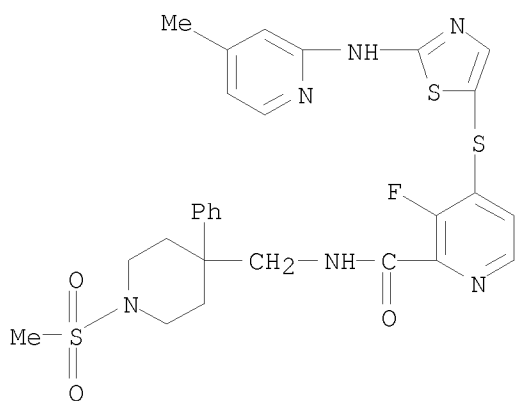
RN 1071484-06-4 CAPLUS

CN 2-Pyridinecarboxamide, 3-fluoro-4-[[2-[(4-methyl-2-pyridinyl)amino]-5-thiazolyl]thio]-N-[[1-(methylsulfonyl)-4-phenyl-4-piperidinyl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

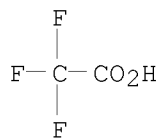


● HCl

IT 1071485-76-1P
 RL: PRPH (Prophetic); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prophetic intermediate; preparation of thiazole-pyridinecarboxamide derivs. as tyrosine kinase inhibitors useful in the treatment of cancers)
 RN 1071485-76-1 CAPLUS
 CN 2-Pyridinecarboxamide, 3-fluoro-4-[[2-[(4-methyl-2-pyridinyl)amino]-5-thiazolyl]thio]-N-[[1-(methanesulfonyl)-4-phenyl-4-piperidinyl]methyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)
 CM 1
 CRN 1071485-75-0
 CMF C28 H29 F N6 O3 S3



CM 2
 CRN 76-05-1
 CMF C2 H F3 O2



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 5 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2008:410465 CAPLUS
 DOCUMENT NUMBER: 148:403229
 TITLE: Preparation of thiadiazolone derivatives as TNF- α converting enzyme (TACE) inhibitors
 INVENTOR(S): Kikuchi, Shinichi; Matsui, Takuya; Inoue, Teruhiko; Terashita, Masakazu; Miura, Tomoya; Mimura, Takayuki; Fukui, Kenji; Takahashi, Akihiko
 PATENT ASSIGNEE(S): Japan Tobacco Inc., Japan
 SOURCE: PCT Int. Appl., 620pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent

LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2008038841	A1	20080403	WO 2007-JP69519	20070928
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRIORITY APPLN. INFO.:			JP 2006-270144	A 20060930
			US 2006-850626P	P 20061010
OTHER SOURCE(S):		MARPAT 148:403229		
GI				

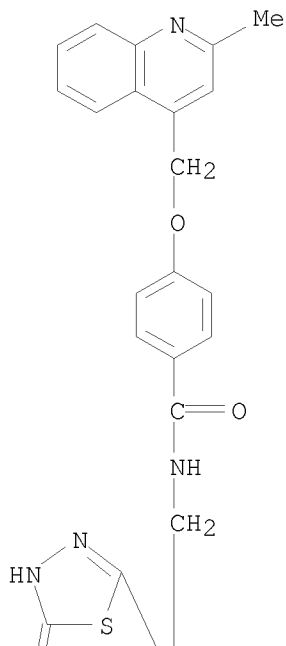
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; Raa1, Raa2 = H, C1-4 alkyl; na = 0-2; Lab1 = C(Rab5)(Rab6), Q, Q1, Q2, etc.; Rab5, Rab6 = H, C1-4 alkyl; Rab1-4 = H, halo, NO2, each (un)substituted OH, SH, NH2, CO2H, C1-4 alkyl, C3-12 carbocyclyl, or heterocyclyl, etc.; nb = 0-2; ring J1, J2 = each (un)substituted saturated monocyclic heterocyclic or nonarom. C3-8 carbocyclic ring; nc = 0,1; ring Lc = each (un)substituted C3-12 carbocyclic ring or saturated monocyclic heterocyclic ring; Lb = CON(Rba1)-Lba1, Lba6-N(Rba2)-CO-Lba2, S(O)N(Rba3), N(Rba4)S(O), COLba3, SO2Lba4, N(Rba5)Lba5; Rba1-5 = H, (un)substituted C1-4 alkyl, C1-7 alkanoyl, C6-12 aryl-C1-7 alkanoyl, C7-11 aroyl, etc.; Lba1-6 = a bond, (un)substituted C1-3 alkylene; Ld = (CHLd1)nd1-Xda-(CHLd2)nd2-Xdb; Xda, Xdb = a bond, O, (un)substituted NH, CO, CH(OH), S, S(O), SO2; nd1, nd2 = 0-2; Ld1, Ld2 = H, C1-4 alkyl; Ue = each (un)substituted C3-12 carbocyclyl, unsatd. fused heterocyclyl, C2-6 alkynyl; Rf = H, C1-4 alkyl] or pharmaceutically acceptable salts thereof or hydrates thereof are prepared These compds. are excellent in inhibiting activity against TNF- α converting enzyme (TACE), also called as α disintegrin and metalloproteinase 17 (ADAM17) which cleaves pro-TNF- α to release TNF- α , and are selective inhibitors of TACE (ADAM17) over ADAM10 and ADAM14. Therefore, they are inhibitors of the production of TNF- α and can be used as pharmaceutical agents effective for the prevention or treatment of diseases associated with TNF- α such as inflammatory disease, autoimmune disease, allergic disease, atopic disease, transplant rejection, graft-vs.-host disease, cardiovascular disease, reperfusion, infection, osteoporosis, diabetes, hyperlipidemia, Alzheimer's disease, neuropathy, organ fibrosis, rheumatoid arthritis, malignant tumor, and inflammatory bowel disease (IBD). Thus, 0.062 g 5-(2-aminoethyl)-3H-[1,3,4]thiadiazol-2-one hydrobromide, 0.040 g 4-(2-methylquinolin-4-ylmethoxy)benzoic, and 1.0 mL DMF were mixed, sequentially treated with 0.030 mL N-methylmorpholine, 0.042 g 1-hydroxybenzotriazole monohydrate, and 0.052 g 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride, and stirred at room temperature for 7 h to give 49% 4-(2-methylquinolin-4-ylmethoxy)-N-[2-(5-

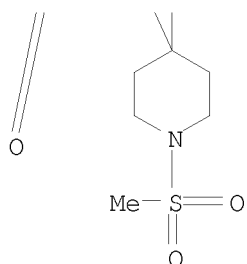
oxo-4,5-dihydro-[1,3,4]thiadiazol-2-yl)ethyl]benzamide (II). II and 4-(2-methylquinolin-4-ylmethoxy)-N-[(1R,2S)-2-(5-oxo-4,5-dihydro-[1,3,4]thiadiazol-2-yl)cyclohexyl]benzamide (III) in vitro showed IC50 of ≥ 0.01 - <10 and <0.01 μM , resp., against recombinant human TACE (ADAM17). III in vitro inhibited the LPS-stimulated production of TNF- α in THP-1 cells with IC50 of <1 μM .

IT 1016244-55-5P, N-[[1-Methylsulfonyl-4-(5-oxo-4,5-dihydro-[1,3,4]thiadiazol-2-yl)piperidin-4-yl]methyl]-4-[(2-methylquinolin-4-yl)methoxy]benzamide 1016245-34-3P,
N-[[1-Phenylsulfonyl-4-(5-oxo-4,5-dihydro-[1,3,4]thiadiazol-2-yl)piperidin-4-yl]methyl]-4-[(2-methylquinolin-4-yl)methoxy]benzamide
1016247-70-3P, 4-[(2-Methylquinolin-4-yl)methoxy]-N-[[4-(5-oxo-4,5-dihydro-[1,3,4]thiadiazol-2-yl)-1-sulfamoylpiperidin-4-yl]methyl]benzamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of thiadiazolone derivs. as TNF- α converting enzyme (TACE) inhibitors)
RN 1016244-55-5 CAPLUS
CN Benzamide, N-[[4-(4,5-dihydro-5-oxo-1,3,4-thiadiazol-2-yl)-1-(methylsulfonyl)-4-piperidinyl]methyl]-4-[(2-methyl-4-quinolinyl)methoxy]-
(CA INDEX NAME)

PAGE 1-A

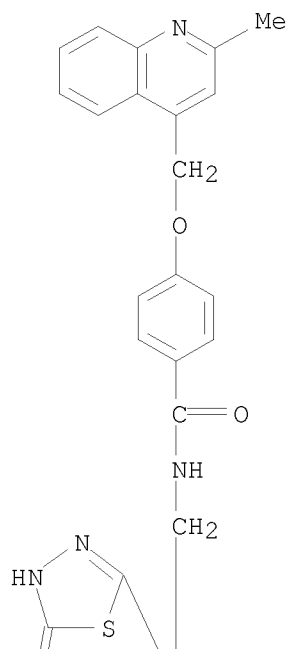


PAGE 2-A

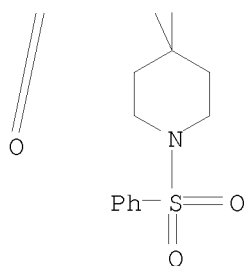


RN 1016245-34-3 CAPLUS
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 (CA INDEX NAME)

PAGE 1-A



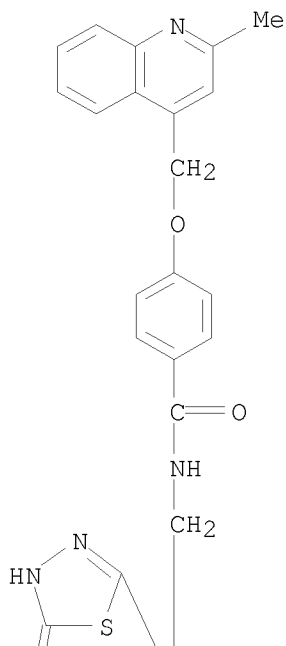
PAGE 2-A



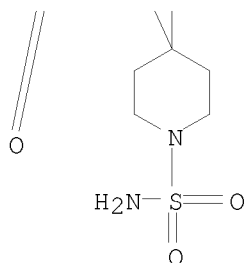
RN 1016247-70-3 CAPLUS
 CN Benzamide, N-[[1-(aminosulfonyl)-4-(4,5-dihydro-5-oxo-1,3,4-thiadiazol-2-

yl)-4-piperidinyl]methyl]-4-[(2-methyl-4-quinolinyl)methoxy]- (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 6 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:366161 CAPLUS

DOCUMENT NUMBER: 150:92867

TITLE: A novel radioligand for glycine transporter 1: characterization and use in autoradiographic and in vivo brain occupancy studies

AUTHOR(S): Zeng, Zhizhen; O'Brien, Julie A.; Lemaire, Wei; O'Malley, Stacey S.; Miller, Patricia J.; Zhao, Zhijian; Wallace, Michael A.; Raab, Conrad; Lindsley, Craig W.; Sur, Cyrille; Williams, David L.

CORPORATE SOURCE: Imaging, Merck Research Laboratories, West Point, PA, 19486, USA

SOURCE: Nuclear Medicine and Biology (2008), 35(3), 315-325
CODEN: NMBIEO; ISSN: 0969-8051

PUBLISHER: Elsevier Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English

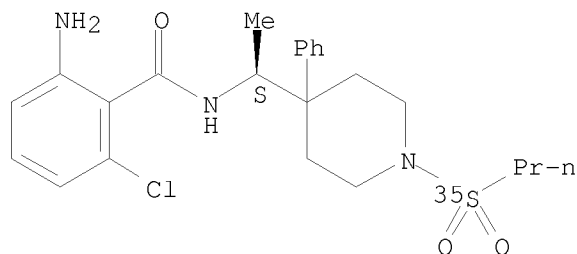
AB In an effort to develop agents to test the NMDA hypofunction hypothesis of schizophrenia, benchmark compds. from a program to discover potent, selective, competitive glycine transporter 1 (GlyT1) inhibitors were radiolabeled in order to further study the detailed pharmacol. of these inhibitors and the distribution of GlyT1 in brain. We here report the in vitro characterization of [35S](S)-2-amino-4-chloro-N-(1-(4-phenyl-1-(propylsulfonyl)piperidin-4-yl)ethyl)benzamide ([35S]ACPPB), a radiotracer developed from a potent and selective non-sarcosine-derived GlyT1 inhibitor. Its use in autoradiog. to localize (S)-2-amino-6-chloro-N-(1-(4-phenyl-1-(propylsulfonyl)piperidin-4-yl)ethyl)benzamide (ACPPB) binding sites in rat and rhesus brain and for in vivo occupancy assays of competitive GlyT1 inhibitors was studied. Functional potencies of unlabeled compds. were characterized by [14C]glycine uptake into JAR (human placental choriocarcinoma) cells and synaptosomes. Radioligand binding studies were performed with tissue homogenates. Autoradiog. studies were performed on tissue slices. Results showed that ACPPB is a potent ($K_d=1.9$ nM), selective, GlyT1 inhibitor that, when radiolabeled with [35S], is a well-behaved radioligand with low non-displaceable binding. Autoradiog. studies of rat and rhesus brain slices with this ligand showed that specific binding sites were plentiful and non-homogeneously distributed, with high levels of binding in the brainstem, cerebellar white matter, thalamus, cortical white matter and spinal cord gray matter. In vivo studies demonstrate displaceable binding of [35S]ACPPB in rat brain tissues following iv administration of this radioligand. This is the first report of detailed anatomical localization of GlyT1 using direct radioligand binding, and the first demonstration that an in vivo occupancy assay is feasible, suggesting that it may also be feasible to develop positron emission tomog. tracers for GlyT1.

IT 1095416-16-2
RL: ARU (Analytical role, unclassified); BUU (Biological use, unclassified); DGN (Diagnostic use); PKT (Pharmacokinetics); ANST (Analytical study); BIOL (Biological study); USES (Uses)
(novel radioligand for glycine transporter 1 and distribution of GlyT1 in brain)

RN 1095416-16-2 CAPLUS

CN Benzamide, 2-amino-6-chloro-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl-35S)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

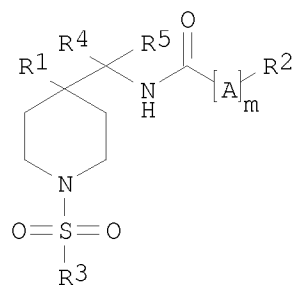
REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 7 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN

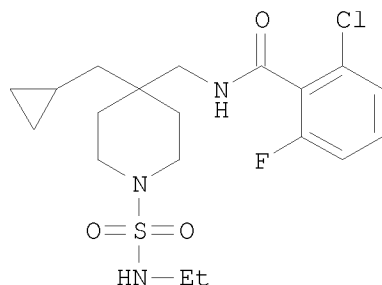
ACCESSION NUMBER: 2007:509742 CAPLUS

DOCUMENT NUMBER: 146:500900
 TITLE: Preparation of piperidine glycine transporter inhibitors
 INVENTOR(S): Hallett, David; Lindsley, Craig W.; Naylor, Elizabeth M.; Zhao, Zhijian; Theberge, Cory R.; Wolkenberg, Scott E.; Nolt, Brad M.
 PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Merck Sharp & Dohme Limited
 SOURCE: PCT Int. Appl., 85pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007053400	A2	20070510	WO 2006-US41699	20061027
WO 2007053400	A3	20070920		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA AU 2006309050 A1 20070510 AU 2006-309050 20061027 CA 2627177 A1 20070510 CA 2006-2627177 20061027 EP 1942893 A2 20080716 EP 2006-826685 20061027 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR JP 2009513653 T 20090402 JP 2008-537924 20061027 PRIORITY APPLN. INFO.: US 2005-731010P P 20051028 WO 2006-US41699 W 20061027 OTHER SOURCE(S): MARPAT 146:500900 GI				



I



II

AB The title compds. I [R1 = (CH2)_nR1a (wherein n = 0-6; R1a = (un)substituted alkyl, cycloalkyl, piperidinyl, etc.); R2 = (un)substituted Ph, heterocyclyl, cycloalkyl, etc.; R3 = (un)substituted alkyl, cycloalkyl, alkylcycloalkyl, etc.; R4, R5 = H, alkyl; or R4 and R5 taken together form a cycloalkyl ring; A = O, NR10 (R10 = H, alkyl, cycloalkyl, etc.); m = 0 or 1] that inhibit the glycine transporter GlyT1 and which are useful in the treatment of neurol. and psychiatric disorders

associated with glycinergic or glutamatergic neurotransmission dysfunction and diseases in which the glycine transporter GlyT1 is involved, were prepared E.g., a multi-step synthesis of II, starting from tert-Bu 4-cyanopiperidine-1-carboxylate and cyclopropylmethyl bromide, was given. The exemplified compds. I had activity in inhibiting specific uptake of [¹⁴C]glycine, generally with an IC₅₀ value of less than about 10 μM. Pharmaceutical composition comprising the compound I is disclosed.

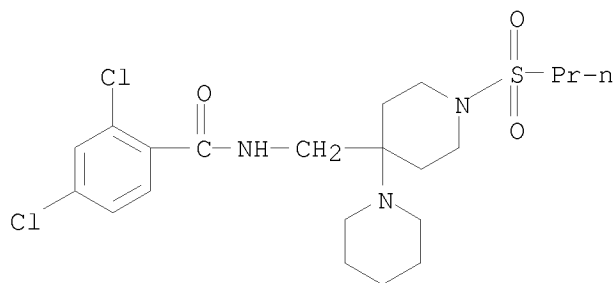
IT 936481-32-2P 936481-37-7P 936481-38-8P
 936481-39-9P 936481-40-2P 936481-41-3P
 936481-42-4P 936481-43-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of piperidine glycine transporter inhibitors)

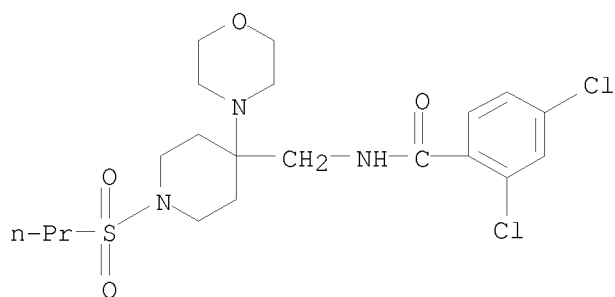
RN 936481-32-2 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1'-(propylsulfonyl)[1,4'-bipiperidin]-4'-yl]methyl]- (CA INDEX NAME)



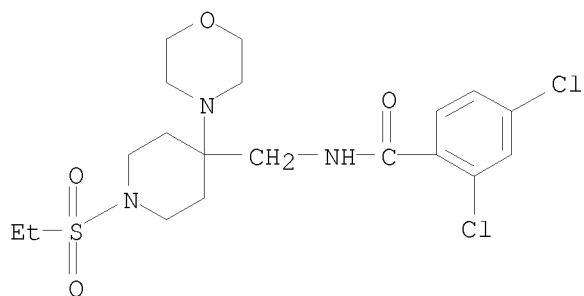
RN 936481-37-7 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(4-morpholinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



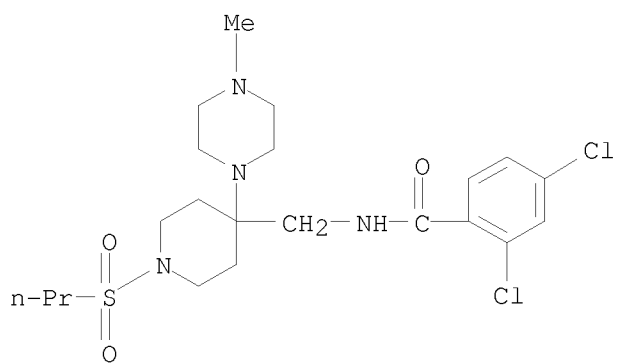
RN 936481-38-8 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-(ethylsulfonyl)-4-(4-morpholinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



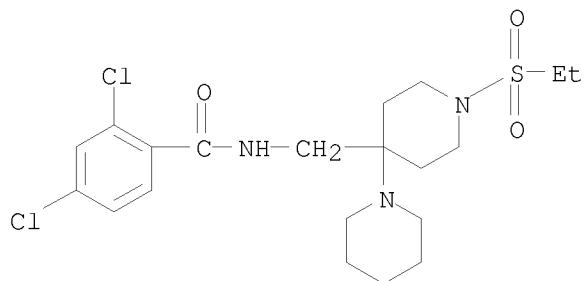
RN 936481-39-9 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(4-methyl-1-piperazinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



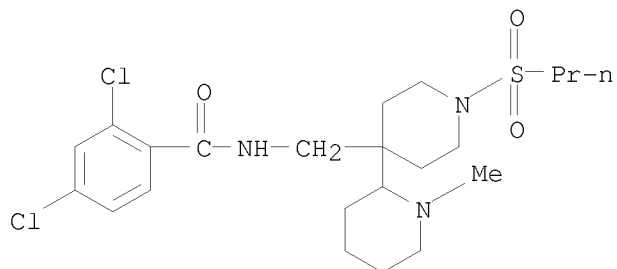
RN 936481-40-2 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1'-(ethylsulfonyl)[1,4'-bipiperidin]-4'-yl]methyl]- (CA INDEX NAME)



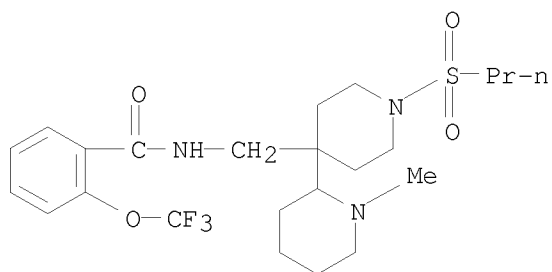
RN 936481-41-3 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-methyl-1'-(propylsulfonyl)[2,4'-bipiperidin]-4'-yl]methyl]- (CA INDEX NAME)



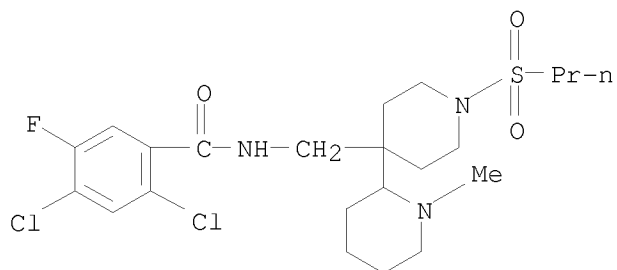
RN 936481-42-4 CAPLUS

CN Benzamide, N-[[1-methyl-1'-(propylsulfonyl)[2,4'-bipiperidin]-4'-yl]methyl]-2-(trifluoromethoxy)- (CA INDEX NAME)



RN 936481-43-5 CAPLUS

CN Benzamide, 2,4-dichloro-5-fluoro-N-[[1-methyl-1'-(propylsulfonyl)[2,4'-bipiperidin]-4'-yl]methyl]- (CA INDEX NAME)



L12 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:410347 CAPLUS

DOCUMENT NUMBER: 146:421847

TITLE: Preparation of radiolabeled benzoic acid
piperidinylalkylamide GlyT1 glycine transporter
inhibitors for diagnostic imaging

INVENTOR(S): Burns, H. Donald; Hamill, Terence G.; Lindsley, Craig
W.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 30 pp.

CODEN: PIXXD2

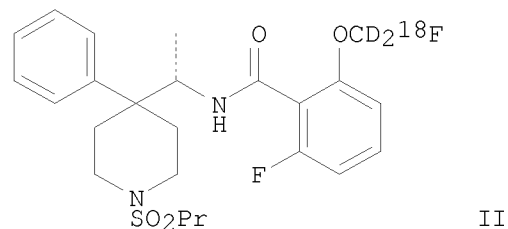
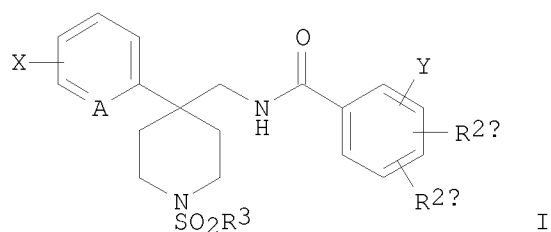
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2007041025	A2	20070412	WO 2006-US36989	20060925
WO 2007041025	A3	20070830		
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RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
EP 1942733	A2	20080716	EP 2006-815187	20060925
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR			
PRIORITY APPLN. INFO.:			US 2005-721782P	P 20050929
			WO 2006-US36989	W 20060925
OTHER SOURCE(S):	CASREACT 146:421847; MARPAT 146:421847			
GI				



AB Title compds. (I; A = N, CH; R2a, R2b = H, F, Cl, Br; R3 = alkyl, fluoroalkyl; R4 = H, alkyl; 1 of X, Y = ¹⁸F, O11CH3, OCD218F, the other = H), were prepared Thus, title compound (II) was prepared by treatment of the corresponding phenol derivative with a product prepared from [¹⁸F]F⁻ and CD2Br2 in the presence of Cs2CO3 in DMF at 100°.

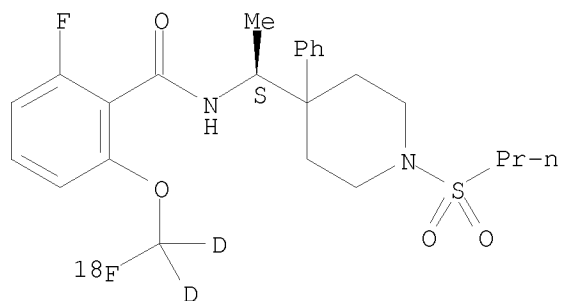
IT 934200-18-7P 934200-19-8P 934200-20-1P
934200-21-2P
RL: DGN (Diagnostic use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of radiolabeled benzoic acid piperidinylalkylamide GlyT1 glycine transporter inhibitors for diagnostic imaging)

RN 934200-18-7 CAPLUS

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(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

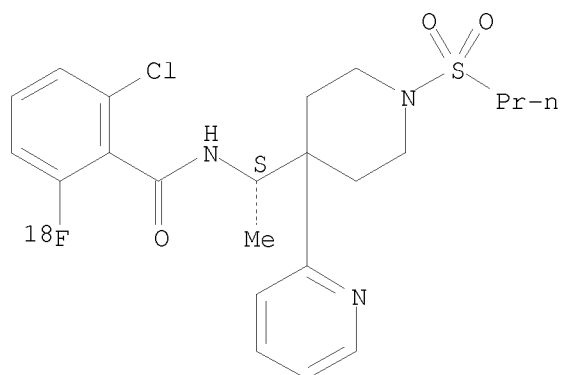
Absolute stereochemistry.



RN 934200-19-8 CAPLUS

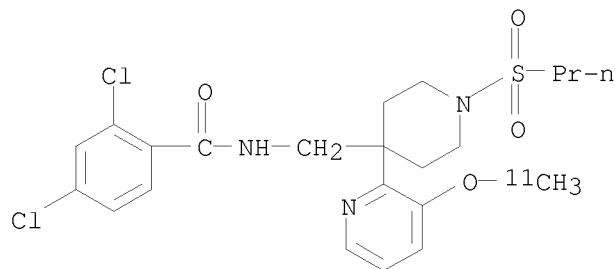
CN Benzamide, 2-chloro-6-(fluoro-18F)-N-[(1S)-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.



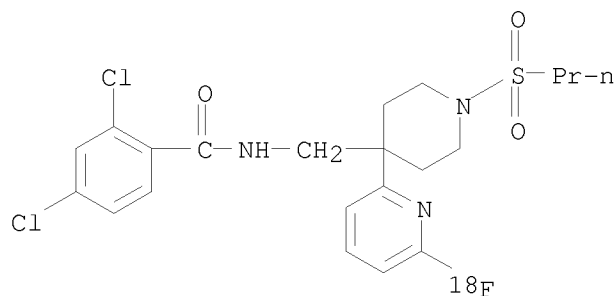
RN 934200-20-1 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-[3-(methoxy-11C)-2-pyridinyl]-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



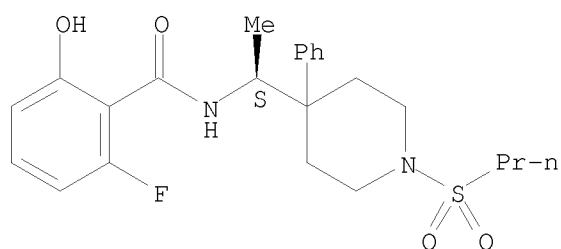
RN 934200-21-2 CAPLUS

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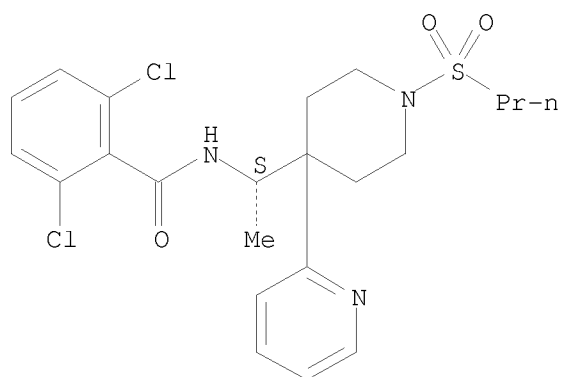
IT 934200-22-3 934200-23-4
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of radiolabeled benzoic acid piperidinylalkylamide GlyT1
 glycine transporter inhibitors for diagnostic imaging)
 RN 934200-22-3 CAPLUS
 CN Benzamide, 2-fluoro-6-hydroxy-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-
 piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.



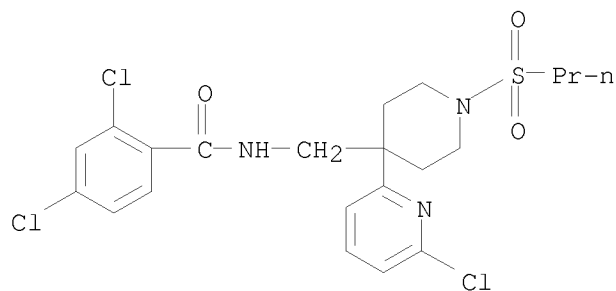
RN 934200-23-4 CAPLUS
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Absolute stereochemistry.



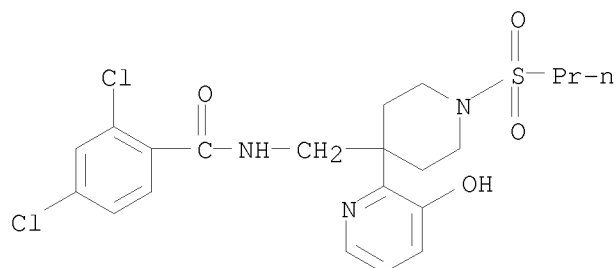
IT 866559-78-6P 866559-80-0P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation of radiolabeled benzoic acid piperidinylalkylamide GlyT1
 glycine transporter inhibitors for diagnostic imaging)
 RN 866559-78-6 CAPLUS
 CN Benzamide, 2,4-dichloro-N-[[4-(6-chloro-2-pyridinyl)-1-(propylsulfonyl)-4-

piperidinyl)methyl]- (CA INDEX NAME)



RN 866559-80-0 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(3-hydroxy-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl)methyl]- (CA INDEX NAME)



L12 ANSWER 9 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:344575 CAPLUS

DOCUMENT NUMBER: 146:492593

TITLE: Design, synthesis, and in vivo efficacy of glycine transporter-1 (GlyT1) inhibitors derived from a series of [4-phenyl-1-(propylsulfonyl)piperidin-4-yl)methyl benzamides

AUTHOR(S): Lindsley, Craig W.; Zhao, Zhijian; Leister, William H.; O'Brien, Julie; Lemaire, Wei; Williams, David L., Jr.; Chen, Tsing-Bau; Chang, Raymond S. L.; Burno, Maryann; Jacobson, Marlene A.; Sur, Cyrille; Kinney, Gene G.; Pettibone, Douglas J.; Tiller, Philip R.; Smith, Sheri; Tsou, Nancy N.; Duggan, Mark E.; Conn, P. Jeffrey; Hartman, George D.

CORPORATE SOURCE: Department of Medicinal Chemistry, Technology Enabled Synthesis Group, Merck Research Laboratories, West Point, PA, 19486, USA

SOURCE: ChemMedChem (2006), 1(8), 807-811
CODEN: CHEMGX; ISSN: 1860-7179

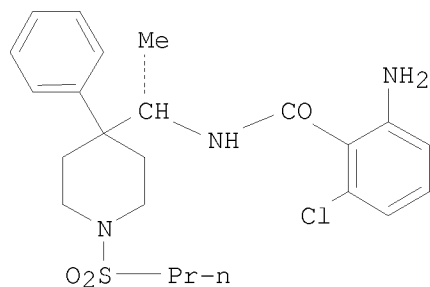
PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 146:492593

GI



I

AB An iterative analog library synthesis approach was employed to develop SAR for the title compds. Analog I was thus identified as a novel, centrally active GlyT1 inhibitor. I enhanced prepulse inhibition in a rodent behavioral model sensitive to antipsychotic treatment.

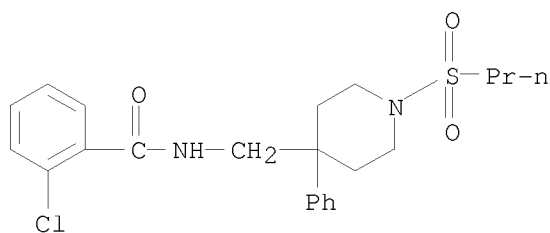
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 852029-50-6P 936101-97-2P 936101-98-3P
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 936102-08-8P 936102-09-9P 936102-10-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(piperidinylmethylbenzamide-derived glycine transporter-1 inhibitors)

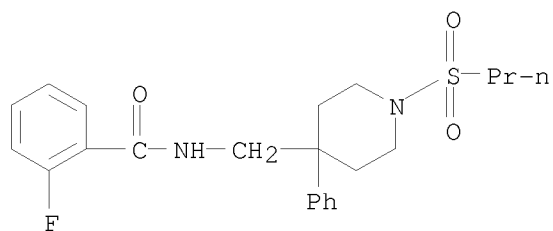
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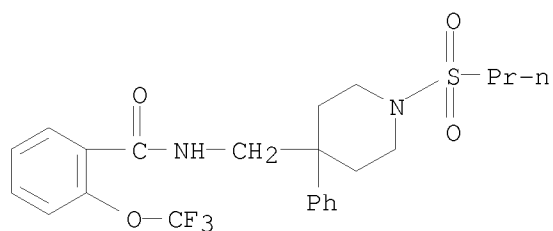
RN 852029-12-0 CAPLUS

CN Benzamide, 2-fluoro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]-(CA INDEX NAME)



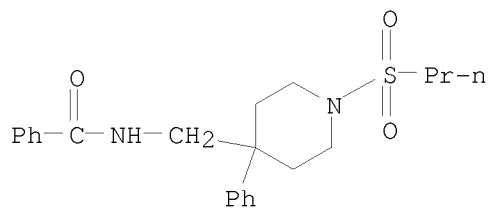
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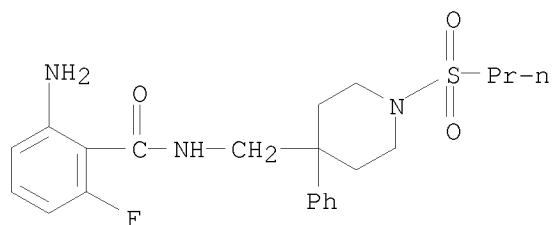
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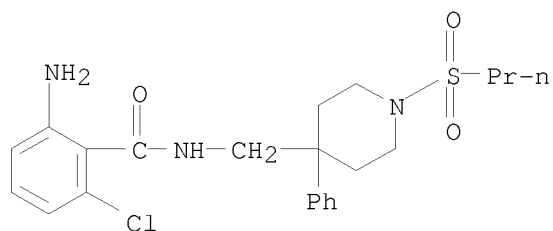
RN 852029-36-8 CAPLUS

CN Benzamide, 2-amino-6-fluoro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 852029-37-9 CAPLUS

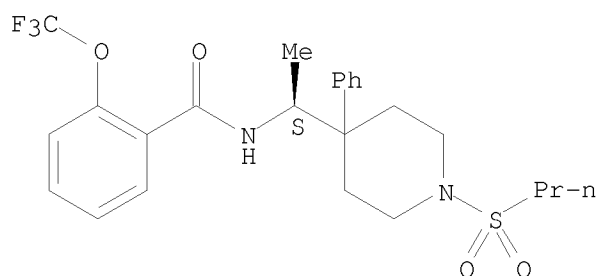
CN Benzamide, 2-amino-6-chloro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 852029-44-8 CAPLUS

CN Benzamide, N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]-2-(trifluoromethoxy)- (CA INDEX NAME)

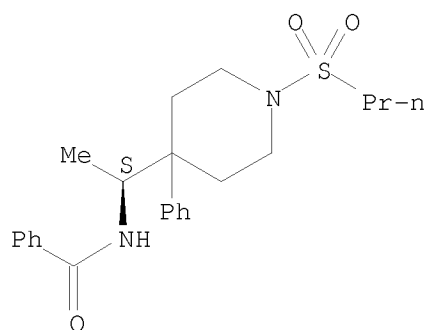
Absolute stereochemistry.



RN 852029-47-1 CAPLUS

CN Benzamide, N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

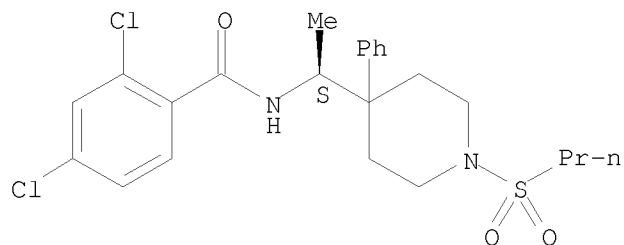
Absolute stereochemistry.



RN 852029-48-2 CAPLUS

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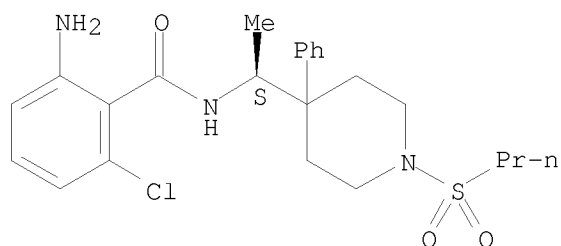
Absolute stereochemistry.



RN 852029-50-6 CAPLUS

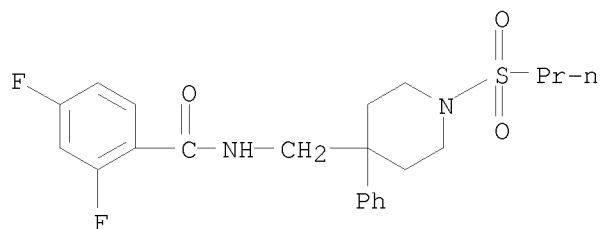
CN Benzamide, 2-amino-6-chloro-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.



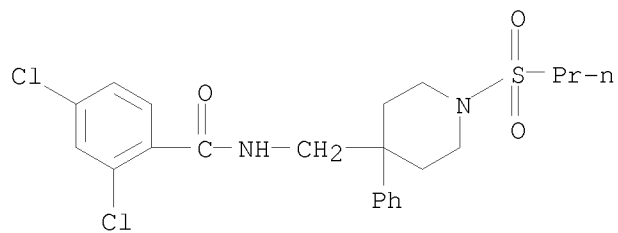
RN 936101-97-2 CAPLUS

CN Benzamide, 2,4-difluoro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 936101-98-3 CAPLUS

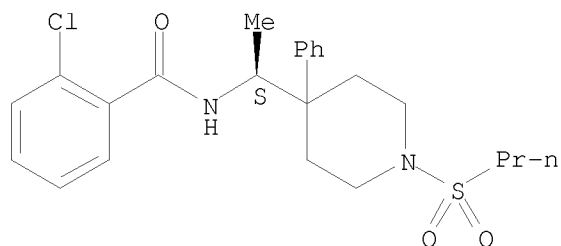
CN Benzamide, 2,4-dichloro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 936101-99-4 CAPLUS

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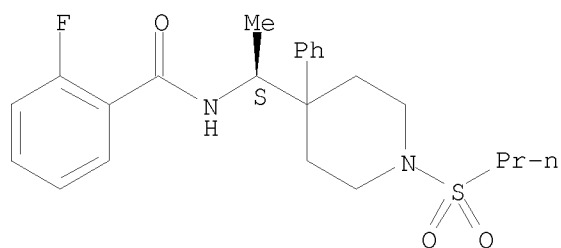
Absolute stereochemistry.



RN 936102-00-0 CAPLUS

CN Benzamide, 2-fluoro-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

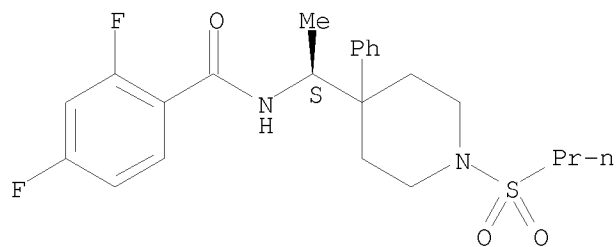
Absolute stereochemistry.



RN 936102-01-1 CAPLUS

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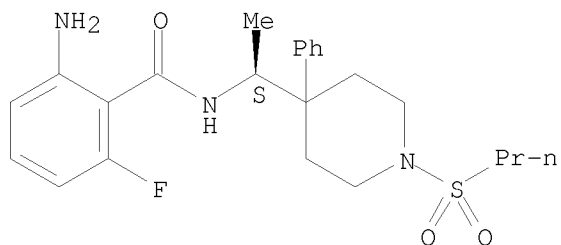
Absolute stereochemistry.



RN 936102-02-2 CAPLUS

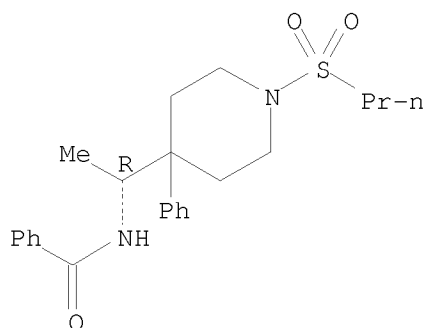
CN Benzamide, 2-amino-6-fluoro-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.



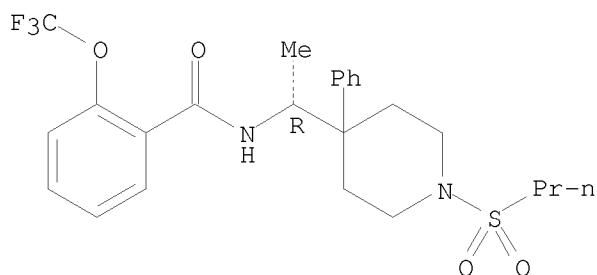
RN 936102-03-3 CAPLUS
 CN Benzamide, N-[(1R)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]-
 (CA INDEX NAME)

Absolute stereochemistry.



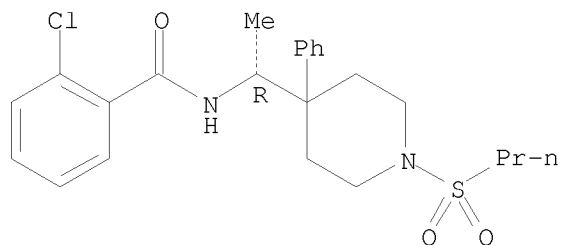
RN 936102-04-4 CAPLUS
 CN Benzamide, N-[(1R)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]-2-
 (trifluoromethoxy)- (CA INDEX NAME)

Absolute stereochemistry.



RN 936102-05-5 CAPLUS
 CN Benzamide, 2-chloro-N-[(1R)-1-[4-phenyl-1-(propylsulfonyl)-4-
 piperidinyl]ethyl]- (CA INDEX NAME)

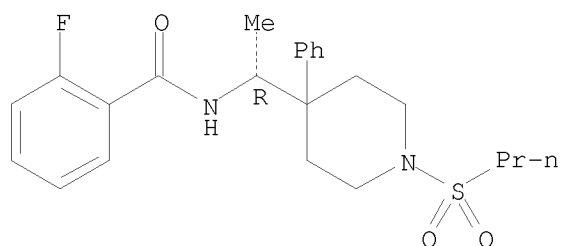
Absolute stereochemistry.



RN 936102-06-6 CAPLUS

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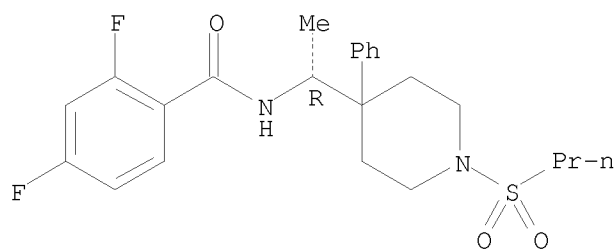
Absolute stereochemistry.



RN 936102-07-7 CAPLUS

CN Benzamide, 2,4-difluoro-N-[(1R)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

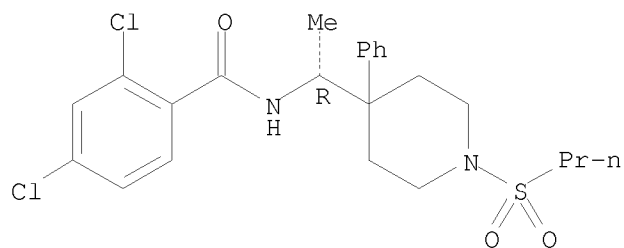
Absolute stereochemistry.



RN 936102-08-8 CAPLUS

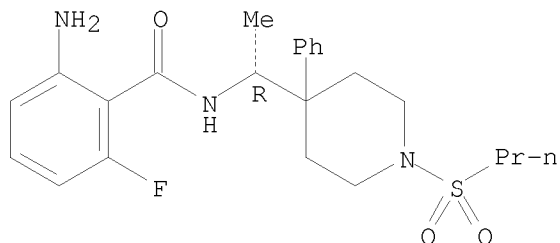
CN Benzamide, 2,4-dichloro-N-[(1R)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.



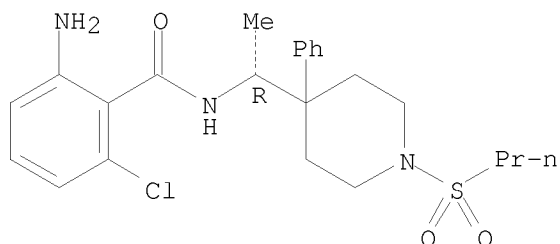
RN 936102-09-9 CAPLUS
 CN Benzamide, 2-amino-6-fluoro-N-[(1R)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

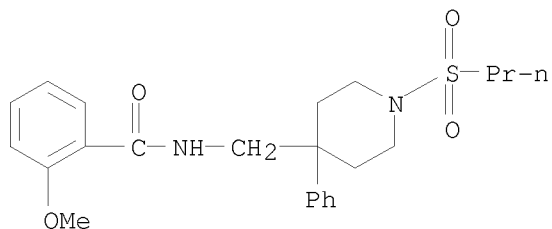


RN 936102-10-2 CAPLUS
 CN Benzamide, 2-amino-6-chloro-N-[(1R)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.



IT 266341-42-8
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (piperidinylmethylbenzamide-derived glycine transporter-1 inhibitors)
 RN 266341-42-8 CAPLUS
 CN Benzamide, 2-methoxy-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (13 CITINGS)
 REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 10 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2006:1093266 CAPLUS
 DOCUMENT NUMBER: 145:432223

TITLE: Method of treating schizophrenia prodrome
 INVENTOR(S): Woods, Scott W.
 PATENT ASSIGNEE(S): Yale University, USA
 SOURCE: PCT Int. Appl., 64pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006110724	A2	20061019	WO 2006-US13444	20060411
WO 2006110724	A3	20070322		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2006235400	A1	20061019	AU 2006-235400	20060411
CA 2602626	A1	20061019	CA 2006-2602626	20060411
EP 1871165	A2	20080102	EP 2006-740849	20060411
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
JP 2008535864	T	20080904	JP 2008-505637	20060411
US 20090215842	A1	20090827	US 2007-918287	20071011
PRIORITY APPLN. INFO.:			US 2005-670600P	P 20050411
			WO 2006-US13444	W 20060411

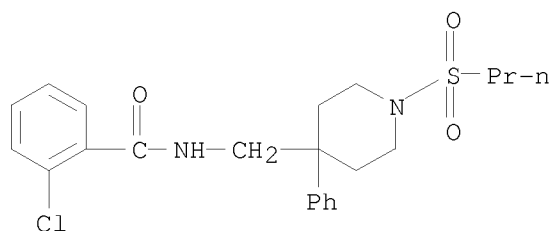
OTHER SOURCE(S): MARPAT 145:432223

AB The present invention relates to a method of treating schizophrenia prodrome in human subjects using a NMDA glycine site agonist, a glycine transporter-1 inhibitor or mixts. thereof, optionally in combination with a pharmaceutically acceptable additive, carrier or excipient.

IT 852029-09-5
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (method of treating schizophrenia prodrome with NMDA glycine agonist and glycine transporter-1 inhibitor)

RN 852029-09-5 CAPLUS

CN Benzamide, 2-chloro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]-
 (CA INDEX NAME)

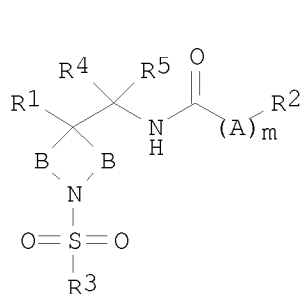


OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD

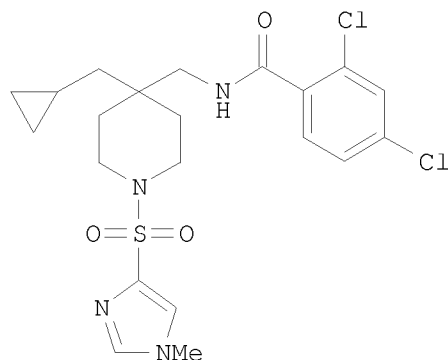
(2 CITINGS)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:631088 CAPLUS
DOCUMENT NUMBER: 145:103566
TITLE: Preparation of piperidine and azetidine derivatives as
GlyT1 inhibitors
INVENTOR(S): Blackaby, Wesley Peter; Fletcher, Stephen Robert;
Jennings, Andrew; Lewis, Richard Thomas; Naylor,
Elizabeth Mary; Street, Leslie Joseph; Thomson, Joanne
PATENT ASSIGNEE(S): Merck Sharp & Dohme Limited, UK
SOURCE: PCT Int. Appl., 60 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006067529	A1	20060629	WO 2005-GB50258	20051221
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2005317846	A1	20060629	AU 2005-317846	20051221
CA 2592345	A1	20060629	CA 2005-2592345	20051221
EP 1831201	A1	20070912	EP 2005-821636	20051221
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
CN 101084215	A	20071205	CN 2005-80044155	20051221
JP 2008524316	T	20080710	JP 2007-547679	20051221
US 20080090796	A1	20080417	US 2007-792111	20070530
IN 2007DN04679	A	20070817	IN 2007-DN4679	20070619
PRIORITY APPLN. INFO.:			GB 2004-27987	A 20041221
			GB 2004-27989	A 20041221
			WO 2005-GB50258	W 20051221
OTHER SOURCE(S):			CASREACT 145:103566; MARPAT 145:103566	
GI				



I



II

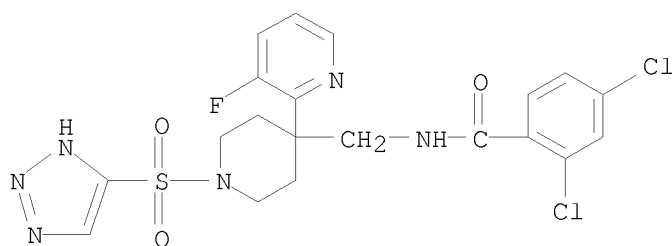
AB Title compds. represented by the formula I [wherein A = O or NR₁₀; B = (CH₂)_n; n = 1 or 2; R₁ = (CH₂)_p-R_{1a}; p = 0-6; R_{1a} = (halo)alkyl, (un)substituted Ph, piperidinyl, etc.; R₂ = (un)substituted Ph, heterocyclyl, alkyl, etc.; R₃ = (un)substituted heterocyclyl; R₄, R₅ = H, (halo)alkyl, hydroxyalkyl or R₄R₅ = cyclyl; R₁₀ = H, (cyclo)alkyl, benzyl, etc.; m = 0 or 1; and pharmaceutically acceptable salts or enantiomers and diastereomers thereof] were prepared as GlyT₁ (glycine transporters) inhibitors (no data). For example, II was provided in a multi-step synthesis starting from tert-Bu 4-cyanopiperidine-1-carboxylate. I and their pharmaceutical compns. are useful as GlyT₁ inhibitors for the treatment of schizophrenia (no data).

IT 895132-42-0P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of piperidine and azetidine derivs. as GlyT₁ inhibitors for treatment of schizophrenia)

RN 895132-42-0 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(3-fluoro-2-pyridinyl)-1-(1H-1,2,3-triazol-5-ylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



IT 895132-47-5P, 2,4-Dichloro-N-[1-[4-(3-fluoropyridin-2-yl)-1-[(1-methyl-1H-1,2,3-triazol-4-yl)sulfonyl]piperidin-4-yl]methyl]benzamide

895132-61-3P 895132-62-4P 895132-63-5P

895132-64-6P 895132-65-7P 895132-66-8P

895132-67-9P 895132-69-1P 895132-70-4P

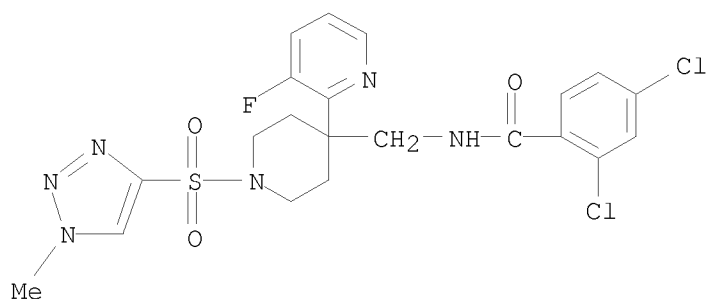
895132-71-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of piperidine and azetidine derivs. as GlyT₁ inhibitors for treatment of schizophrenia)

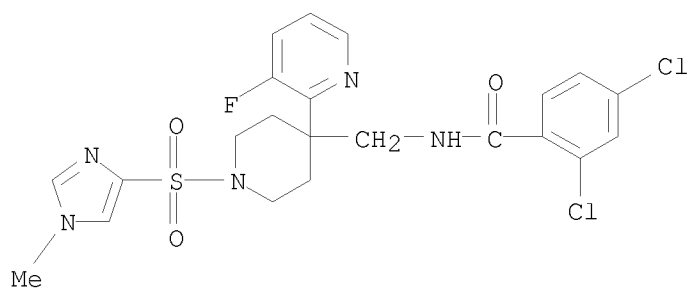
RN 895132-47-5 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(3-fluoro-2-pyridinyl)-1-[(1-methyl-1H-1,2,3-triazol-4-yl)sulfonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)



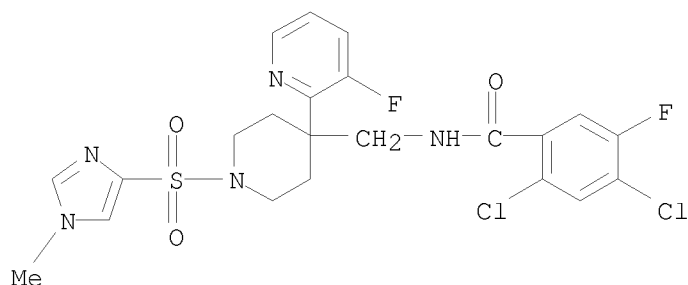
RN 895132-61-3 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(3-fluoro-2-pyridinyl)-1-[(1-methyl-1H-imidazol-4-yl)sulfonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)



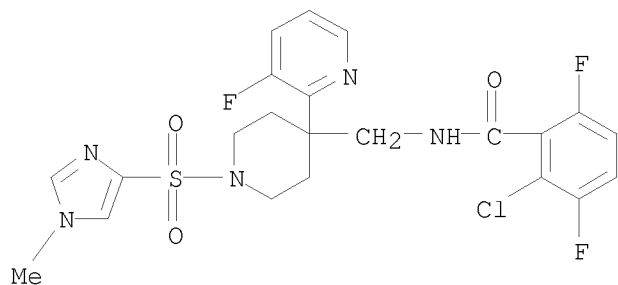
RN 895132-62-4 CAPLUS

CN Benzamide, 2,4-dichloro-5-fluoro-N-[[4-(3-fluoro-2-pyridinyl)-1-[(1-methyl-1H-imidazol-4-yl)sulfonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)



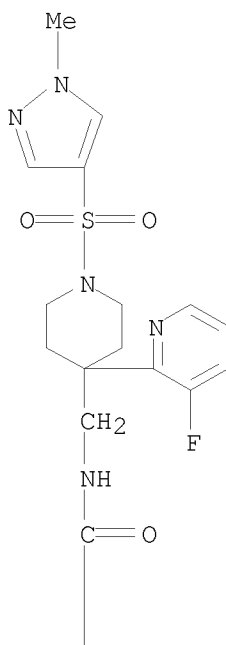
RN 895132-63-5 CAPLUS

CN Benzamide, 2-chloro-3,6-difluoro-N-[[4-(3-fluoro-2-pyridinyl)-1-[(1-methyl-1H-imidazol-4-yl)sulfonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)

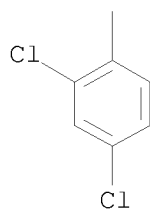


RN 895132-64-6 CAPLUS
 CN Benzamide, 2,4-dichloro-N-[[4-(3-fluoro-2-pyridinyl)-1-[(1-methyl-1H-pyrazol-4-yl)sulfonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)

PAGE 1-A

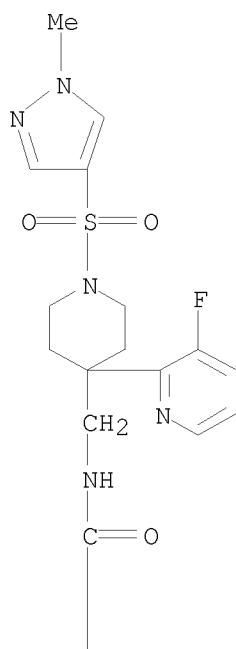


PAGE 2-A

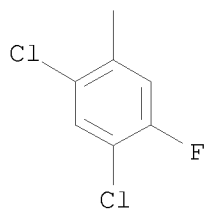


RN 895132-65-7 CAPLUS
 CN Benzamide, 2,4-dichloro-5-fluoro-N-[[4-(3-fluoro-2-pyridinyl)-1-[(1-methyl-1H-pyrazol-4-yl)sulfonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)

PAGE 1-A

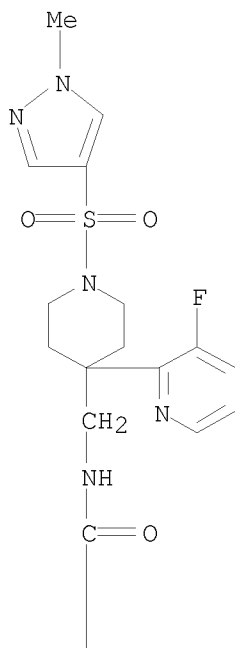


PAGE 2-A

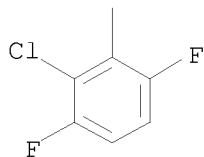


RN 895132-66-8 CAPLUS
CN Benzamide, 2-chloro-3,6-difluoro-N-[[4-(3-fluoro-2-pyridinyl)-1-[(1-methyl-1H-pyrazol-4-yl)sulfonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)

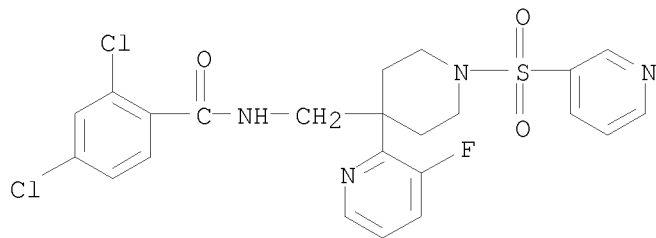
PAGE 1-A



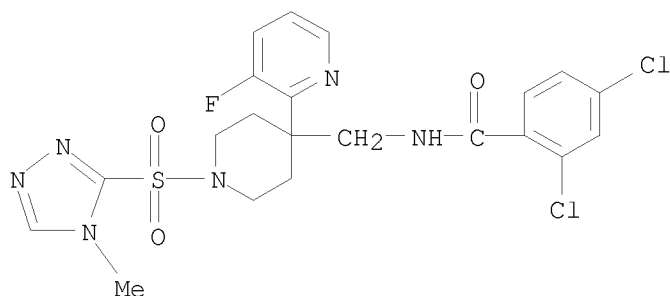
PAGE 2-A



RN 895132-67-9 CAPLUS
CN Benzamide, 2,4-dichloro-N-[[4-(3-fluoro-2-pyridinyl)-1-(3-pyridinylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

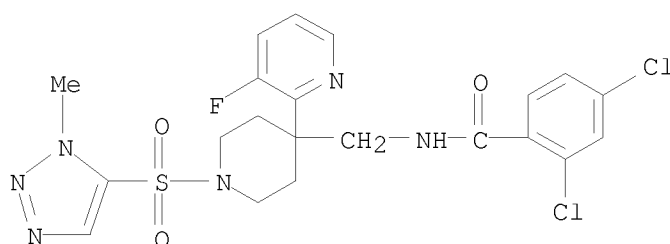


RN 895132-69-1 CAPLUS
CN Benzamide, 2,4-dichloro-N-[[4-(3-fluoro-2-pyridinyl)-1-[(4-methyl-4H-1,2,4-triazol-3-yl)sulfonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)



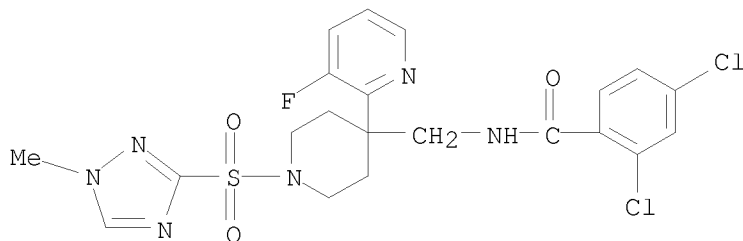
RN 895132-70-4 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(3-fluoro-2-pyridinyl)-1-[(1-methyl-1H-1,2,3-triazol-5-yl)sulfonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 895132-71-5 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(3-fluoro-2-pyridinyl)-1-[(1-methyl-1H-1,2,4-triazol-3-yl)sulfonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:1103490 CAPLUS

DOCUMENT NUMBER: 143:386922

TITLE: Preparation of heteroaryl-substituted piperidine glycine transporter inhibitors for the treatment of psychiatric disorders

INVENTOR(S): Blackaby, Wesley; Duggan, Mark E.; Hallett, David; Hartman, George D.; Jennings, Andrew S.; Leister, William H.; Lewis, Richard T.; Lindsley, Craig W.; Naylor, Elizabeth; Street, Leslie J.; Wang, Yi; Wisnoski, David D.; Wolkenberg, Scott E.; Zhao, Zhijian

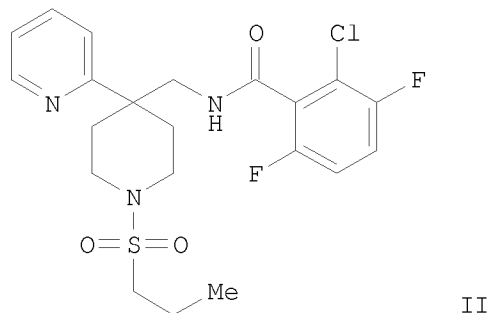
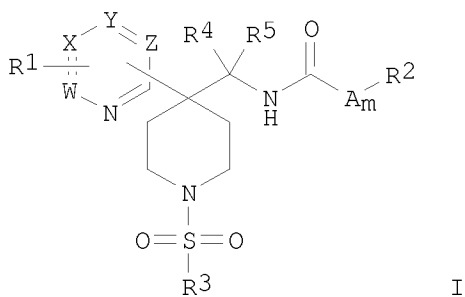
PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Merck Sharp & Dohme Limited

SOURCE: PCT Int. Appl., 94 pp.

DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

CODEN: PIXXD2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005094514	A2	20051013	WO 2005-US9810	20050323
WO 2005094514	A3	20060420		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005228133	A1	20051013	AU 2005-228133	20050323
CA 2560256	A1	20051013	CA 2005-2560256	20050323
EP 1729772	A2	20061213	EP 2005-726105	20050323
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, LV				
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IN 2006CN03155	A	20070608	IN 2006-CN3155	20060831
US 20070254880	A1	20071101	US 2007-593950	20070510
PRIORITY APPLN. INFO.:			US 2004-555925P	P 20040324
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OTHER SOURCE(S):			CASREACT 143:386922; MARPAT 143:386922	
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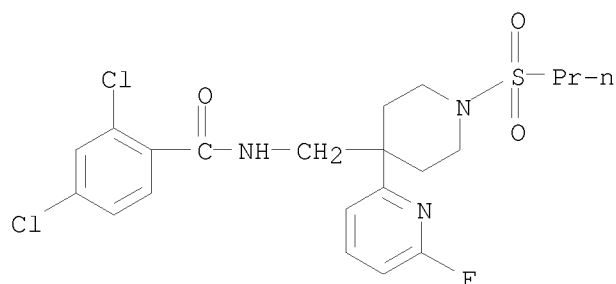


AB Title compds. I [R1 = H, alkyl, halo, Ph, etc.; R2 = (un)substituted Ph, heterocyclyl, alkyl, etc.; R3 = alkyl, cycloalkyl, etc.; R4-5 = H, alkyl, etc.; R6 = H, alkyl; W, X, Y, Z = C, N with the proviso that at least two of W, X, Y and Z are C, to form a pyridine, oxodihydropyridine, etc.; A = O, (un)substituted N; m = 0-1] are prepared For instance, II is prepared in 5 steps from 2-fluoropyridine, tert-Bu 4-cyanopiperidine-1-carboxylate, n-PrSO₂Cl and 2-chloro-3,6-difluorobenzoyl chloride. I inhibit the glycine transporter GlyT1 [no data] and are useful in the treatment of neurol. and psychiatric disorders associated with glycinergic or glutamatergic neurotransmission dysfunction and diseases in which the glycine transporter GlyT1 is involved.

IT 866559-77-5P
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of heteroaryl-substituted piperidine glycine transporter inhibitors for treatment of psychiatric disorders)

RN 866559-77-5 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(6-fluoro-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



IT	866558-67-0P	866558-68-1P	866558-69-2P
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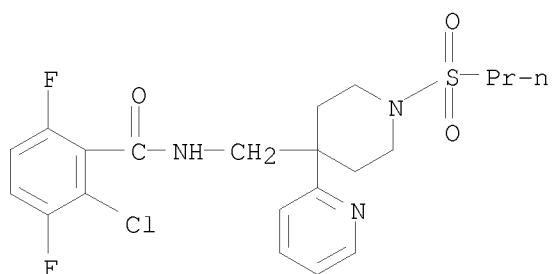
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heteroaryl-substituted piperidine glycine transporter inhibitors for treatment of psychiatric disorders)

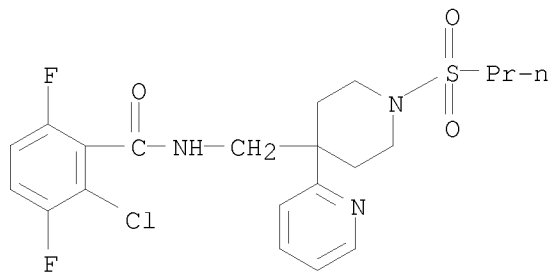
RN 866558-67-0 CAPLUS

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RN 866558-68-1 CAPLUS

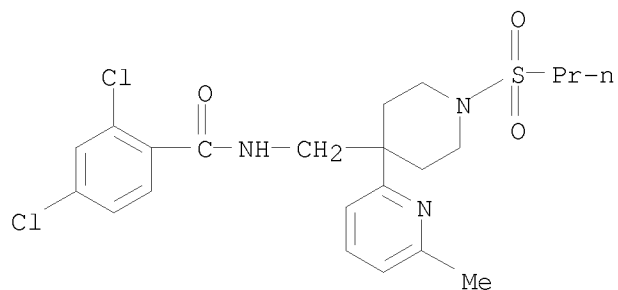
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● HCl

RN 866558-69-2 CAPLUS

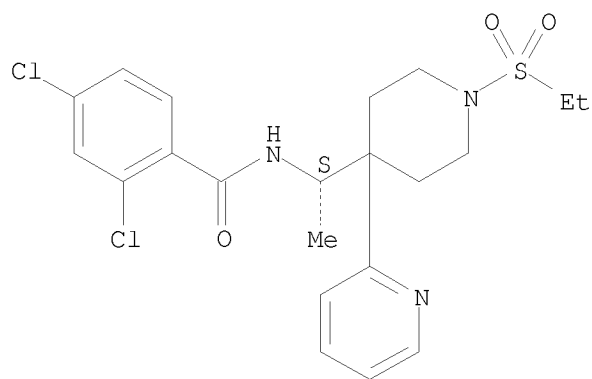
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RN 866558-70-5 CAPLUS

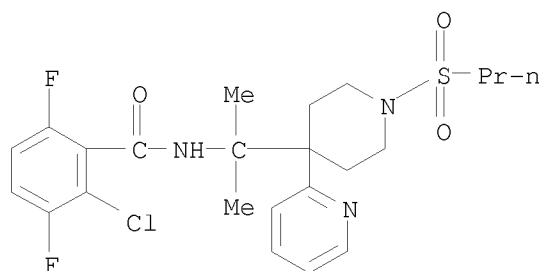
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Absolute stereochemistry.



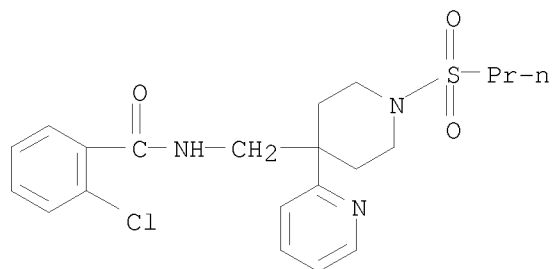
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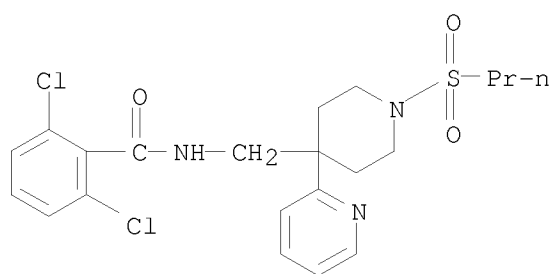
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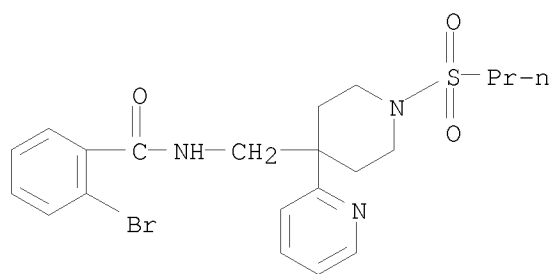
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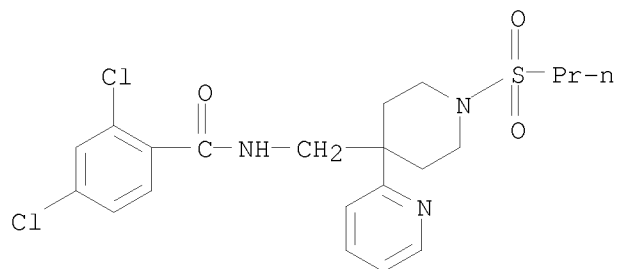
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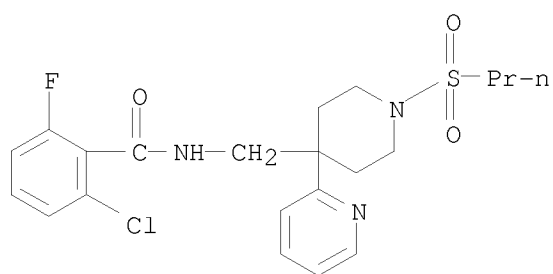
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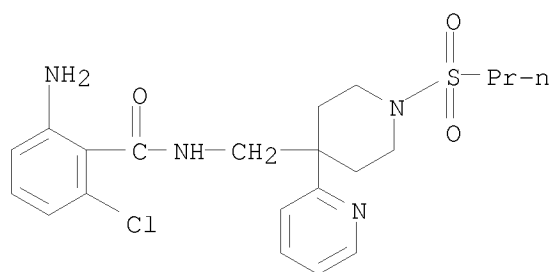
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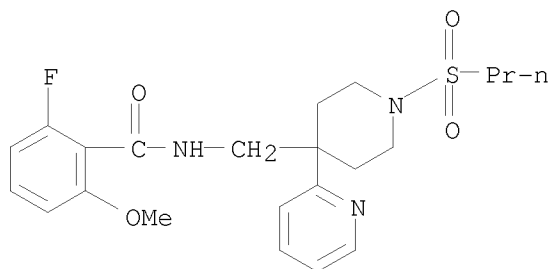
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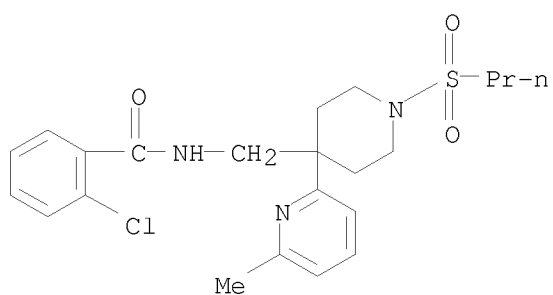
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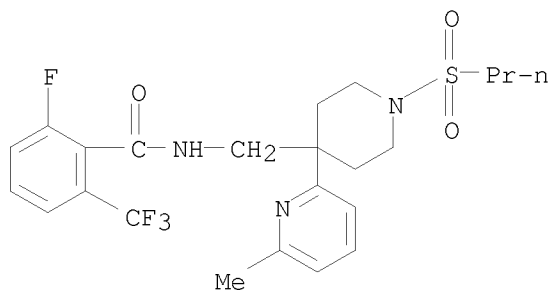
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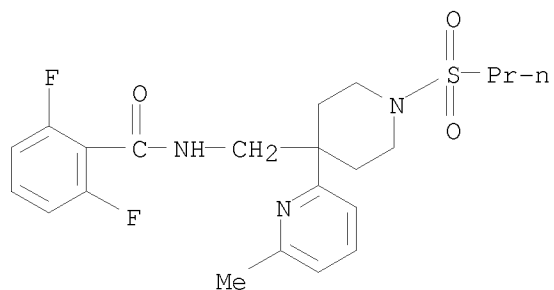
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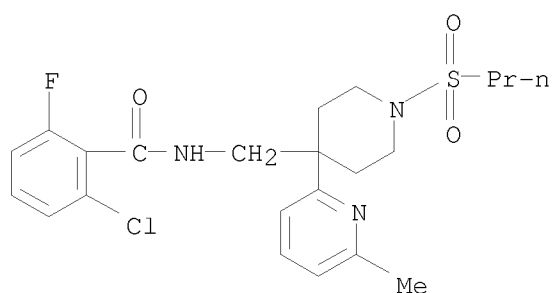
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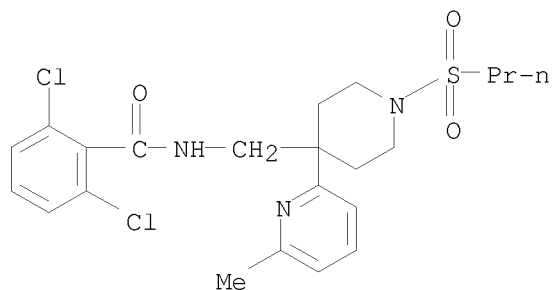
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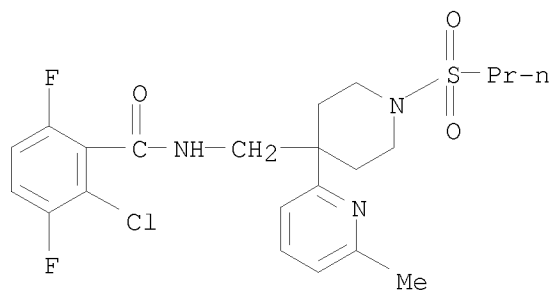
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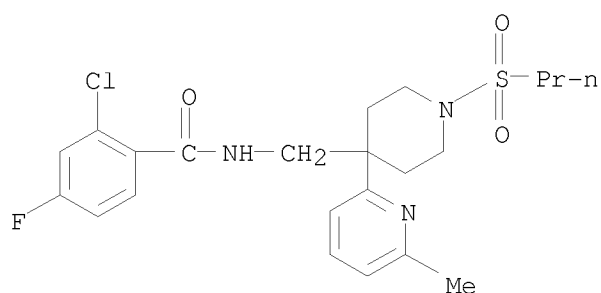
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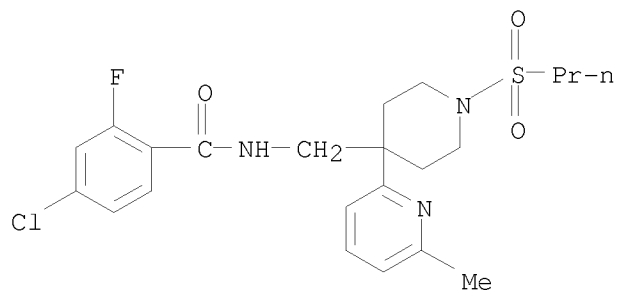
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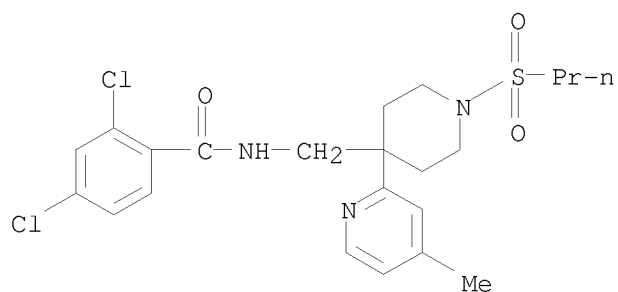
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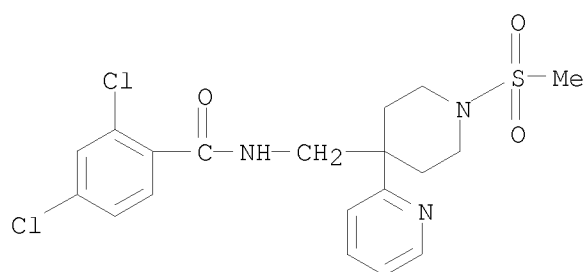
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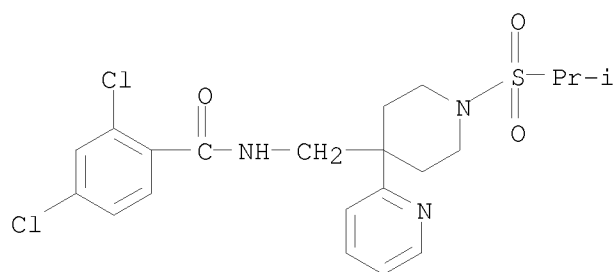
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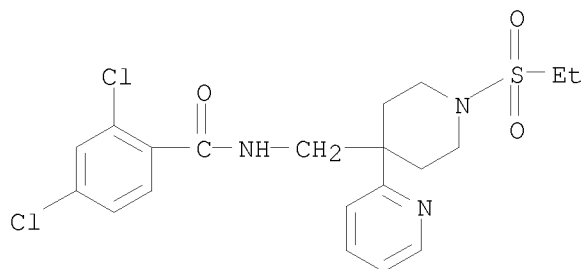
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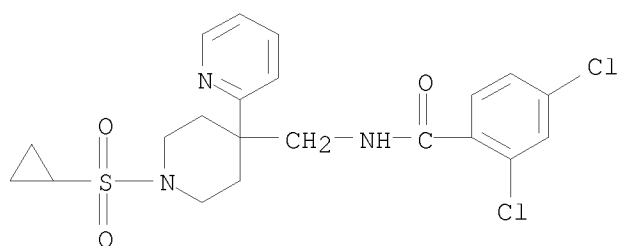
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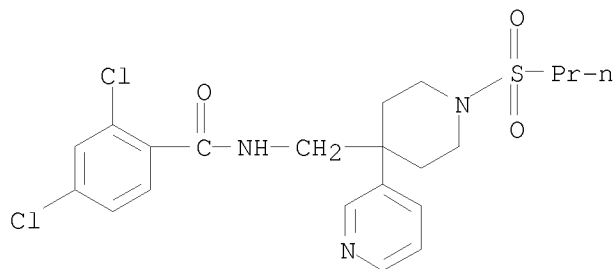
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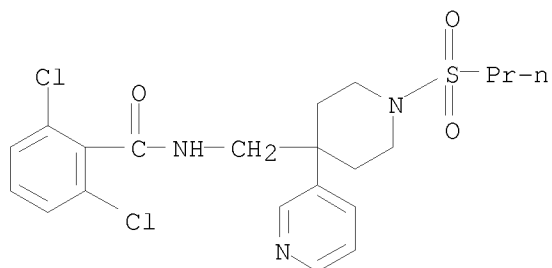
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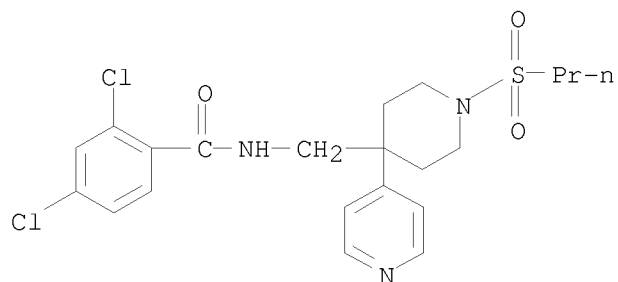


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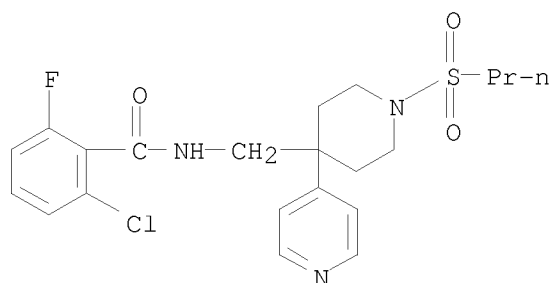
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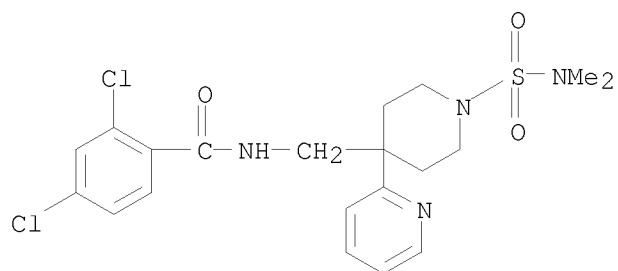
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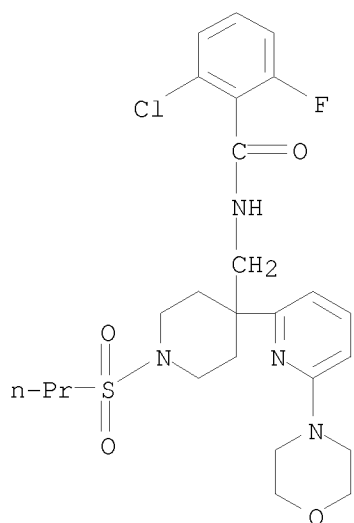
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RN 866558-97-6 CAPLUS
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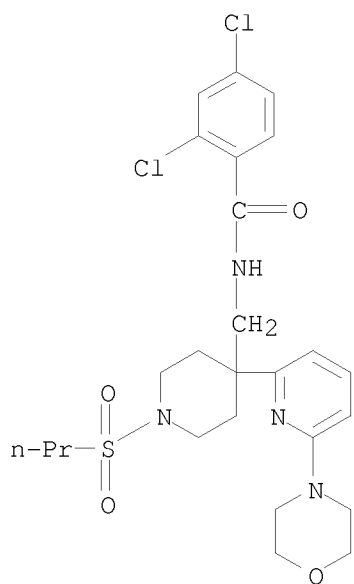


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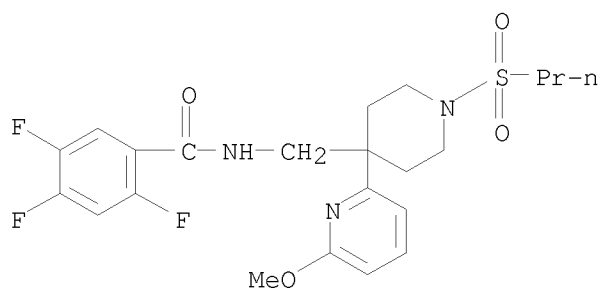
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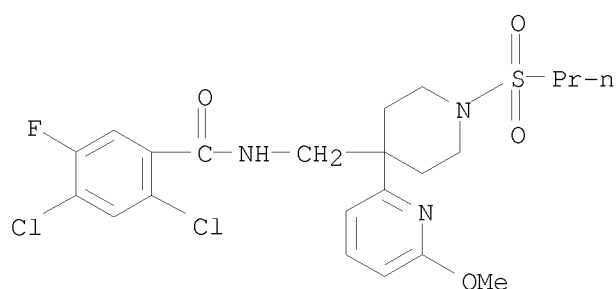
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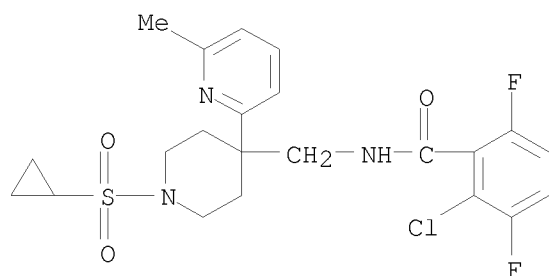
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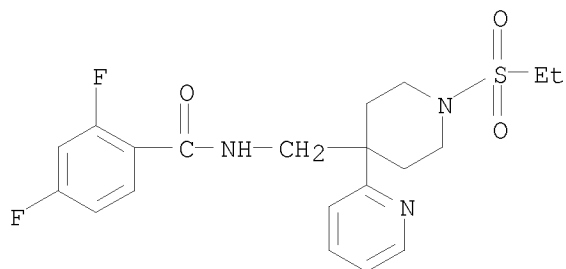
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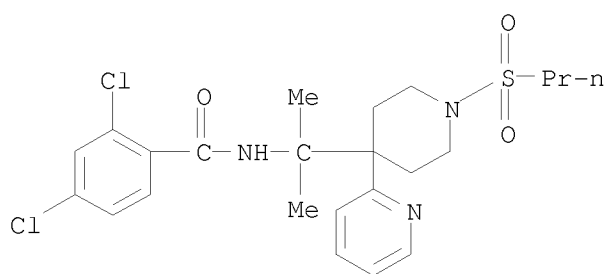
RN 866559-05-9 CAPLUS

CN Benzamide, N-[[1-(ethylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]-2,4-difluoro- (CA INDEX NAME)



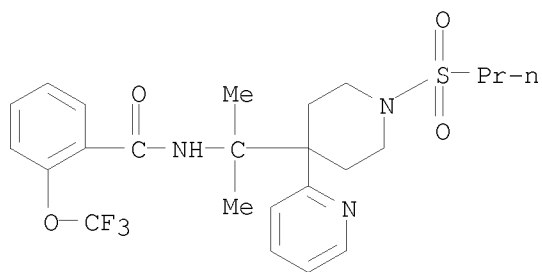
RN 866559-10-6 CAPLUS

CN Benzamide, 2,4-dichloro-N-[1-methyl-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)



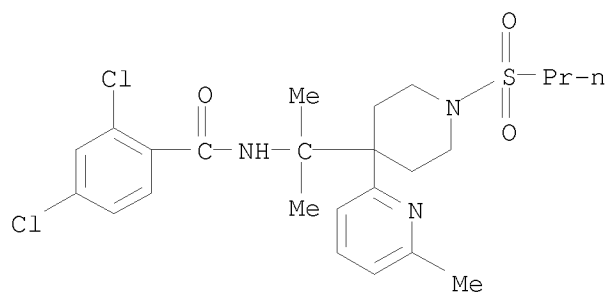
RN 866559-11-7 CAPLUS

CN Benzamide, N-[1-methyl-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]-2-(trifluoromethoxy)- (CA INDEX NAME)



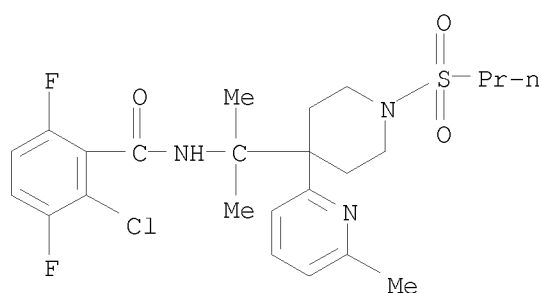
RN 866559-12-8 CAPLUS

CN Benzamide, 2,4-dichloro-N-[1-methyl-1-[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)



RN 866559-13-9 CAPLUS

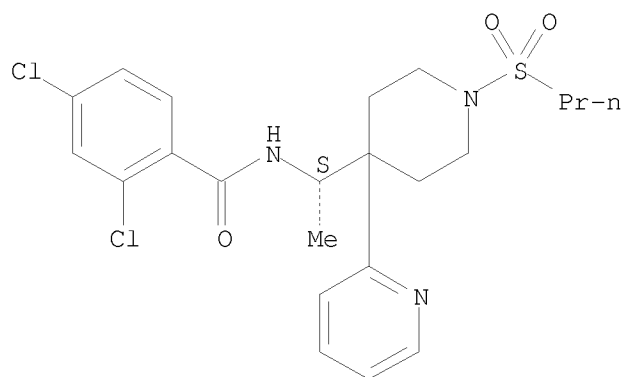
CN Benzamide, 2-chloro-3,6-difluoro-N-[1-methyl-1-[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)



RN 866559-14-0 CAPLUS

CN Benzamide, 2,4-dichloro-N-[(1S)-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

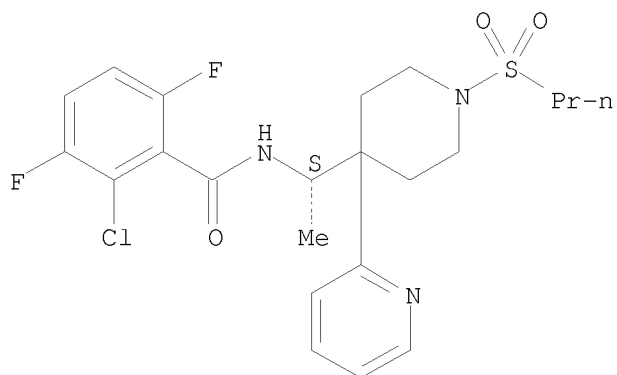
Absolute stereochemistry.



RN 866559-15-1 CAPLUS

CN Benzamide, 2-chloro-3,6-difluoro-N-[(1S)-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

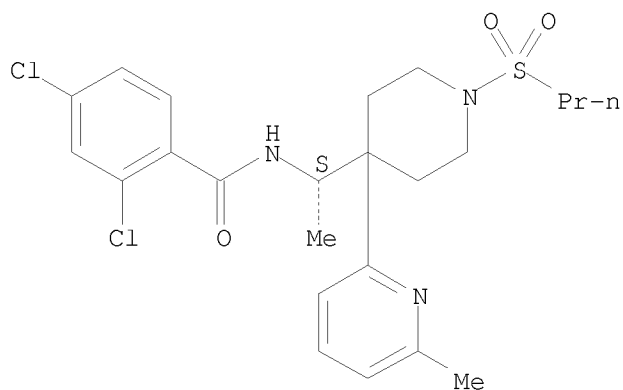
Absolute stereochemistry.



RN 866559-16-2 CAPLUS

CN Benzamide, 2,4-dichloro-N-[(1S)-1-[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

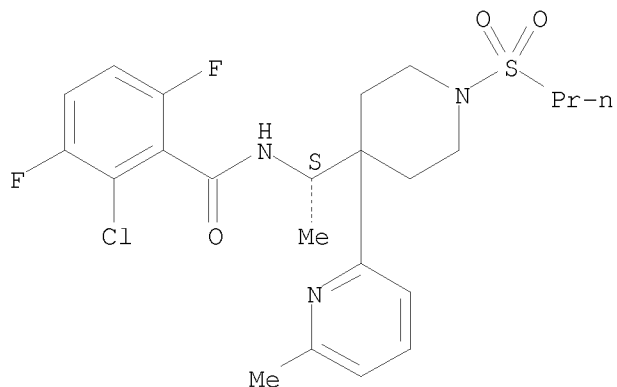
Absolute stereochemistry.



RN 866559-17-3 CAPLUS

CN Benzamide, 2-chloro-3,6-difluoro-N-[(1S)-1-[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

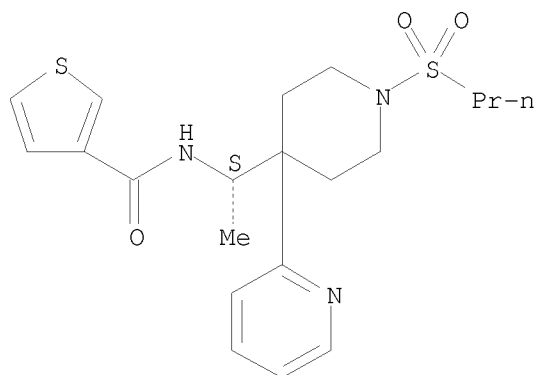


RN 866559-19-5 CAPLUS

CN 3-Thiophenecarboxamide, N-[(1S)-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

piperidinyl]ethyl]- (CA INDEX NAME)

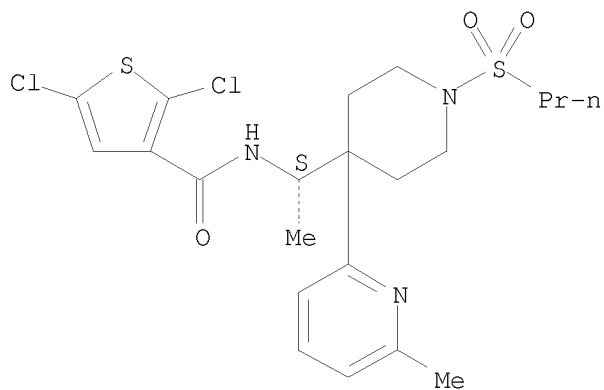
Absolute stereochemistry.



RN 866559-25-3 CAPLUS

CN 3-Thiophenecarboxamide, 2,5-dichloro-N-[(1S)-1-[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

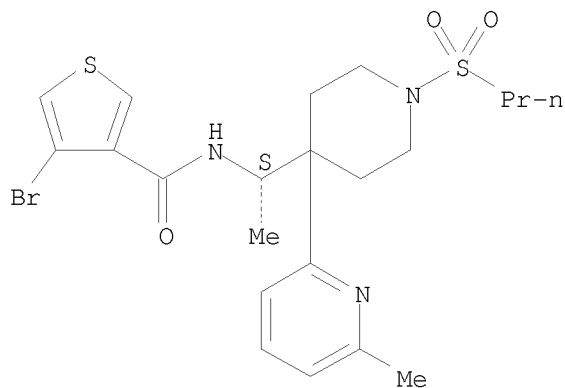
Absolute stereochemistry.



RN 866559-26-4 CAPLUS

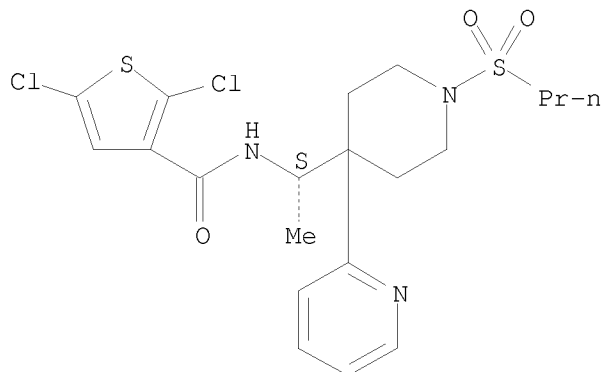
CN 3-Thiophenecarboxamide, 4-bromo-N-[(1S)-1-[4-(6-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.



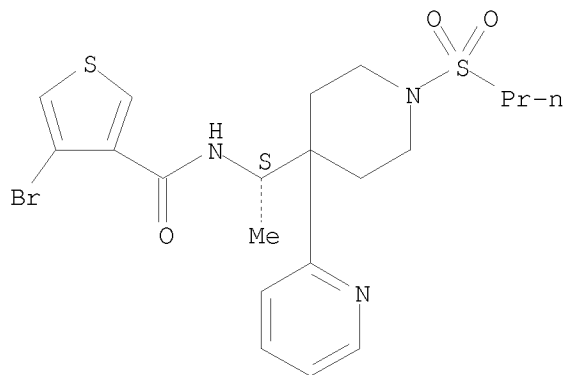
RN 866559-27-5 CAPLUS
 CN 3-Thiophenecarboxamide, 2,5-dichloro-N-[(1S)-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

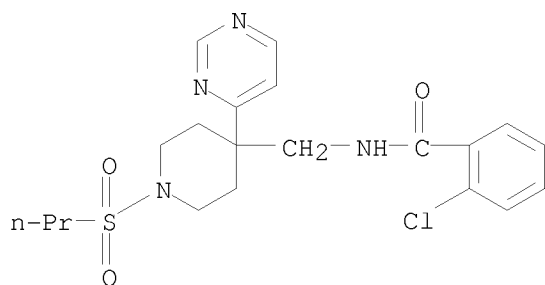


RN 866559-28-6 CAPLUS
 CN 3-Thiophenecarboxamide, 4-bromo-N-[(1S)-1-[1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

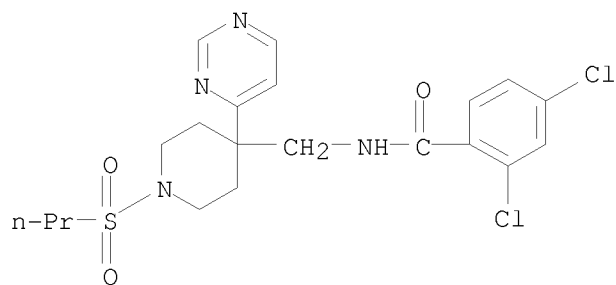


RN 866559-29-7 CAPLUS
 CN Benzamide, 2-chloro-N-[[1-(propylsulfonyl)-4-(4-pyrimidinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



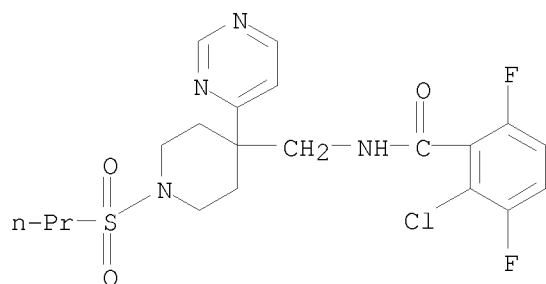
RN 866559-30-0 CAPLUS
 CN Benzamide, 2,4-dichloro-N-[[1-(propylsulfonyl)-4-(4-pyrimidinyl)-4-

piperidinyl)methyl]- (CA INDEX NAME)



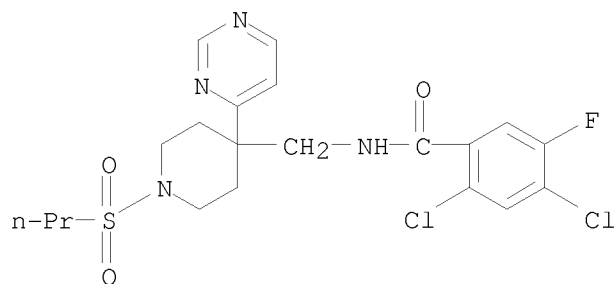
RN 866559-31-1 CAPLUS

CN Benzamide, 2-chloro-3,6-difluoro-N-[[1-(propylsulfonyl)-4-(4-pyrimidinyl)-4-piperidinyl)methyl]- (CA INDEX NAME)



RN 866559-32-2 CAPLUS

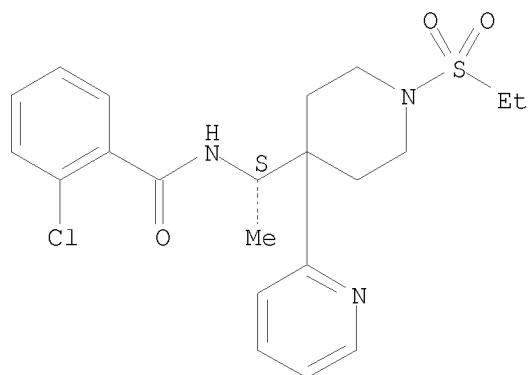
CN Benzamide, 2,4-dichloro-5-fluoro-N-[[1-(propylsulfonyl)-4-(4-pyrimidinyl)-4-piperidinyl)methyl]- (CA INDEX NAME)



RN 866559-33-3 CAPLUS

CN Benzamide, 2-chloro-N-[(1S)-1-[1-(ethylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

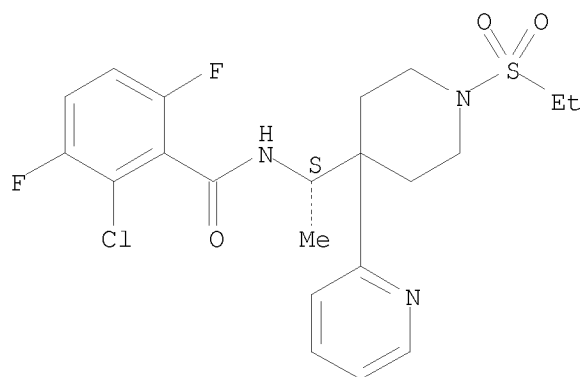
Absolute stereochemistry.



RN 866559-34-4 CAPLUS

CN Benzamide, 2-chloro-N-[(1S)-1-[1-(ethylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]ethyl]-3,6-difluoro- (CA INDEX NAME)

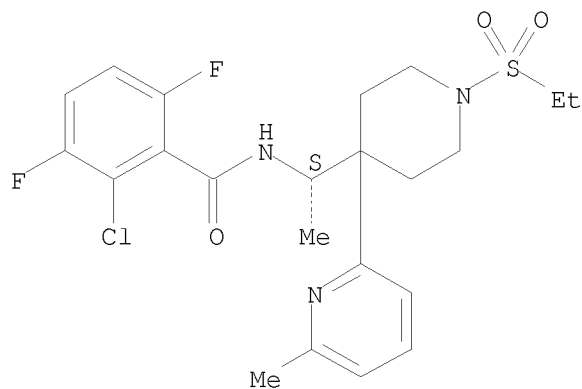
Absolute stereochemistry.



RN 866559-35-5 CAPLUS

CN Benzamide, 2-chloro-N-[(1S)-1-[1-(ethylsulfonyl)-4-(6-methyl-2-pyridinyl)-4-piperidinyl]ethyl]-3,6-difluoro- (CA INDEX NAME)

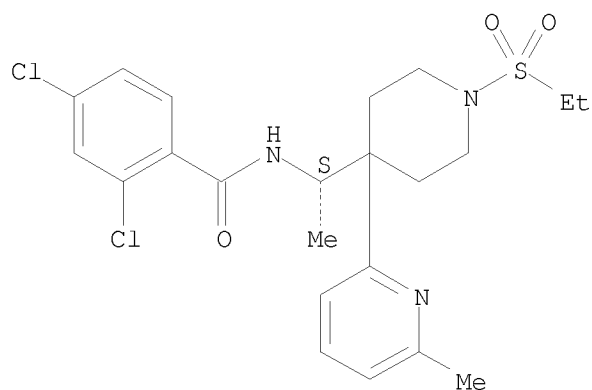
Absolute stereochemistry.



RN 866559-36-6 CAPLUS

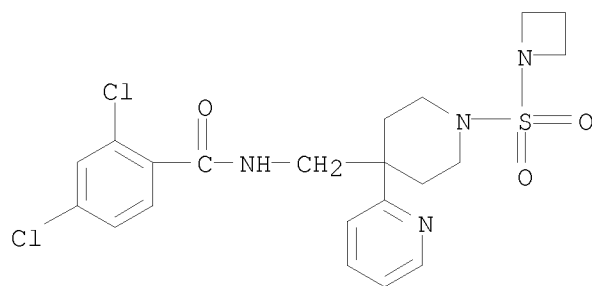
CN Benzamide, 2,4-dichloro-N-[(1S)-1-[1-(ethylsulfonyl)-4-(6-methyl-2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.



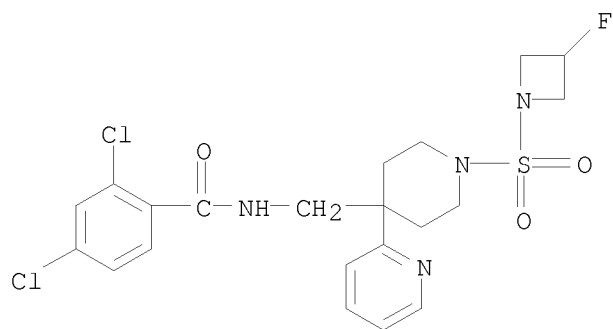
RN 866559-37-7 CAPLUS

CN Benzamide, N-[[1-(1-azetidinylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]-2,4-dichloro- (CA INDEX NAME)



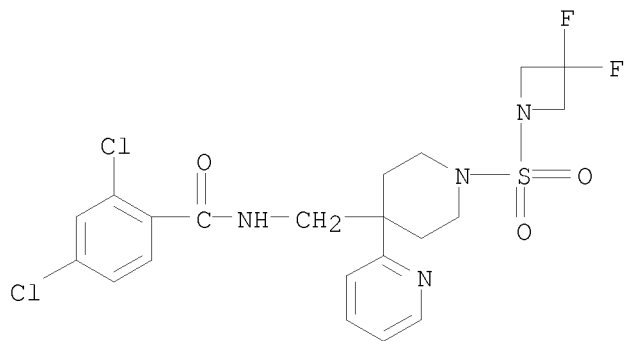
RN 866559-38-8 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-[(3-fluoro-1-azetidiny) sulfonyl]-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



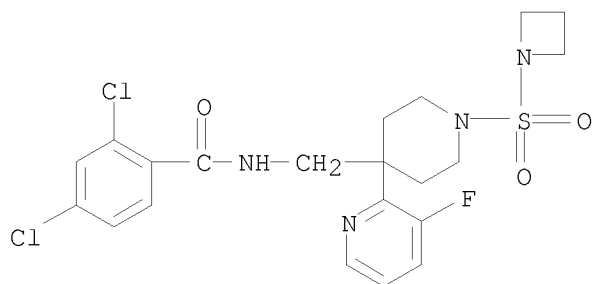
RN 866559-39-9 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-[(3,3-difluoro-1-azetidiny) sulfonyl]-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



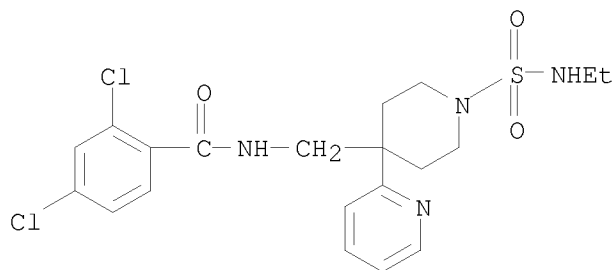
RN 866559-40-2 CAPLUS

CN Benzamide, N-[[1-(1-azetidinesulfonyl)-4-(3-fluoro-2-pyridinyl)-4-piperidinyl]methyl]-2,4-dichloro- (CA INDEX NAME)



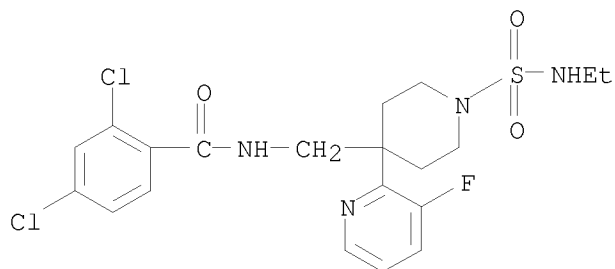
RN 866559-41-3 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-[(ethylamino)sulfonyl]-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



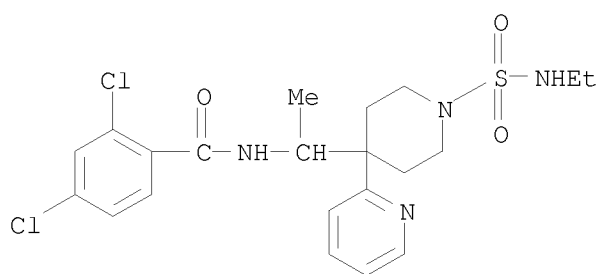
RN 866559-42-4 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-[(ethylamino)sulfonyl]-4-(3-fluoro-2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



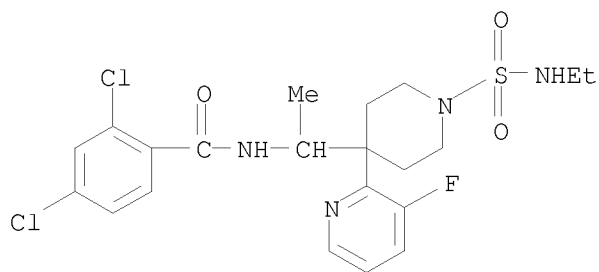
RN 866559-43-5 CAPLUS

CN Benzamide, 2,4-dichloro-N-[1-[1-[(ethylamino)sulfonyl]-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)



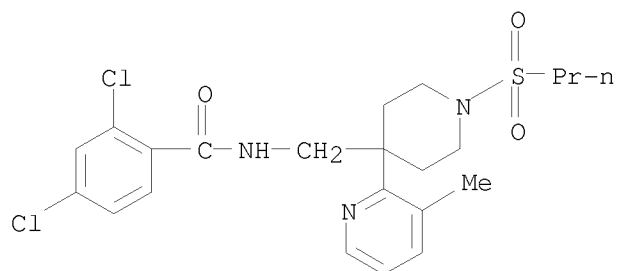
RN 866559-44-6 CAPLUS

CN Benzamide, 2,4-dichloro-N-[1-[1-[(ethylamino)sulfonyl]-4-(3-fluoro-2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)



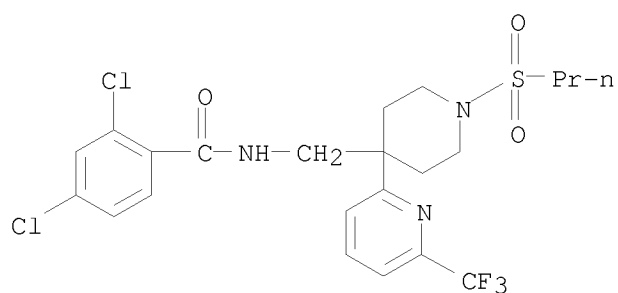
RN 866559-45-7 CAPLUS

CN Benzamide, 2,4-dichloro-N-[1-[1-[(ethylamino)sulfonyl]-4-(3-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



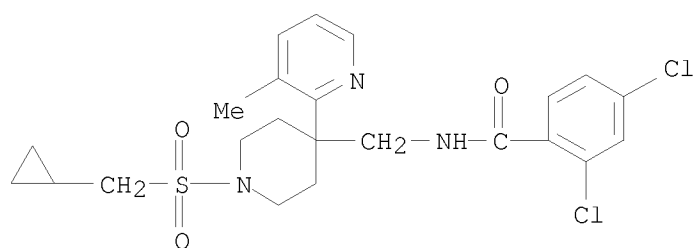
RN 866559-46-8 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-(propylsulfonyl)-4-[6-(trifluoromethyl)-2-pyridinyl]-4-piperidinyl]methyl]- (CA INDEX NAME)



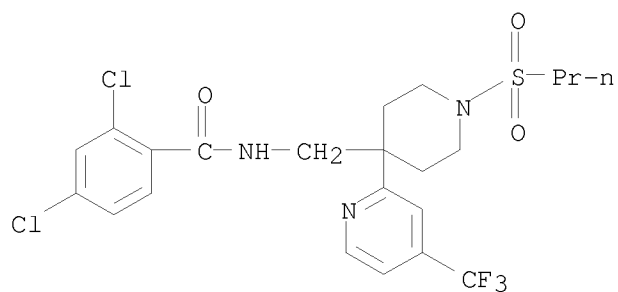
RN 866559-47-9 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-[(cyclopropylmethyl)sulfonyl]-4-(3-methyl-2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)

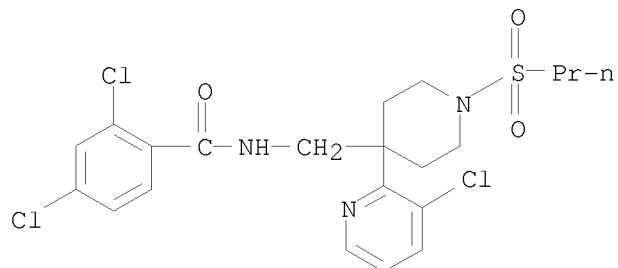


RN 866559-48-0 CAPLUS

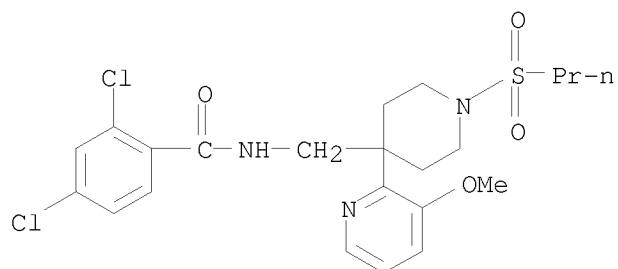
CN Benzamide, 2,4-dichloro-N-[[1-(propylsulfonyl)-4-[4-(trifluoromethyl)-2-pyridinyl]-4-piperidinyl]methyl]- (CA INDEX NAME)



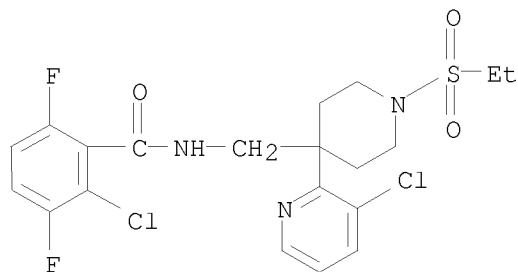
RN 866559-49-1 CAPLUS
 CN Benzamide, 2,4-dichloro-N-[[4-(3-chloro-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



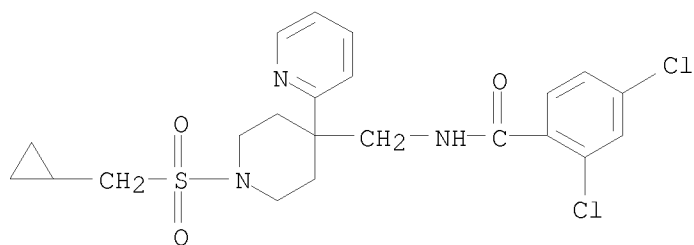
RN 866559-50-4 CAPLUS
 CN Benzamide, 2,4-dichloro-N-[[4-(3-methoxy-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 866559-51-5 CAPLUS
 CN Benzamide, 2-chloro-N-[[4-(3-chloro-2-pyridinyl)-1-(ethylsulfonyl)-4-piperidinyl]methyl]-3,6-difluoro- (CA INDEX NAME)

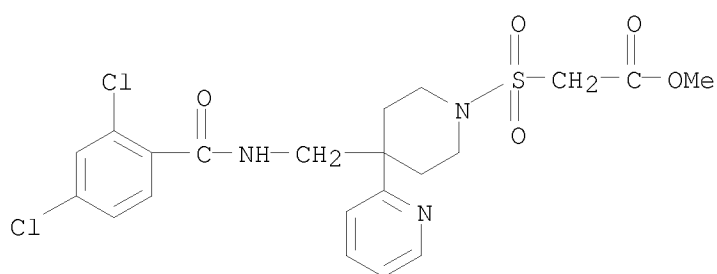


RN 866559-52-6 CAPLUS
 CN Benzamide, 2,4-dichloro-N-[[1-[(cyclopropylmethyl)sulfonyl]-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



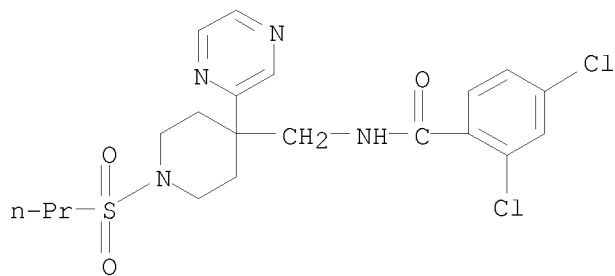
RN 866559-53-7 CAPLUS

CN Acetic acid, 2-[[4-[[[(2,4-dichlorobenzoyl)amino]methyl]-4-(2-pyridinyl)-1-piperidinyl]sulfonyl]-, methyl ester (CA INDEX NAME)



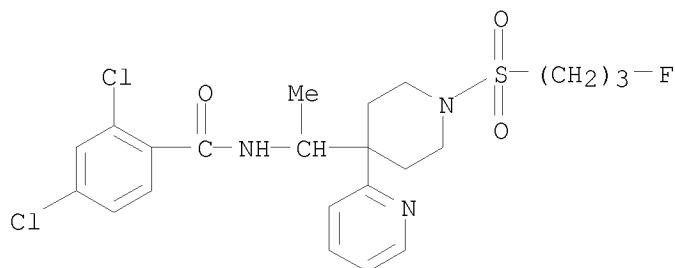
RN 866559-54-8 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-(propylsulfonyl)-4-(2-pyrazinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



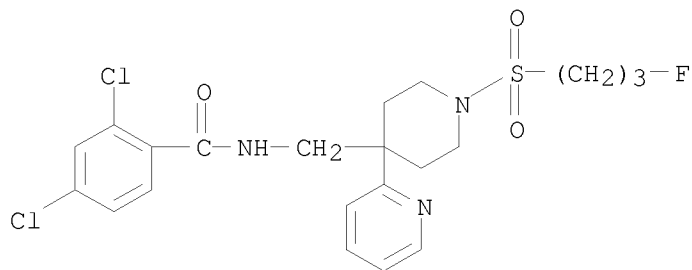
RN 866559-55-9 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-[1-[(3-fluoropropyl)sulfonyl]-4-(2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)



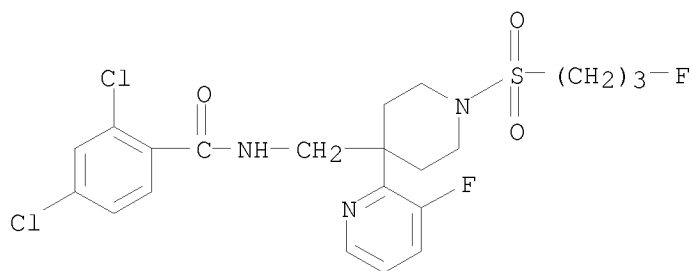
RN 866559-56-0 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-[(3-fluoropropyl)sulfonyl]-4-(2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



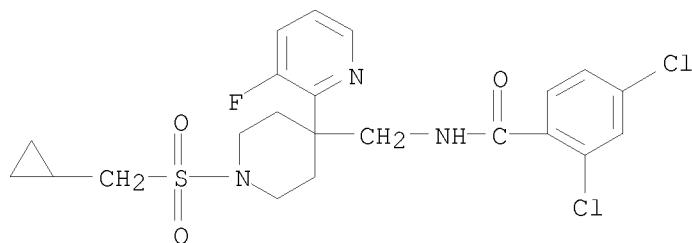
RN 866559-57-1 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-[(3-fluoropropyl)sulfonyl]-4-(3-fluoro-2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



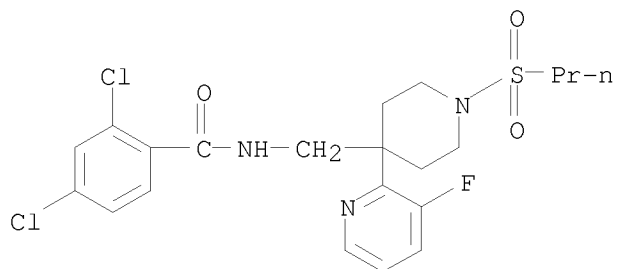
RN 866559-58-2 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-[(cyclopropylmethyl)sulfonyl]-4-(3-fluoro-2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



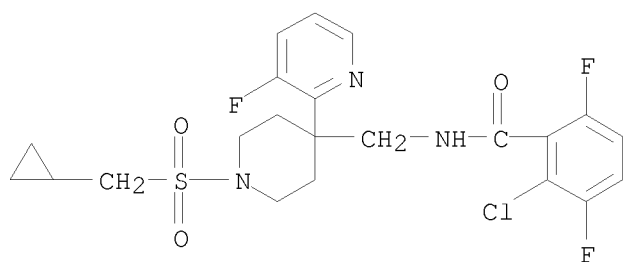
RN 866559-59-3 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(3-fluoro-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



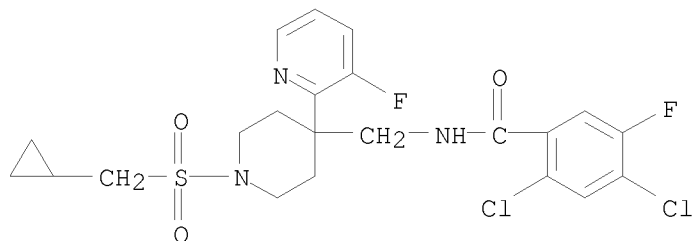
RN 866559-60-6 CAPLUS

CN Benzamide, 2-chloro-N-[[1-[(cyclopropylmethyl)sulfonyl]-4-(3-fluoro-2-pyridinyl)-4-piperidinyl]methyl]-3,6-difluoro- (CA INDEX NAME)



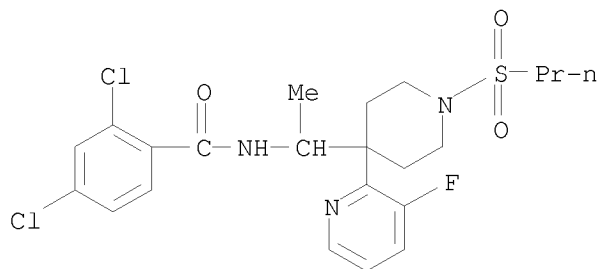
RN 866559-61-7 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-[(cyclopropylmethyl)sulfonyl]-4-(3-fluoro-2-pyridinyl)-4-piperidinyl]methyl]-5-fluoro- (CA INDEX NAME)



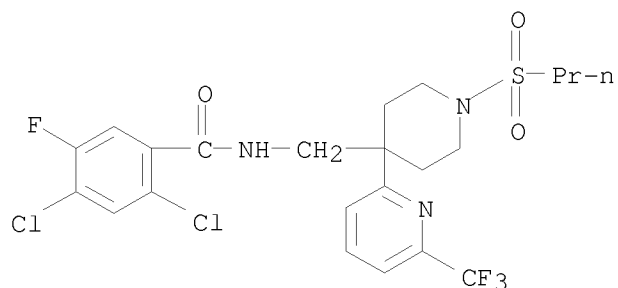
RN 866559-62-8 CAPLUS

CN Benzamide, 2,4-dichloro-N-[1-[4-(3-fluoro-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)



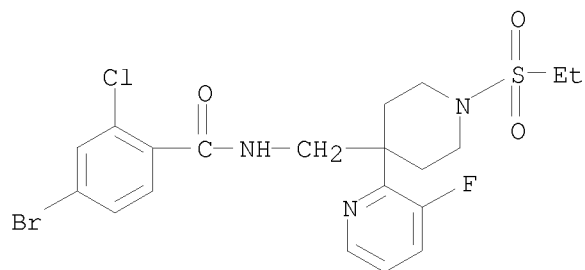
RN 866559-64-0 CAPLUS

CN Benzamide, 2,4-dichloro-5-fluoro-N-[[1-(propylsulfonyl)-4-[6-(trifluoromethyl)-2-pyridinyl]-4-piperidinyl]methyl]- (CA INDEX NAME)



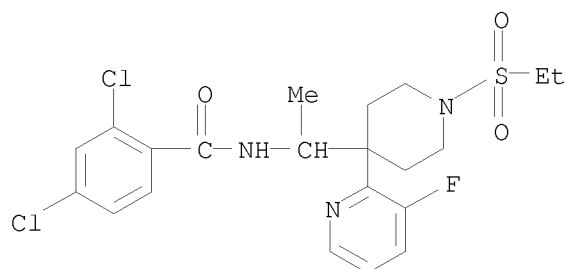
RN 866559-65-1 CAPLUS

CN Benzamide, 4-bromo-2-chloro-N-[[1-(ethylsulfonyl)-4-(3-fluoro-2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



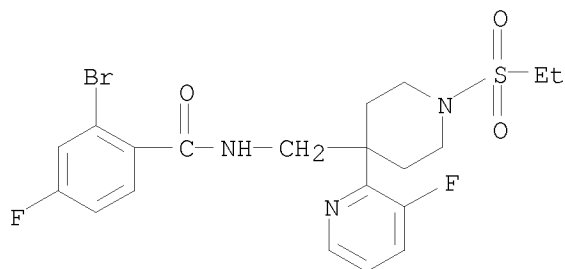
RN 866559-67-3 CAPLUS

CN Benzamide, 2,4-dichloro-N-[1-[1-(ethylsulfonyl)-4-(3-fluoro-2-pyridinyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)



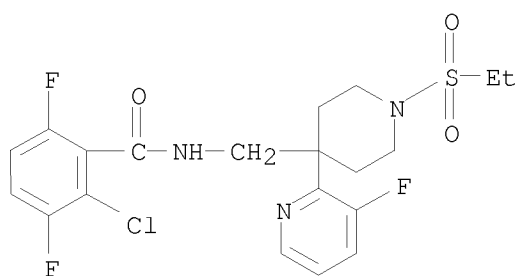
RN 866559-68-4 CAPLUS

CN Benzamide, 2-bromo-N-[[1-(ethylsulfonyl)-4-(3-fluoro-2-pyridinyl)-4-piperidinyl]methyl]-4-fluoro- (CA INDEX NAME)



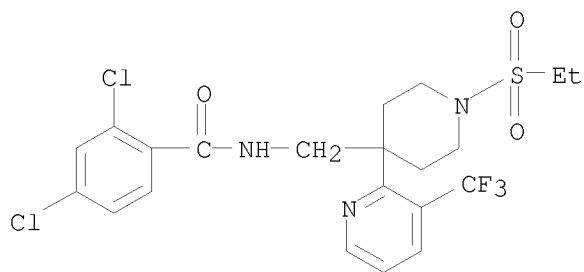
RN 866559-69-5 CAPLUS

CN Benzamide, 2-chloro-N-[[1-(ethylsulfonyl)-4-(3-fluoro-2-pyridinyl)-4-piperidinyl]methyl]-3,6-difluoro- (CA INDEX NAME)



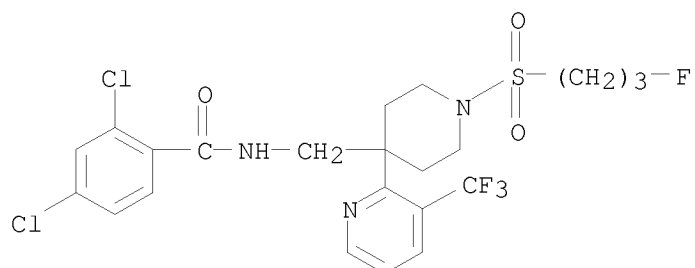
RN 866559-70-8 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-(ethylsulfonyl)-4-[3-(trifluoromethyl)-2-pyridinyl]-4-piperidinyl]methyl]- (CA INDEX NAME)



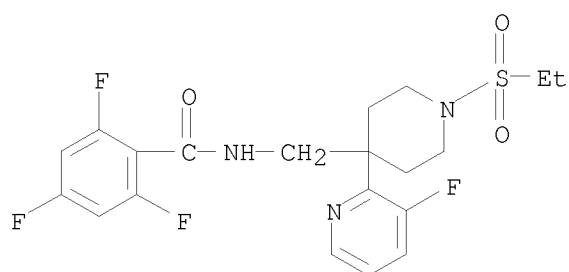
RN 866559-71-9 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-[(3-fluoropropyl)sulfonyl]-4-[3-(trifluoromethyl)-2-pyridinyl]-4-piperidinyl]methyl]- (CA INDEX NAME)



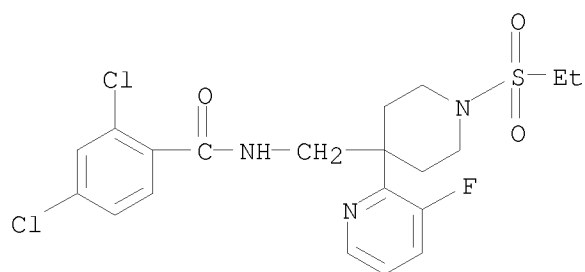
RN 866559-72-0 CAPLUS

CN Benzamide, N-[[1-(ethylsulfonyl)-4-(3-fluoro-2-pyridinyl)-4-piperidinyl]methyl]-2,4,6-trifluoro- (CA INDEX NAME)



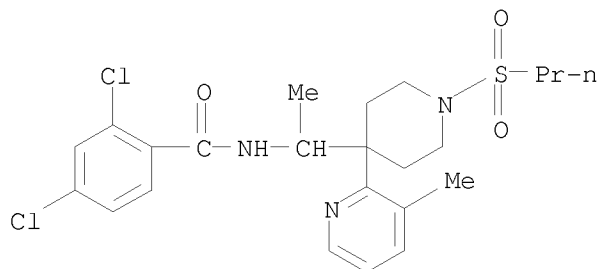
RN 866559-74-2 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[1-(ethylsulfonyl)-4-(3-fluoro-2-pyridinyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



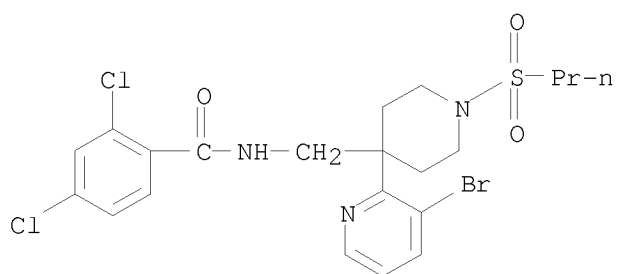
RN 866559-75-3 CAPLUS

CN Benzamide, 2,4-dichloro-N-[1-[4-(3-methyl-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)



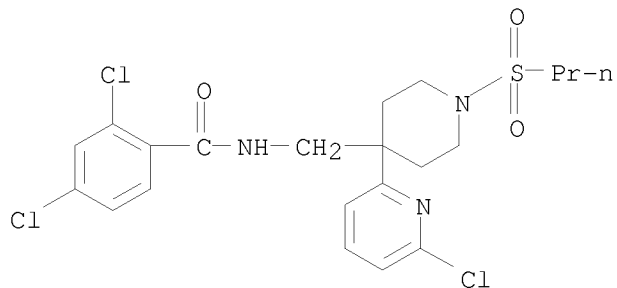
RN 866559-76-4 CAPLUS

CN Benzamide, N-[[4-(3-bromo-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]-2,4-dichloro- (CA INDEX NAME)



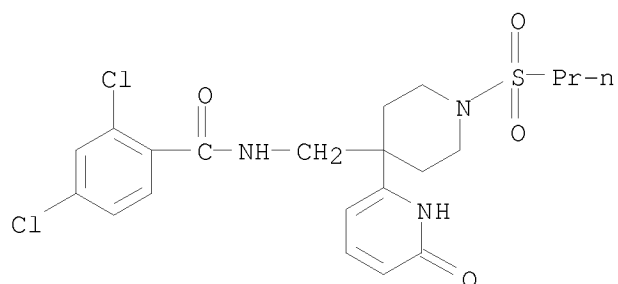
RN 866559-78-6 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(6-chloro-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



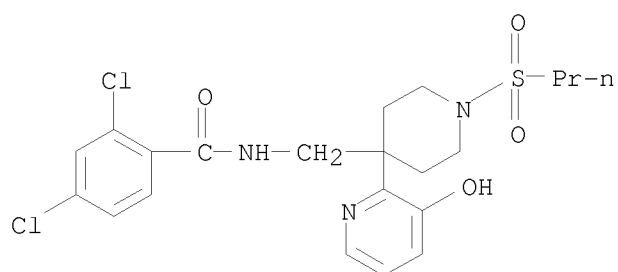
RN 866559-79-7 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[4-(1,6-dihydro-6-oxo-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 866559-80-0 CAPLUS

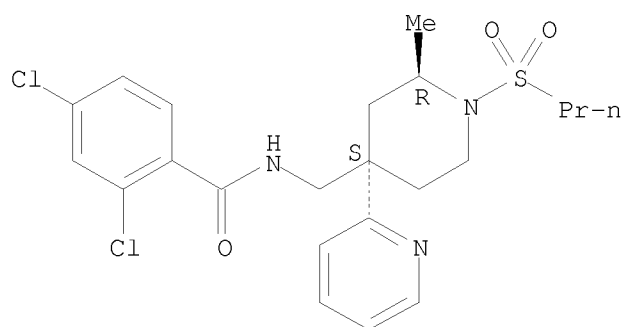
CN Benzamide, 2,4-dichloro-N-[[4-(3-hydroxy-2-pyridinyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 866559-81-1 CAPLUS

CN Benzamide, 2,4-dichloro-N-[[[(2R,4S)-2-methyl-1-(propylsulfonyl)-4-(2-pyridinyl)-4-piperidinyl]methyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



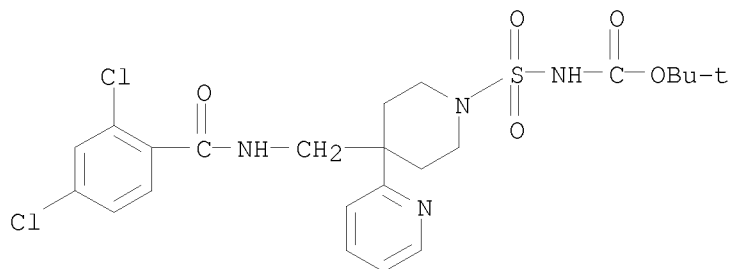
IT 866559-91-3P 866559-92-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of heteroaryl-substituted piperidine glycine transporter inhibitors for treatment of psychiatric disorders)

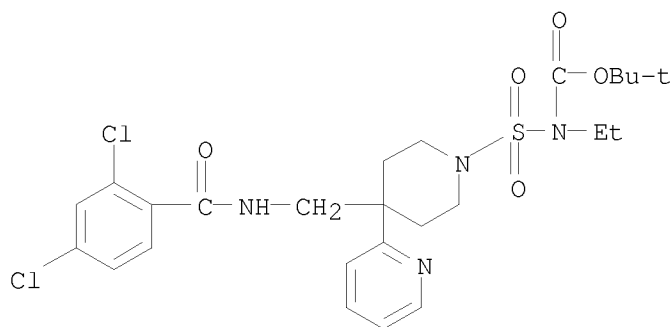
RN 866559-91-3 CAPLUS

CN Carbamic acid, [[4-[[[(2,4-dichlorobenzoyl)amino]methyl]-4-(2-pyridinyl)-1-piperidinyl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 866559-92-4 CAPLUS

CN Carbamic acid, [[4-[[[(2,4-dichlorobenzoyl)amino]methyl]-4-(2-pyridinyl)-1-piperidinyl]sulfonyl]ethyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

L12 ANSWER 13 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:698366 CAPLUS

DOCUMENT NUMBER: 143:166724

TITLE: Prodrugs of potassium channel inhibitors, and preparation thereof

INVENTOR(S): Gross, Michael F.; Lloyd, John

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 25 pp., Cont.-in-part of U.S. Ser. No. 417,355.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050171156	A1	20050804	US 2005-28399	20050103
US 7435824	B2	20081014		
US 20040110793	A1	20040610	US 2003-417355	20030416
US 7005436	B2	20060228		
US 20060014792	A1	20060119	US 2005-186991	20050721
US 7582654	B2	20090901		
WO 2006073967	A1	20060713	WO 2005-US47183	20051227

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,

GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR,
 KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX,
 MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE,
 SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC,
 VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
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 CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
 GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
 KG, KZ, MD, RU, TJ, TM

EP 1841741 A1 20071010 EP 2005-855697 20051227

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
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PRIORITY APPLN. INFO.:

US 2002-374279P P 20020419

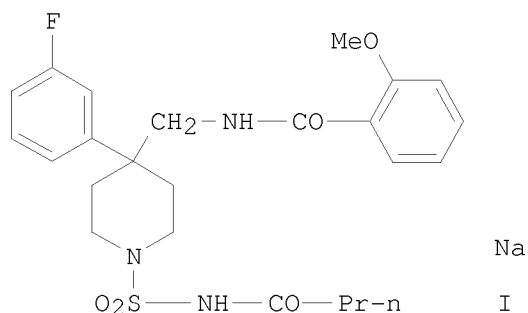
US 2003-417355 A2 20030416

US 2005-28399 A 20050103

WO 2005-US47183 W 20051227

OTHER SOURCE(S): CASREACT 143:166724; MARPAT 143:166724

GI



AB The invention discloses compds. useful as prodrugs of potassium channel inhibitor compds., in particular as prodrugs of Kv1.5 channel inhibitors. Preparation of compds. of the invention, e.g. I, is described.

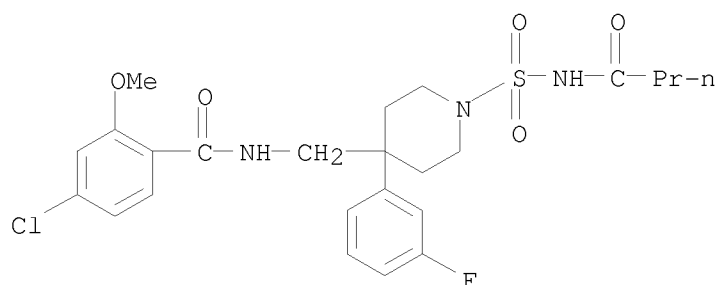
IT 1056139-22-0 1056139-24-2 1056139-27-5
 1056139-29-7 1056139-30-0 1056139-31-1
 1056139-32-2 1056139-33-3

RL: PRPH (Prophetic)

(Prodrugs of potassium channel inhibitors, and preparation thereof)

RN 1056139-22-0 CAPLUS

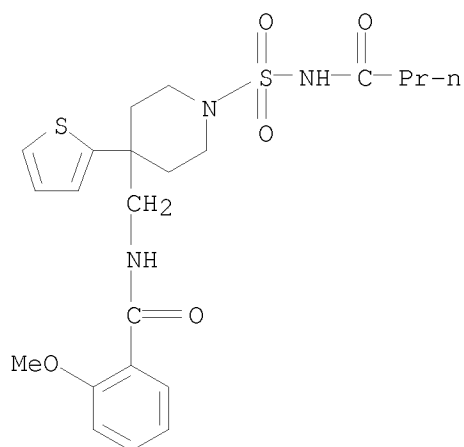
CN Benzamide, 4-chloro-N-[[4-(3-fluorophenyl)-1-[[[(1-oxobutyl)amino]sulfonyl]-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)



RN 1056139-24-2 CAPLUS

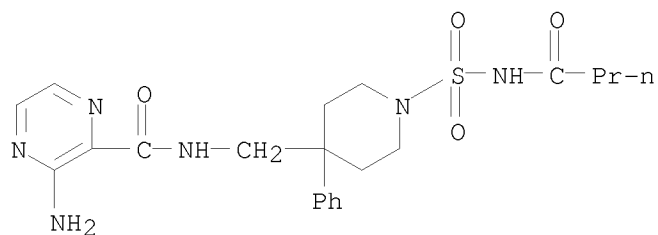
CN Benzamide, 2-methoxy-N-[[1-[[[(1-oxobutyl)amino]sulfonyl]-4-(2-thienyl)-4-

piperidinyl)methyl]- (CA INDEX NAME)



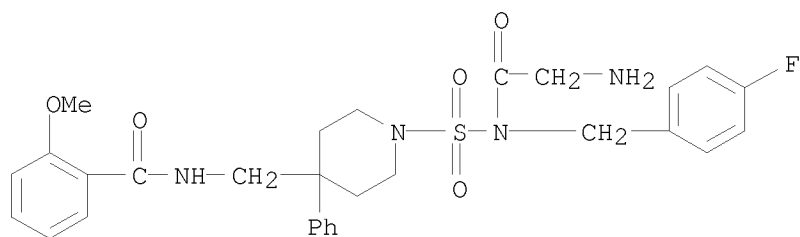
RN 1056139-27-5 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-[[1-[[1-(1-oxobutyl)amino]sulfonyl]-4-phenyl-4-piperidinyl)methyl]- (CA INDEX NAME)



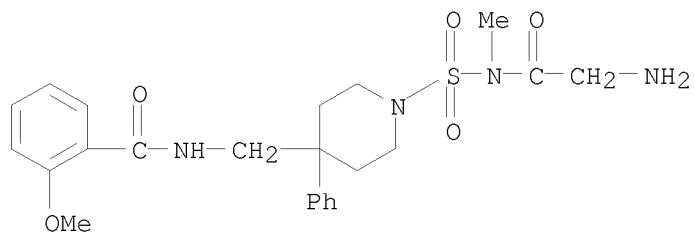
RN 1056139-29-7 CAPLUS

CN INDEX NAME NOT YET ASSIGNED



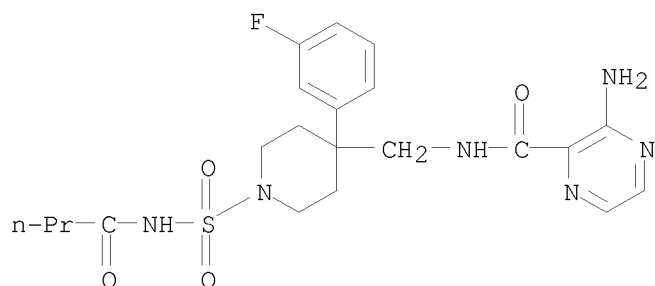
RN 1056139-30-0 CAPLUS

CN INDEX NAME NOT YET ASSIGNED



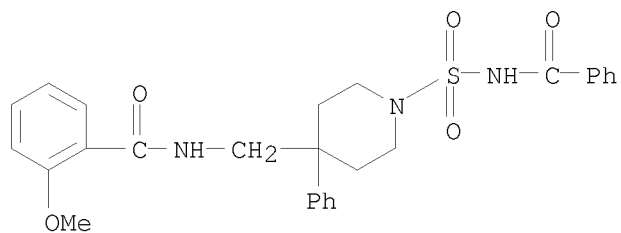
RN 1056139-31-1 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-[[4-(3-fluorophenyl)-1-[[[(1-oxobutyl)amino]sulfonyl]-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)



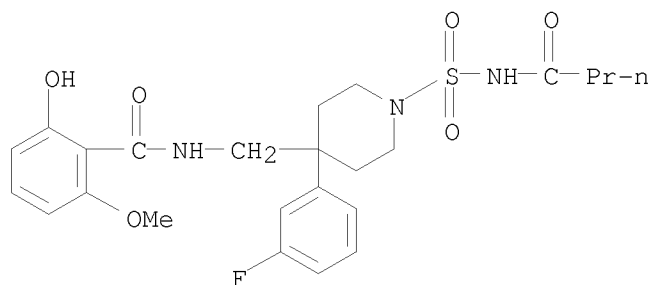
RN 1056139-32-2 CAPLUS

CN Benzamide, N-[[1-[(benzoylamino)sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)



RN 1056139-33-3 CAPLUS

CN Benzamide, N-[[4-(3-fluorophenyl)-1-[[[(1-oxobutyl)amino]sulfonyl]-4-piperidinyl]methyl]-2-hydroxy-6-methoxy- (CA INDEX NAME)



IT 619292-85-2P

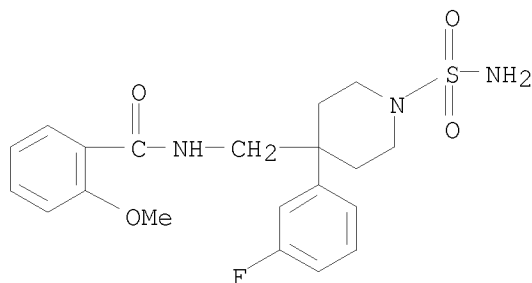
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); RCT

(Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(potassium channel inhibitor prodrugs, and preparation)

RN 619292-85-2 CAPLUS

CN Benzamide, N-[[1-(aminosulfonyl)-4-(3-fluorophenyl)-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)



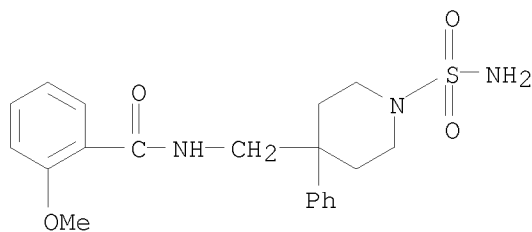
IT 619278-40-9 861214-44-0 861214-47-3

RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(potassium channel inhibitor prodrugs, and preparation)

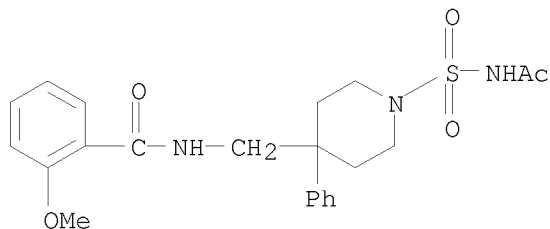
RN 619278-40-9 CAPLUS

CN Benzamide, N-[[1-(aminosulfonyl)-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)



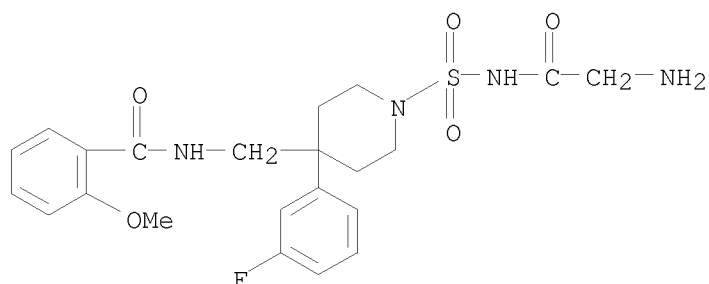
RN 861214-44-0 CAPLUS

CN Benzamide, N-[[1-[(acetylamino)sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)



RN 861214-47-3 CAPLUS

CN Benzamide, N-[[1-[[[(2-aminoacetyl)amino]sulfonyl]-4-(3-fluorophenyl)-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

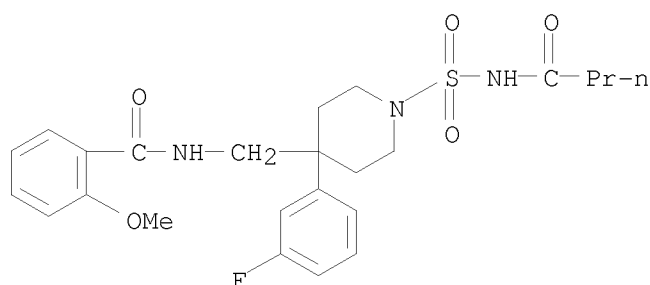


IT 861214-42-8P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(potassium channel inhibitor prodrugs, and preparation)

RN 861214-42-8 CAPLUS

CN Benzamide, N-[[4-(3-fluorophenyl)-1-[[[(1-oxobutyl)amino]sulfonyl]-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)



IT 861214-43-9P

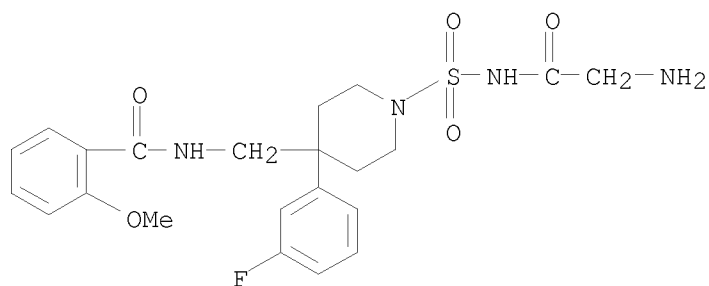
861214-45-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(potassium channel inhibitor prodrugs, and preparation)

RN 861214-43-9 CAPLUS

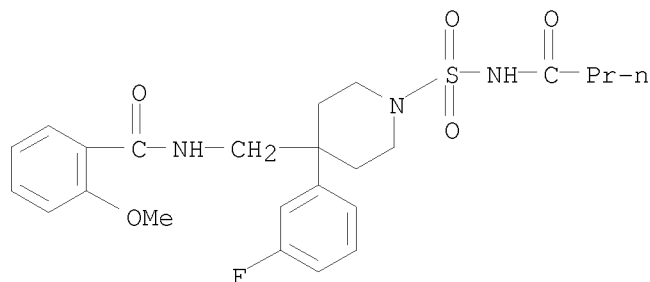
CN Benzamide, N-[[1-[[[(2-aminoacetyl)amino]sulfonyl]-4-(3-fluorophenyl)-4-piperidinyl]methyl]-2-methoxy-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 861214-45-1 CAPLUS

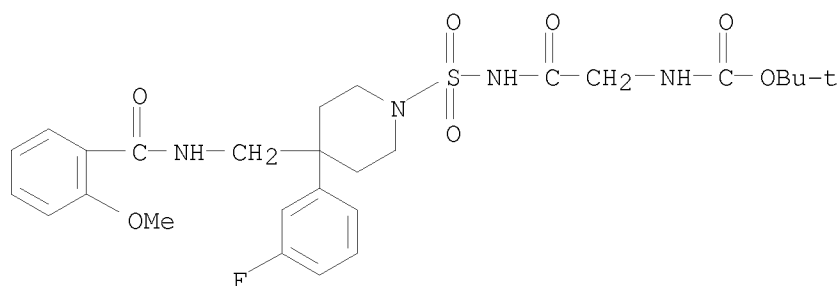
CN Benzamide, N-[[4-(3-fluorophenyl)-1-[[[(1-oxobutyl)amino]sulfonyl]-4-piperidinyl]methyl]-2-methoxy-, sodium salt (1:1) (CA INDEX NAME)



IT 861214-46-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(potassium channel inhibitor prodrugs, and preparation)

RN 861214-46-2 CAPLUS

CN Carbamic acid, [2-[[[4-(3-fluorophenyl)-4-[[[(2-methoxybenzoyl)amino]methyl]-1-piperidinyl]sulfonyl]amino]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

REFERENCE COUNT: 57 THERE ARE 57 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 14 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:451128 CAPLUS

DOCUMENT NUMBER: 142:476263

TITLE: 4-Phenylpiperidine derivative glycine transporter inhibitors for the treatment of neurological and psychiatric disorders

INVENTOR(S): Lindsley, Craig W.; Wisnoski, David D.; Zhao, Zhijian

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 76 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2005046601	A2	20050526	WO 2004-US37359	20041110
WO 2005046601	A3	20050818		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW,			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2004289290	A1	20050526	AU 2004-289290	20041110
CA 2544981	A1	20050526	CA 2004-2544981	20041110
EP 1684759	A2	20060802	EP 2004-810610	20041110
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS			
CN 1878551	A	20061213	CN 2004-80033295	20041110
JP 2007512251	T	20070517	JP 2006-539749	20041110
IN 2006DN01895	A	20070615	IN 2006-DN1895	20060407
US 20070105902	A1	20070510	US 2006-579261	20060511
PRIORITY APPLN. INFO.:			US 2003-519348P	P 20031112
			WO 2004-US37359	W 20041110

OTHER SOURCE(S): MARPAT 142:476263

AB The invention discloses 4-phenylpiperidine derivs. that inhibit the glycine transporter GlyT1 and which are useful in the treatment of neurol. and psychiatric disorders associated with glycinergic or glutamatergic neurotransmission dysfunction and diseases in which the glycine transporter GlyT1 is involved. Compound preparation is described.

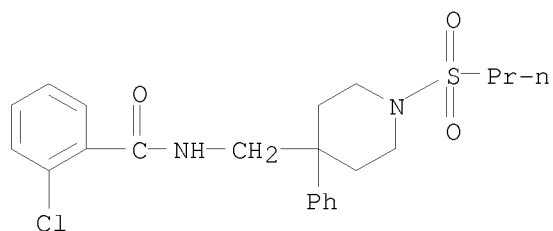
IT 852029-09-5P 852029-11-9P 852029-12-0P
852029-13-1P 852029-16-4P 852029-17-5P
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852029-22-2P 852029-23-3P 852029-24-4P
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852029-28-8P 852029-29-9P 852029-30-2P
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852029-71-1P 852029-72-2P 852029-73-3P
852029-74-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(phenylpiperidine derivative glycine transporter inhibitors for treatment of neurol. and psychiatric disorders)

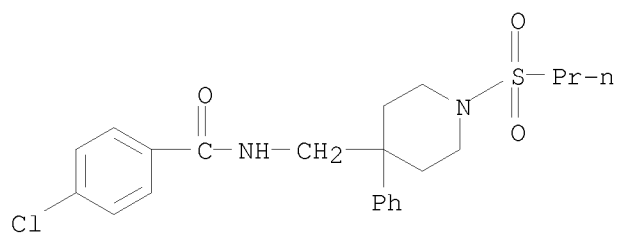
RN 852029-09-5 CAPLUS

CN Benzamide, 2-chloro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



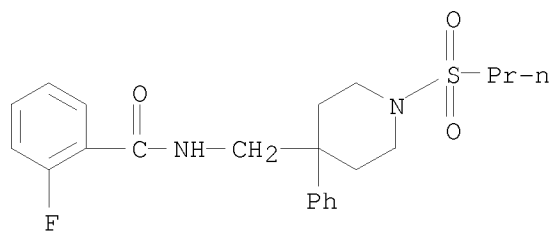
RN 852029-11-9 CAPLUS

CN Benzamide, 4-chloro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]-
(CA INDEX NAME)



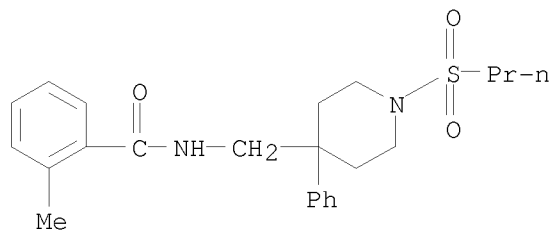
RN 852029-12-0 CAPLUS

CN Benzamide, 2-fluoro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]-
(CA INDEX NAME)



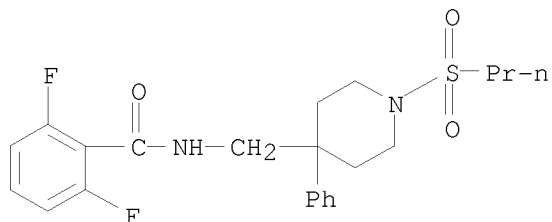
RN 852029-13-1 CAPLUS

CN Benzamide, 2-methyl-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]-
(CA INDEX NAME)



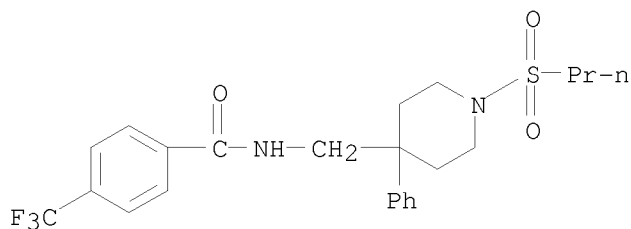
RN 852029-16-4 CAPLUS

CN Benzamide, 2,6-difluoro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]-
(CA INDEX NAME)



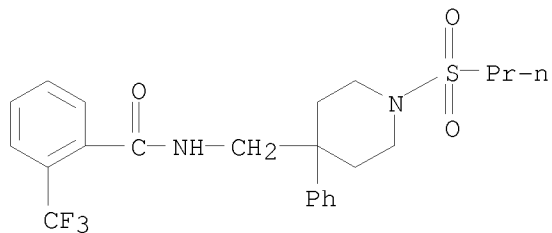
RN 852029-17-5 CAPLUS

CN Benzamide, N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]-4-(trifluoromethyl)- (CA INDEX NAME)



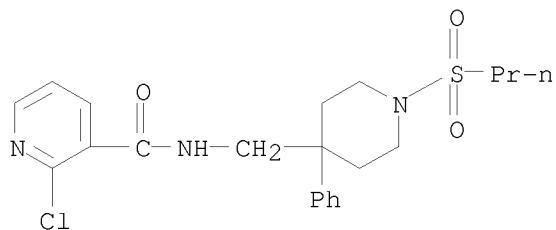
RN 852029-18-6 CAPLUS

CN Benzamide, N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]-2-(trifluoromethyl)- (CA INDEX NAME)



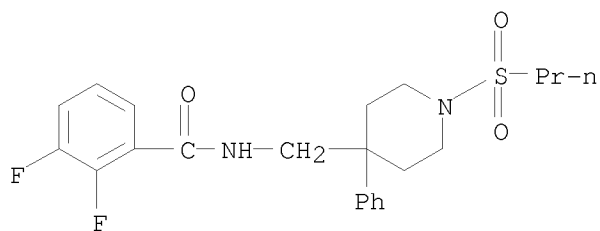
RN 852029-19-7 CAPLUS

CN 3-Pyridinecarboxamide, 2-chloro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



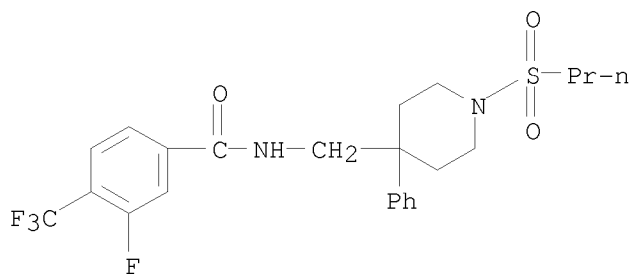
RN 852029-21-1 CAPLUS

CN Benzamide, 2,3-difluoro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



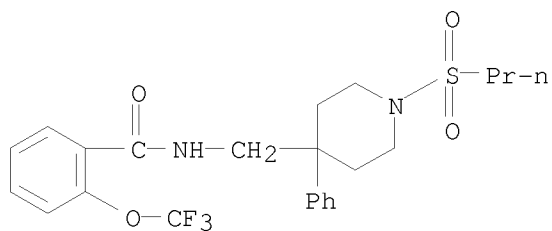
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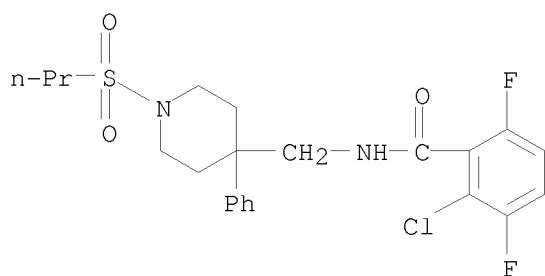
RN 852029-23-3 CAPLUS

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RN 852029-24-4 CAPLUS

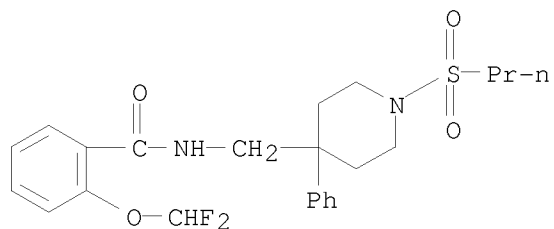
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RN 852029-25-5 CAPLUS

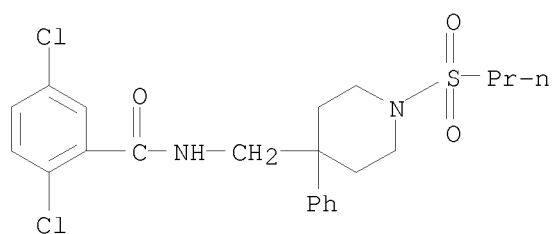
CN Benzamide, 2-(difluoromethoxy)-N-[[4-phenyl-1-(propylsulfonyl)-4-

piperidinyl)methyl]- (CA INDEX NAME)



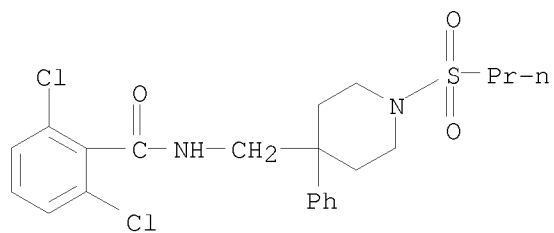
RN 852029-26-6 CAPLUS

CN Benzamide, 2,5-dichloro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl)methyl]- (CA INDEX NAME)



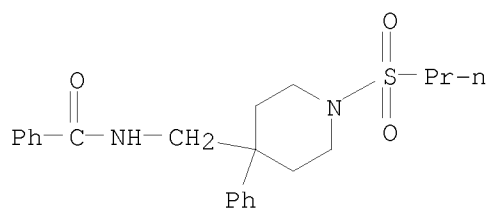
RN 852029-27-7 CAPLUS

CN Benzamide, 2,6-dichloro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl)methyl]- (CA INDEX NAME)



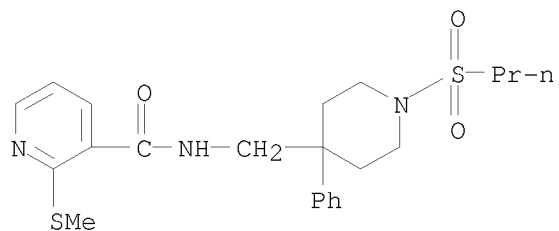
RN 852029-28-8 CAPLUS

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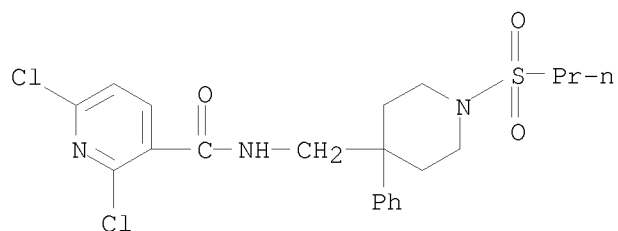
RN 852029-29-9 CAPLUS

CN 3-Pyridinecarboxamide, 2-(methylthio)-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl)methyl]- (CA INDEX NAME)



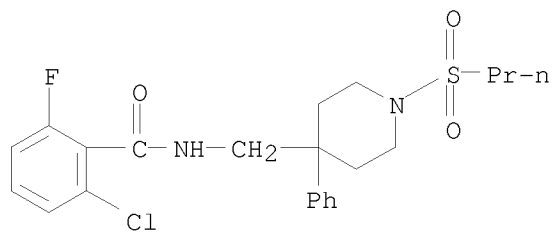
RN 852029-30-2 CAPLUS

CN 3-Pyridinecarboxamide, 2,6-dichloro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



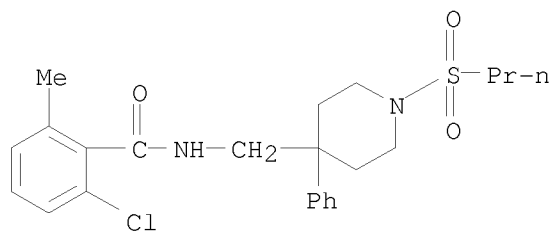
RN 852029-31-3 CAPLUS

CN Benzamide, 2-chloro-6-fluoro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



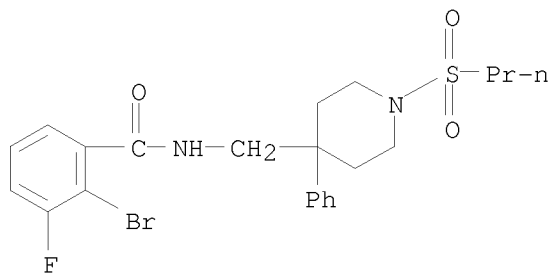
RN 852029-32-4 CAPLUS

CN Benzamide, 2-chloro-6-methyl-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



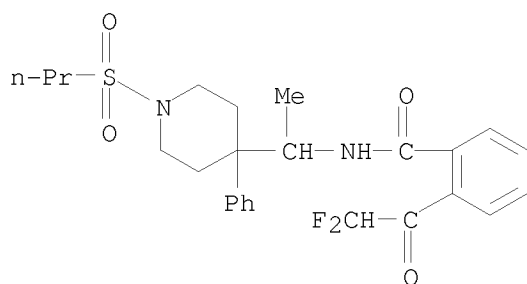
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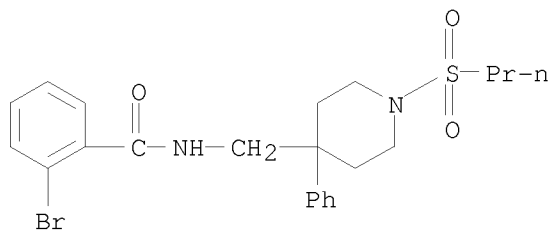
RN 852029-34-6 CAPLUS

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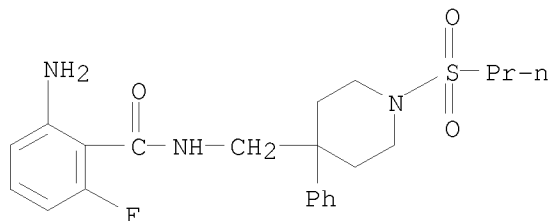
RN 852029-35-7 CAPLUS

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RN 852029-36-8 CAPLUS

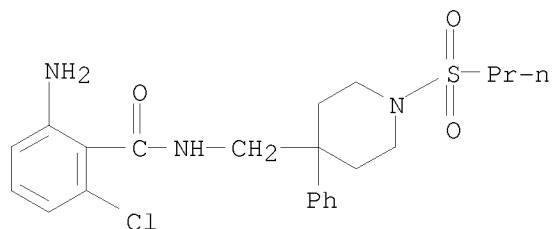
CN Benzamide, 2-amino-6-fluoro-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 852029-37-9 CAPLUS

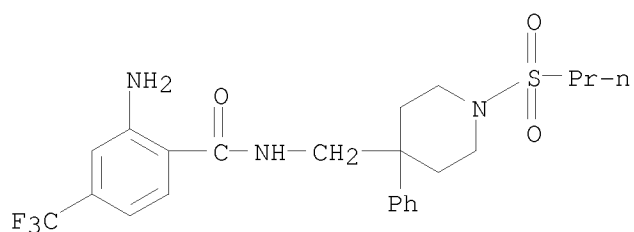
CN Benzamide, 2-amino-6-chloro-N-[[4-phenyl-1-(propylsulfonyl)-4-

piperidinyl)methyl]- (CA INDEX NAME)



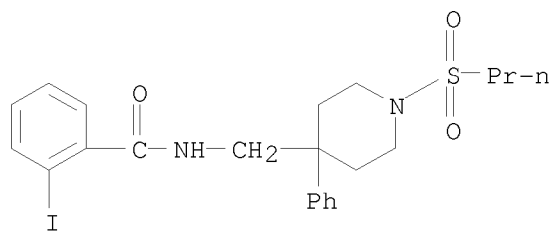
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CN Benzamide, 2-amino-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl)methyl]-4-(trifluoromethyl)- (CA INDEX NAME)



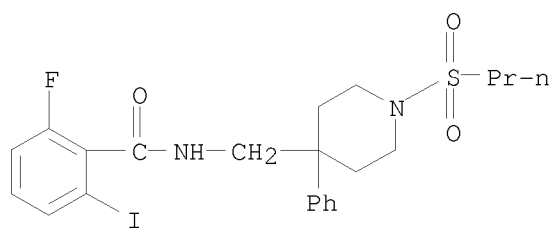
RN 852029-39-1 CAPLUS

CN Benzamide, 2-iodo-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl)methyl]- (CA INDEX NAME)



RN 852029-40-4 CAPLUS

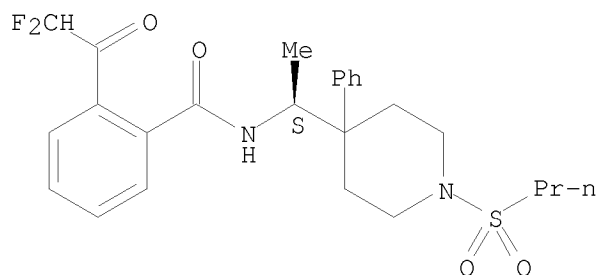
CN Benzamide, 2-fluoro-6-iodo-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl)methyl]- (CA INDEX NAME)



RN 852029-41-5 CAPLUS

CN Benzamide, 2-(2,2-difluoroacetyl)-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

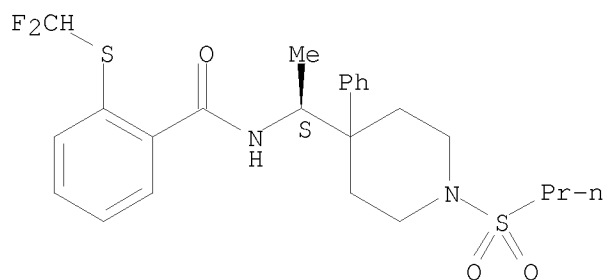
Absolute stereochemistry.



RN 852029-42-6 CAPLUS

CN Benzamide, 2-[(difluoromethyl)thio]-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

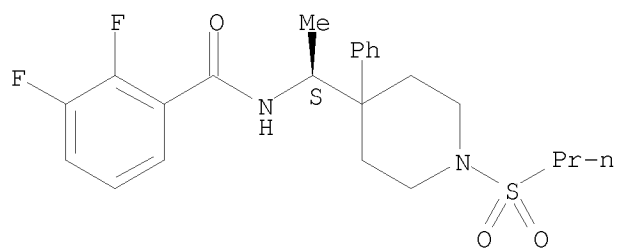
Absolute stereochemistry.



RN 852029-43-7 CAPLUS

CN Benzamide, 2,3-difluoro-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

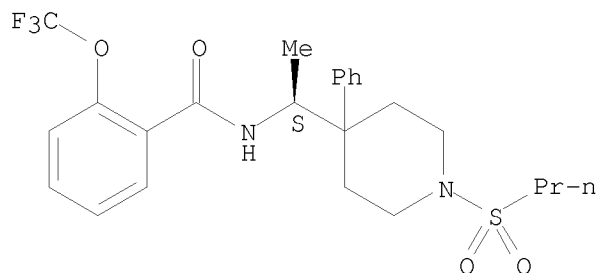
Absolute stereochemistry.



RN 852029-44-8 CAPLUS

CN Benzamide, N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]-2-(trifluoromethoxy)- (CA INDEX NAME)

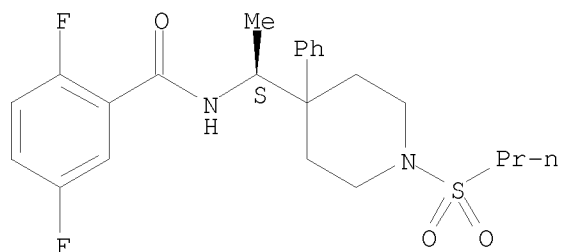
Absolute stereochemistry.



RN 852029-46-0 CAPLUS

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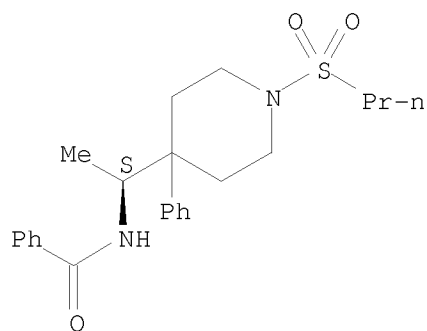
Absolute stereochemistry.



RN 852029-47-1 CAPLUS

CN Benzamide, N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

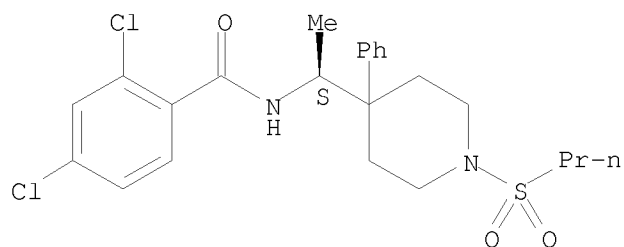
Absolute stereochemistry.



RN 852029-48-2 CAPLUS

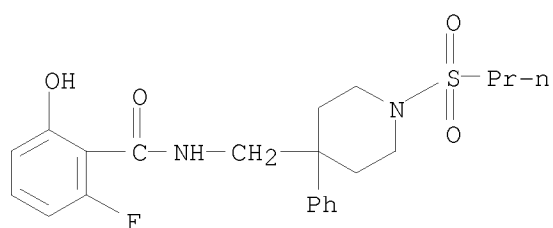
CN Benzamide, 2,4-dichloro-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 852029-49-3 CAPLUS

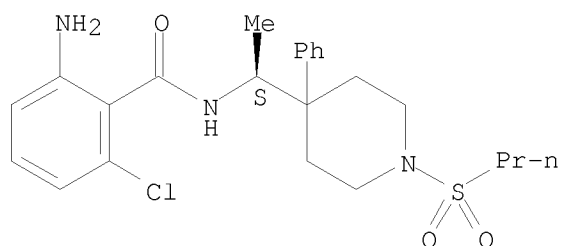
CN Benzamide, 2-fluoro-6-hydroxy-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 852029-50-6 CAPLUS

CN Benzamide, 2-amino-6-chloro-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

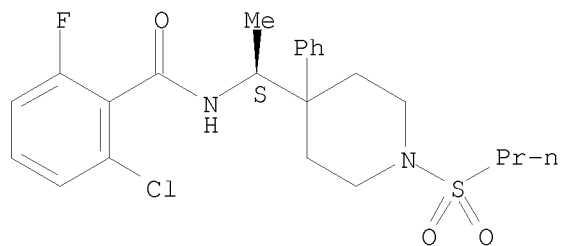
Absolute stereochemistry.



RN 852029-51-7 CAPLUS

CN Benzamide, 2-chloro-6-fluoro-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

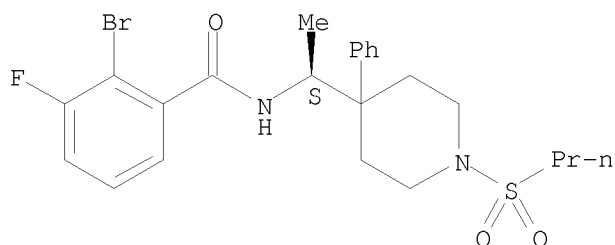
Absolute stereochemistry.



RN 852029-52-8 CAPLUS

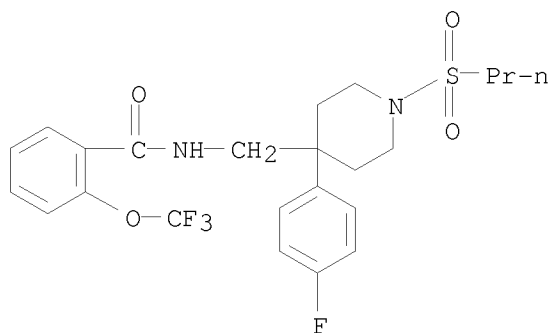
CN Benzamide, 2-bromo-3-fluoro-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.



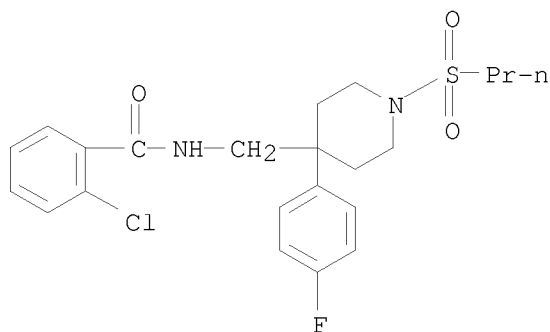
RN 852029-53-9 CAPLUS

CN Benzamide, N-[[4-(4-fluorophenyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]-2-(trifluoromethoxy)- (CA INDEX NAME)



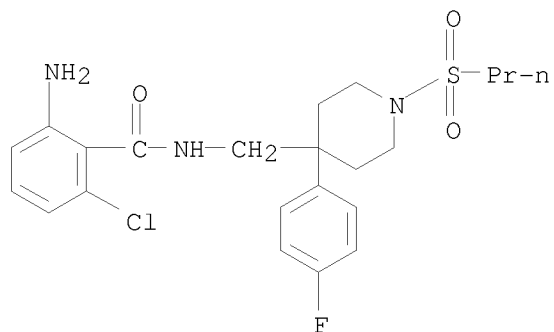
RN 852029-54-0 CAPLUS

CN Benzamide, 2-chloro-N-[[4-(4-fluorophenyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



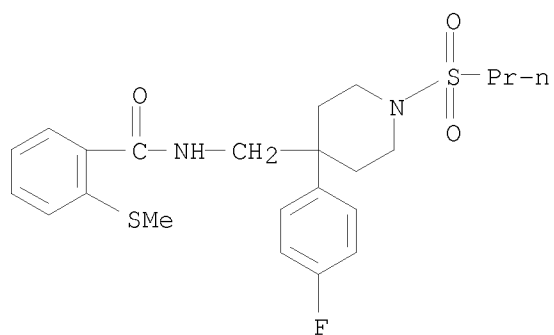
RN 852029-55-1 CAPLUS

CN Benzamide, 2-amino-6-chloro-N-[[4-(4-fluorophenyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



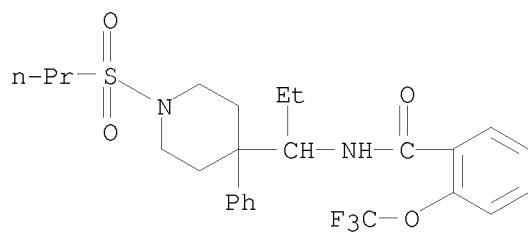
RN 852029-56-2 CAPLUS

CN Benzamide, N-[[4-(4-fluorophenyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]-2-(methylthio)- (CA INDEX NAME)



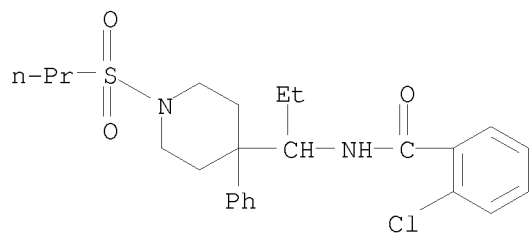
RN 852029-57-3 CAPLUS

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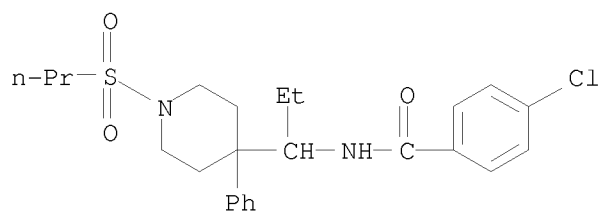
RN 852029-58-4 CAPLUS

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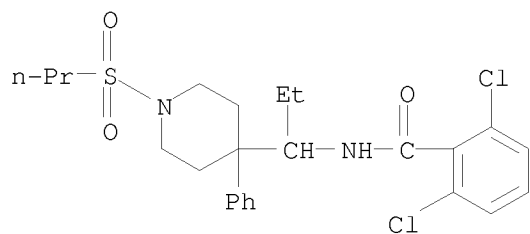
RN 852029-59-5 CAPLUS

CN Benzamide, 4-chloro-N-[1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]propyl]- (CA INDEX NAME)



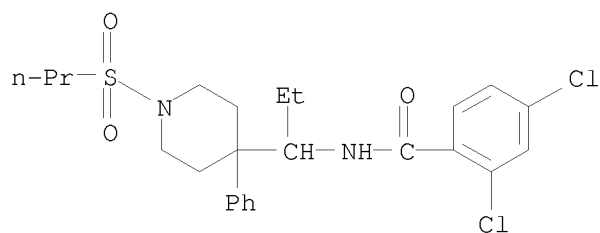
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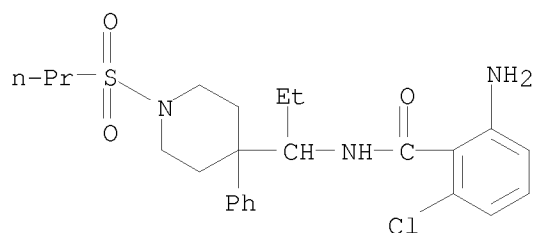
RN 852029-61-9 CAPLUS

CN Benzamide, 2,4-dichloro-N-[1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]propyl]- (CA INDEX NAME)



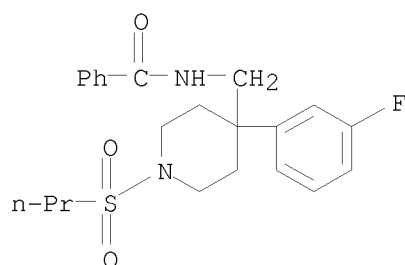
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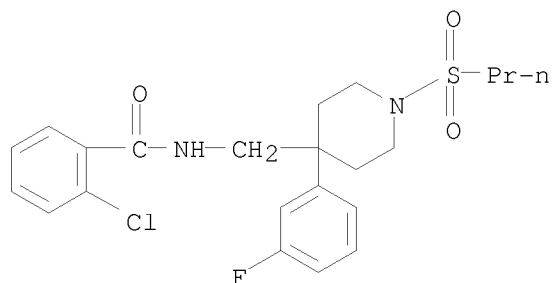
RN 852029-63-1 CAPLUS

CN Benzamide, N-[[4-(3-fluorophenyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]-
(CA INDEX NAME)



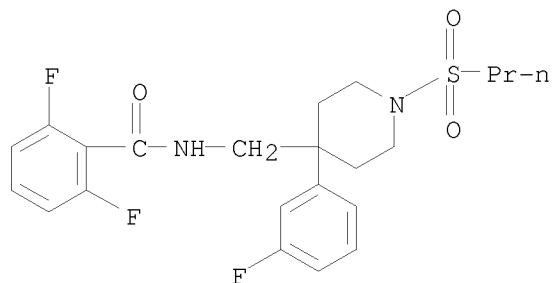
RN 852029-64-2 CAPLUS

CN Benzamide, 2-chloro-N-[[4-(3-fluorophenyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]-
(CA INDEX NAME)



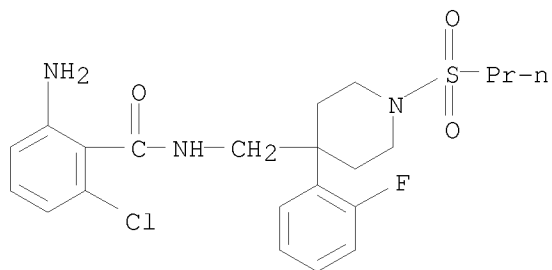
RN 852029-65-3 CAPLUS

CN Benzamide, 2,6-difluoro-N-[[4-(3-fluorophenyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]-
(CA INDEX NAME)



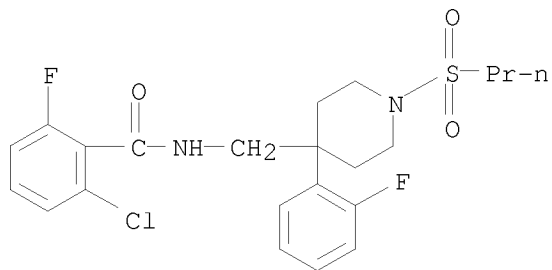
RN 852029-66-4 CAPLUS

CN Benzamide, 2-amino-6-chloro-N-[[4-(2-fluorophenyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



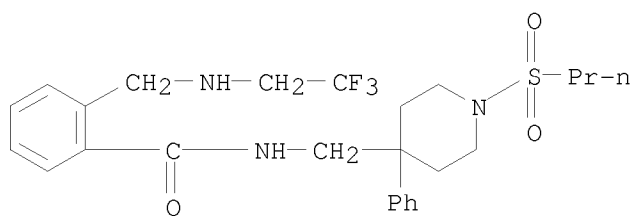
RN 852029-67-5 CAPLUS

CN Benzamide, 2-chloro-6-fluoro-N-[[4-(2-fluorophenyl)-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



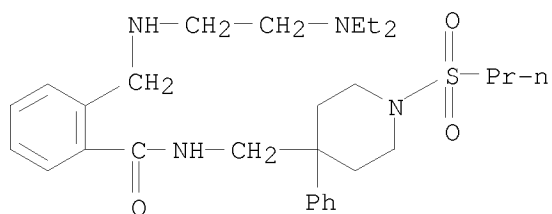
RN 852029-68-6 CAPLUS

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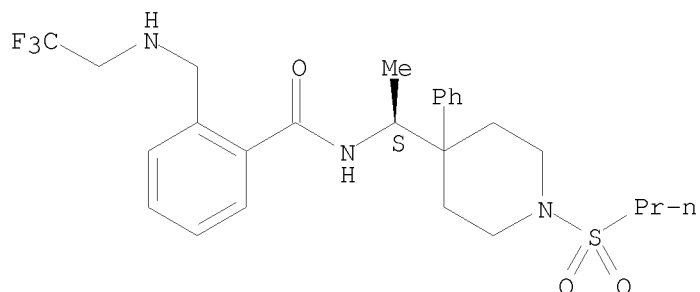
RN 852029-69-7 CAPLUS

CN Benzamide, 2-[[[2-(diethylamino)ethyl]amino]methyl]-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



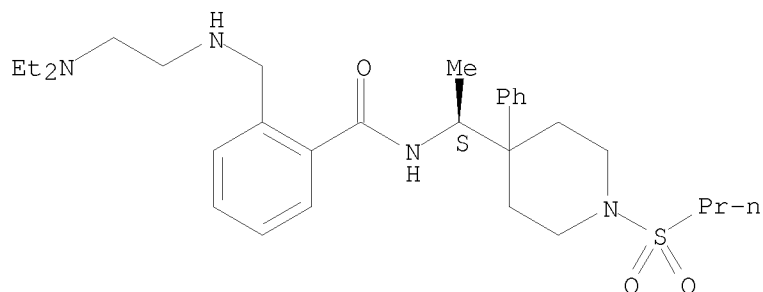
RN 852029-70-0 CAPLUS
 CN Benzamide, N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]-2-[[2,2,2-trifluoroethyl]amino]methyl]- (CA INDEX NAME)

Absolute stereochemistry.



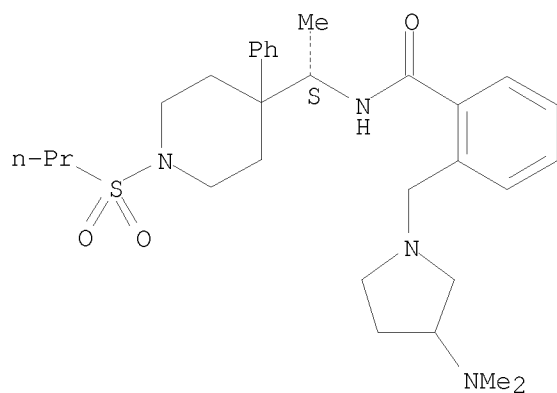
RN 852029-71-1 CAPLUS
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Absolute stereochemistry.

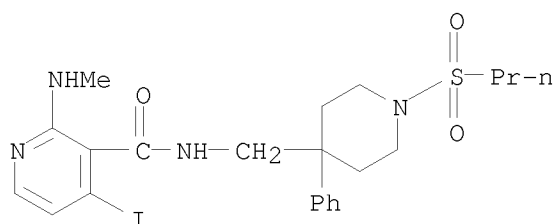


RN 852029-72-2 CAPLUS
 CN Benzamide, 2-[[[3-(dimethylamino)-1-pyrrolidinyl]methyl]-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

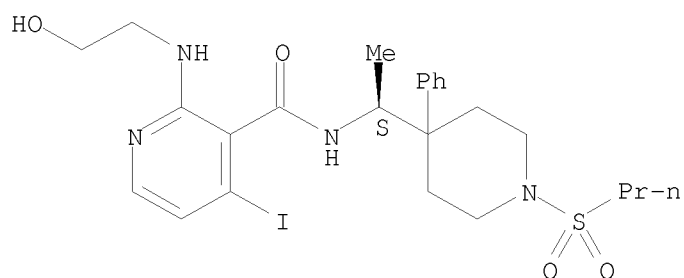


RN 852029-73-3 CAPLUS
 CN 3-Pyridinecarboxamide, 4-iodo-2-(methylamino)-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 852029-74-4 CAPLUS
 CN 3-Pyridinecarboxamide, 2-[(2-hydroxyethyl)amino]-4-iodo-N-[(1S)-1-[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD
 (6 CITINGS)

L12 ANSWER 15 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:855758 CAPLUS

DOCUMENT NUMBER: 139:364829

TITLE: Preparation of heterocyclo inhibitors of potassium channel function

INVENTOR(S): Lloyd, John; Jeon, Yoon T.; Finlay, Heather; Yan, Lin; Beaudoin, Serge; Gross, Michael F.

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA; Icagen, Inc.

SOURCE: PCT Int. Appl., 330 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

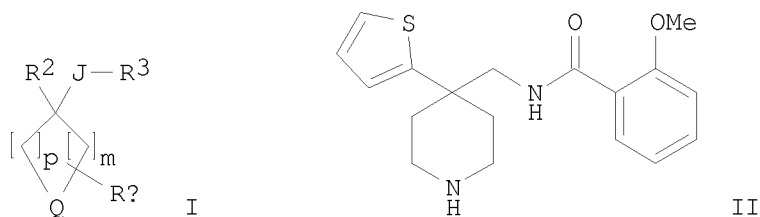
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

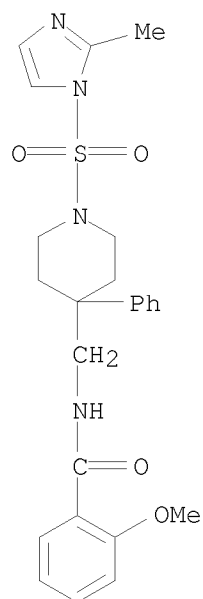
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003088908	A2	20031030	WO 2003-US11807	20030416
WO 2003088908	A3	20040527		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
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NO 2004004351	A	20041013	NO 2004-4351	20041013
PRIORITY APPLN. INFO.:			US 2002-374279P	P 20020419
			WO 2003-US11807	W 20030416
OTHER SOURCE(S):		MARPAT 139:364829		
GI				



- AB The title compds. [I; m, p = 0-3 (provided that the sum of m and p is at least 2); Q = NR1, O, S, SO, SO2; R1 = H, C(:W)NR6R7, SO2NR6R7, OCONR6R7, etc.; R2 = heteroaryl, heteroarylalkyl, aryl, etc.; J = a bond, alkylene; R3 = R5, OR5, SO2R5, etc.; R5 = CN, heteroaryl, aryl, etc.; R6, R7 = H, alkyl, OH, etc.; W = (un)substituted NH, N(CO2H), N(CN), N(SO2H), CH(NO2); Rx = H, alkyl, hydroxyalkyl, aryl, etc.], useful as inhibitors of potassium channel function (especially inhibitors of the Kv1 subfamily of voltage gated K+ channels, especially inhibitors Kv1.5 which has been linked to the ultra-rapidly activating delayed rectifier K+ current IKur) in the prevention and treatment of arrhythmia and IKur-associated conditions, were prepared E.g., a multi-step synthesis of II [starting from bis(2-chloroethyl)amine], was given. Pharmaceutical composition comprising the compound I is claimed.
- IT 619292-97-6P
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of substituted piperidines as inhibitors of potassium channel function)
- RN 619292-97-6 CAPLUS
- CN Benzamide, 2-methoxy-N-[[1-[(2-methyl-1H-imidazol-1-yl)sulfonyl]-4-phenyl-4-piperidinyl]methyl]- (CA INDEX NAME)



IT	619277-83-7P	619277-88-2P	619277-93-9P
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	619278-15-8P	619278-20-5P	619278-25-0P
	619278-31-8P	619278-36-3P	619278-40-9P
	619278-45-4P	619278-50-1P	619278-54-5P
	619278-59-0P	619278-64-7P	619278-69-2P
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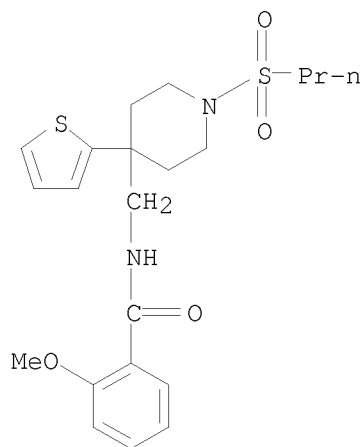
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted piperidines as inhibitors of potassium channel function)

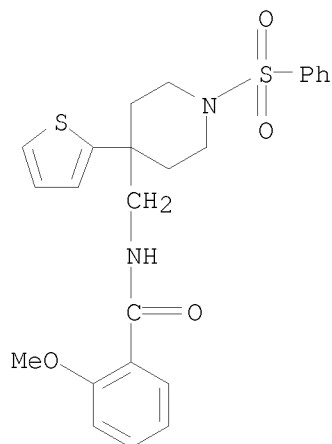
RN 619277-83-7 CAPLUS

CN Benzamide, 2-methoxy-N-[[1-(propylsulfonyl)-4-(2-thienyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



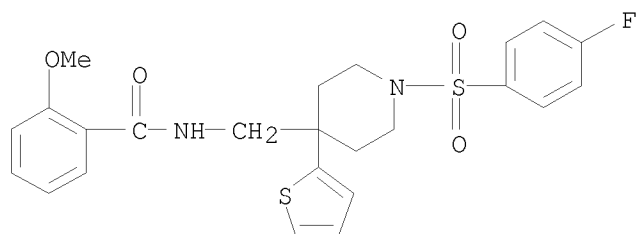
RN 619277-88-2 CAPLUS

CN Benzamide, 2-methoxy-N-[[1-(phenylsulfonyl)-4-(2-thienyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



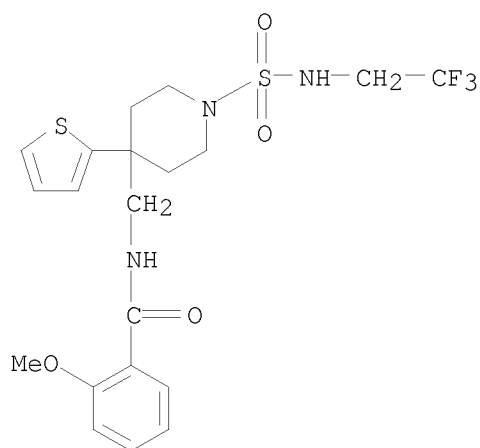
RN 619277-93-9 CAPLUS

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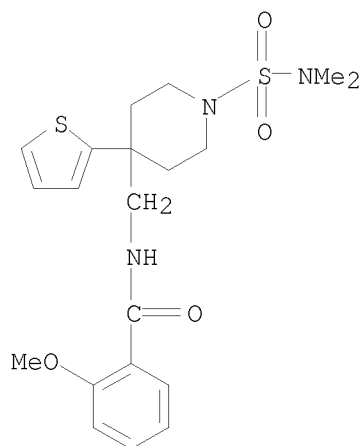
RN 619277-98-4 CAPLUS

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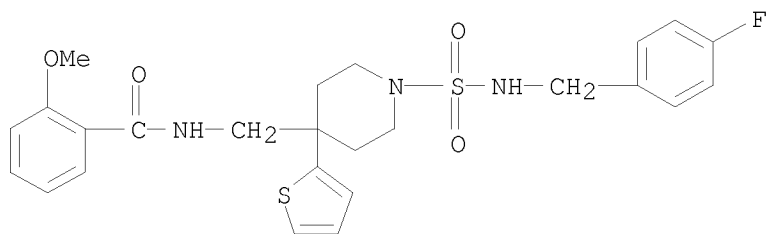
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RN 619278-09-0 CAPLUS

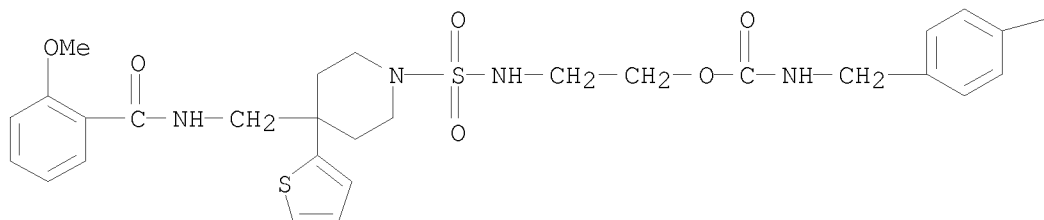
CN Benzamide, N-[[1-[[[(4-fluorophenyl)methyl]amino]sulfonyl]-4-(2-thienyl)-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)



RN 619278-15-8 CAPLUS

CN Carbamic acid, [(4-fluorophenyl)methyl]-, 2-[[[4-[[[(2-methoxybenzoyl)amino]methyl]-4-(2-thienyl)-1-piperidinyl]sulfonyl]amino]ethyl ester (9CI) (CA INDEX NAME)

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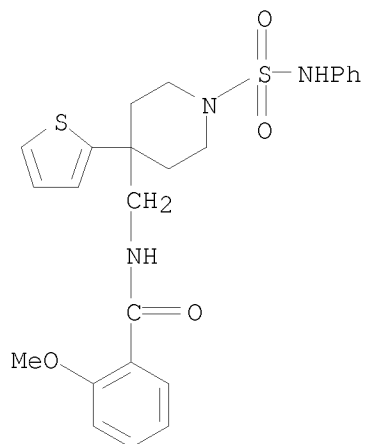


PAGE 1-B

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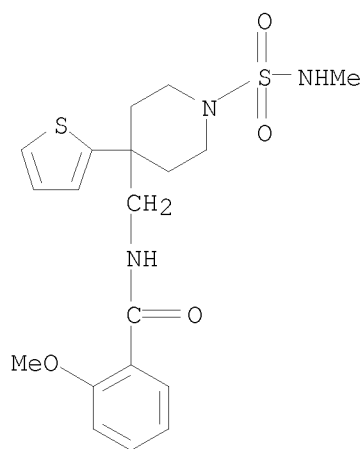
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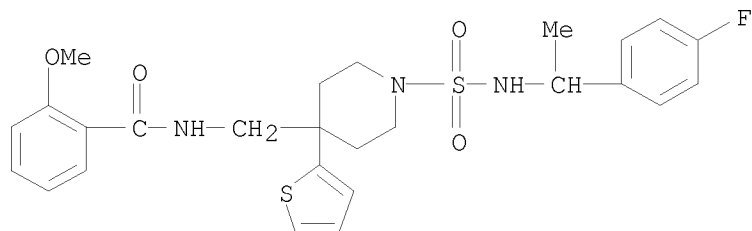
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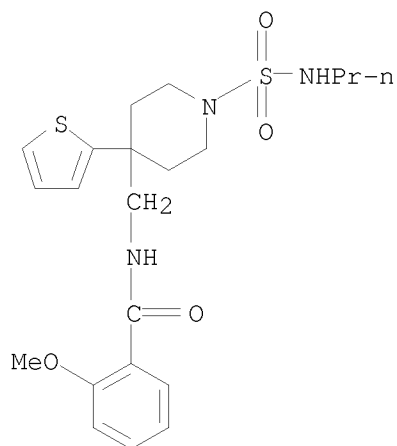
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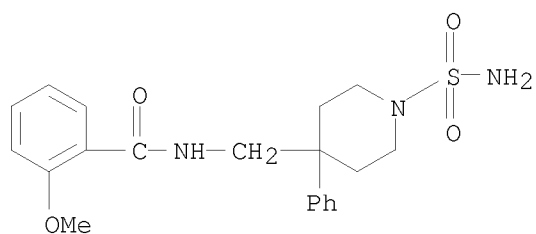
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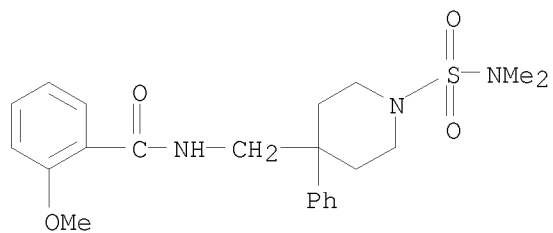
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(CA INDEX NAME)



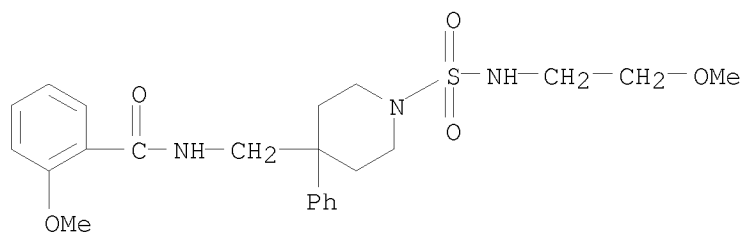
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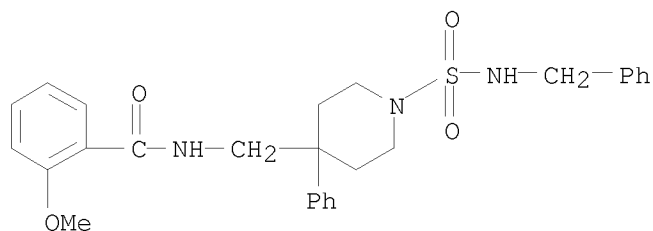


RN 619278-50-1 CAPLUS

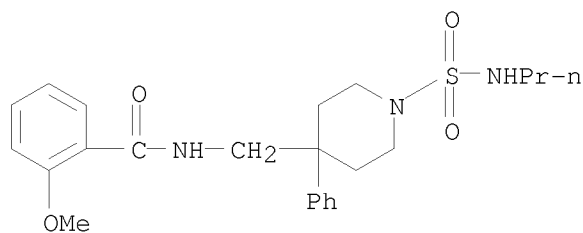
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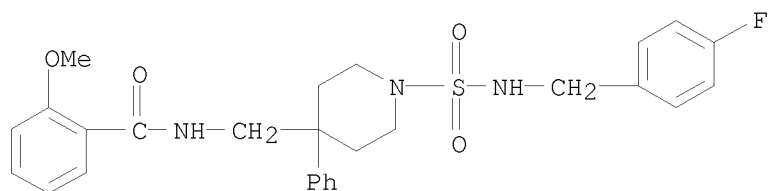
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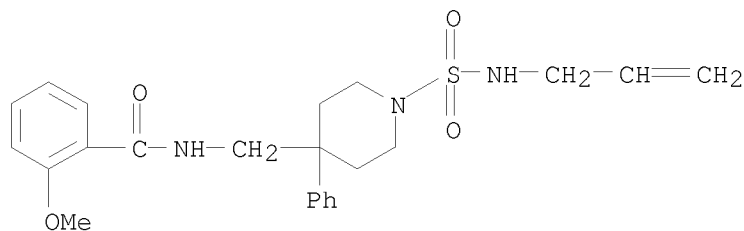
RN 619278-59-0 CAPLUS
 CN Benzamide, 2-methoxy-N-[[4-phenyl-1-[(propylamino)sulfonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 619278-64-7 CAPLUS
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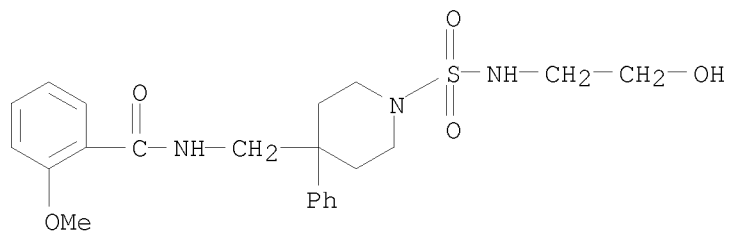


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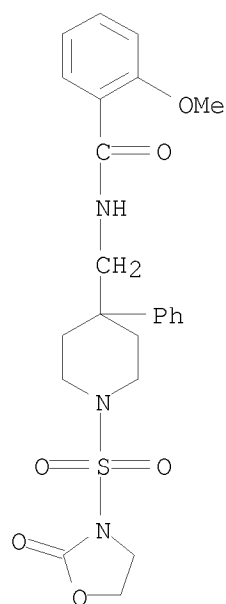
RN 619278-74-9 CAPLUS
 CN Benzamide, N-[[1-[[[(2-hydroxyethyl)amino]sulfonyl]-4-phenyl-4-

piperidinyl)methyl]-2-methoxy- (CA INDEX NAME)



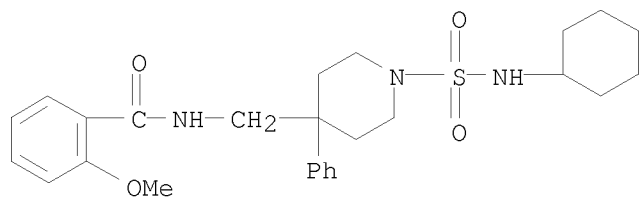
RN 619278-79-4 CAPLUS

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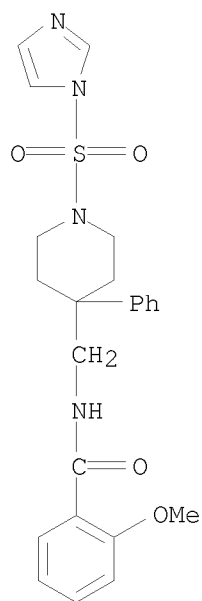
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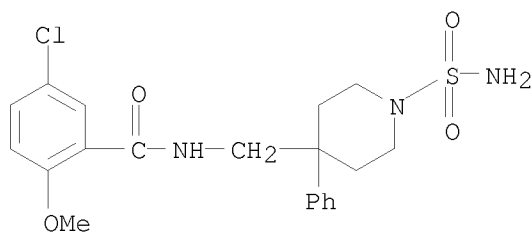


RN 619292-62-5 CAPLUS

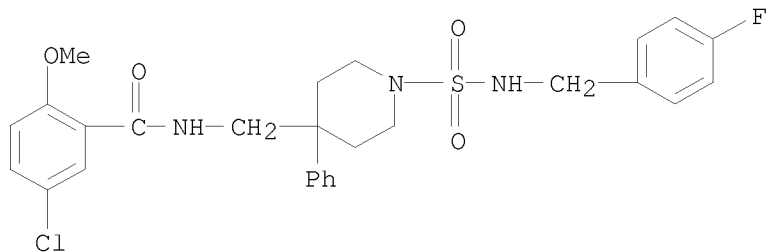
CN Benzamide, N-[[1-[(1H-imidazol-1-yl)sulfonyl]-4-phenyl-4-piperidinyl)methyl]-2-methoxy- (CA INDEX NAME)



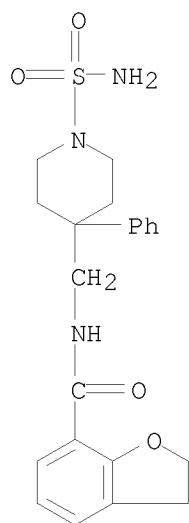
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 CN Benzamide, N-[[1-(aminosulfonyl)-4-phenyl-4-piperidinyl]methyl]-5-chloro-2-methoxy- (CA INDEX NAME)



RN 619292-76-1 CAPLUS
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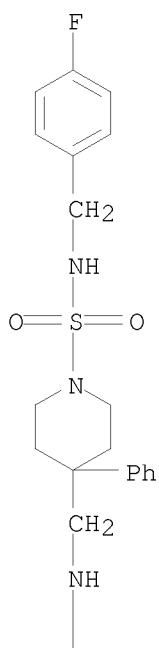
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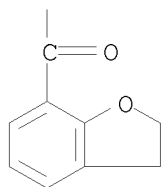


RN 619292-80-7 CAPLUS

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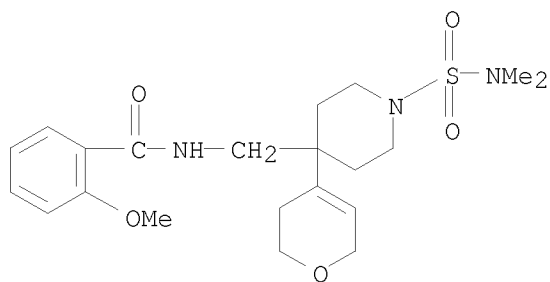
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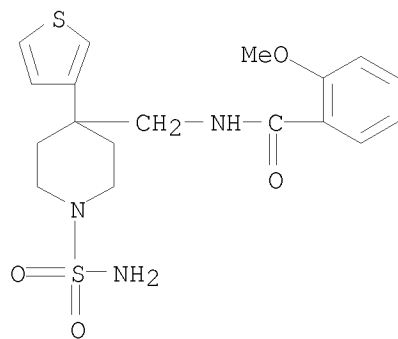
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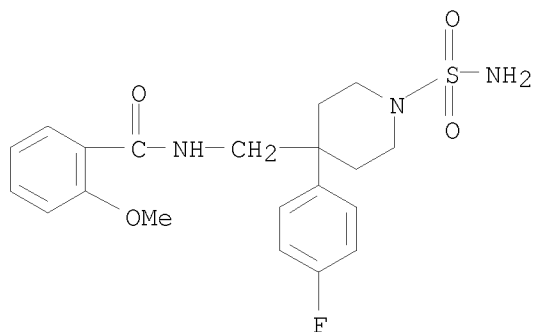
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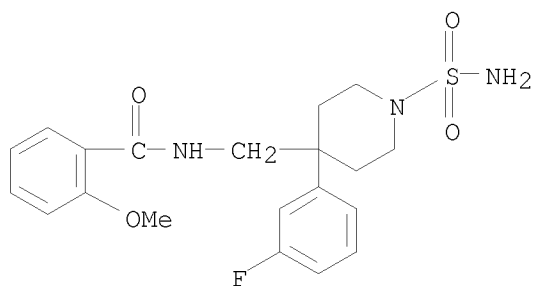
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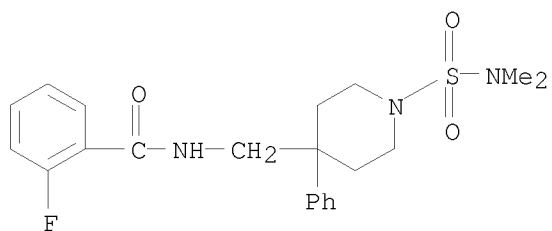
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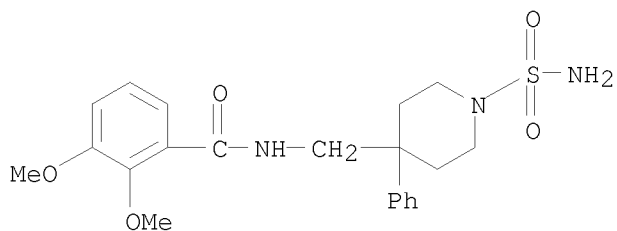
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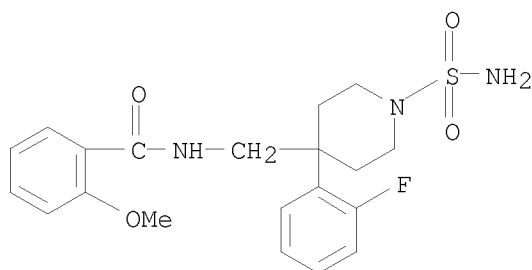


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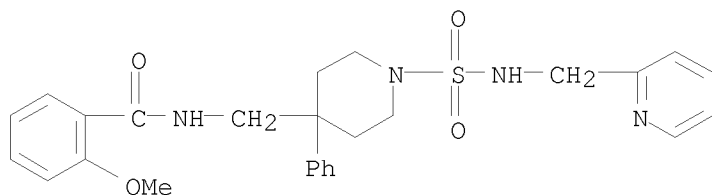
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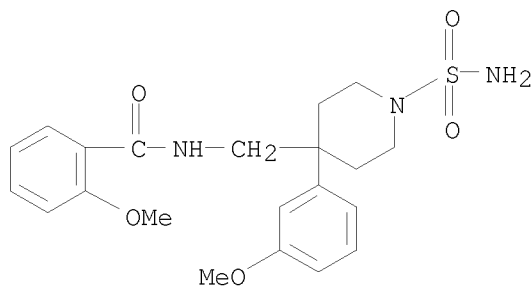
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 CN Benzamide, N-[[1-(aminosulfonyl)-4-(2-fluorophenyl)-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)



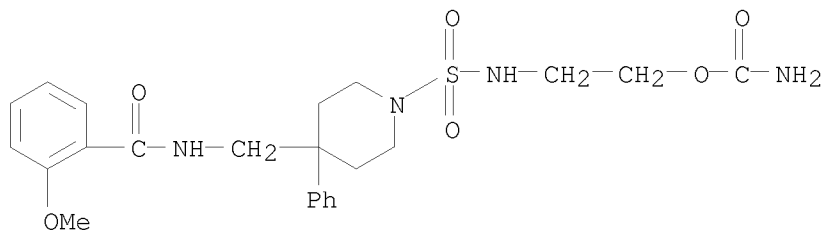
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RN 619292-90-9 CAPLUS
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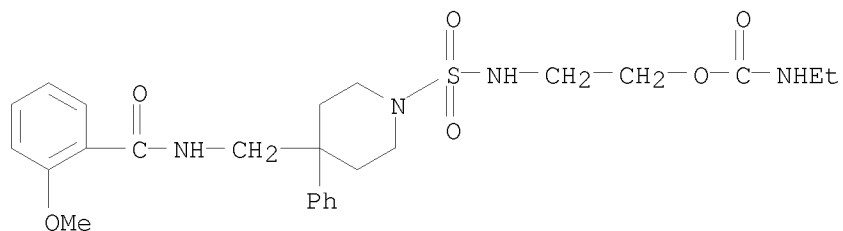


RN 619292-91-0 CAPLUS
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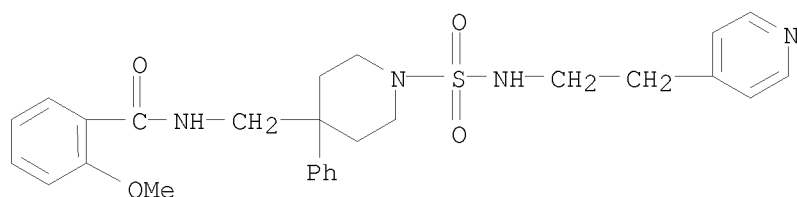
RN 619292-92-1 CAPLUS

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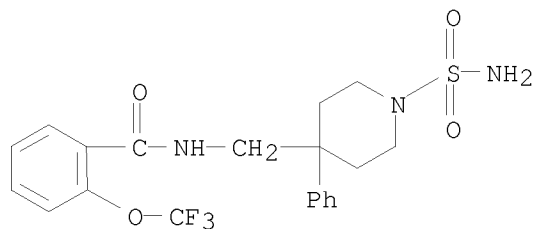
RN 619292-93-2 CAPLUS

CN Benzamide, 2-methoxy-N-[[4-phenyl-1-[[2-(4-pyridinyl)ethyl]amino]sulfonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)



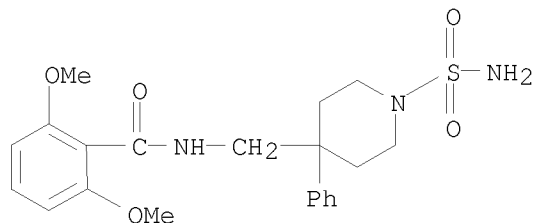
RN 619292-94-3 CAPLUS

CN Benzamide, N-[[1-(aminosulfonyl)-4-phenyl-4-piperidinyl]methyl]-2-(trifluoromethoxy)- (CA INDEX NAME)



RN 619292-95-4 CAPLUS

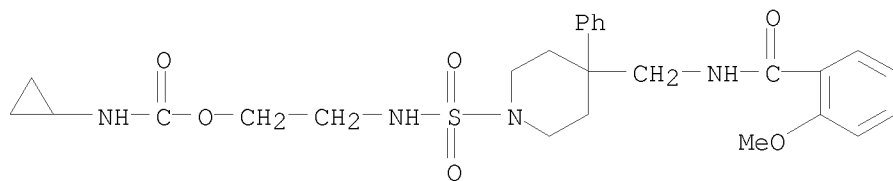
CN Benzamide, N-[[1-(aminosulfonyl)-4-phenyl-4-piperidinyl]methyl]-2,6-dimethoxy- (CA INDEX NAME)



RN 619292-96-5 CAPLUS

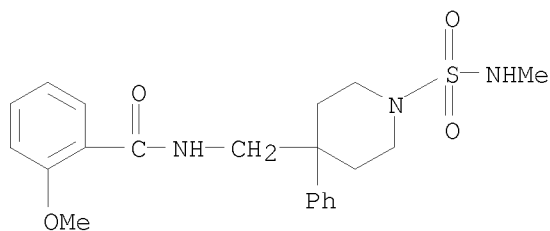
CN Carbamic acid, cyclopropyl-, 2-[[[4-[[2-methoxybenzoyl)amino]methyl]-4-

phenyl-1-piperidiny]sulfonyl]amino]ethyl ester (9CI) (CA INDEX NAME)



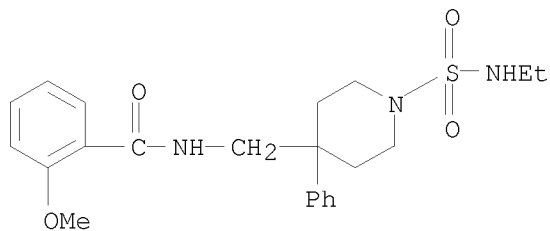
RN 619292-98-7 CAPLUS

CN Benzamide, 2-methoxy-N-[[1-[(methylamino)sulfonyl]-4-phenyl-4-piperidiny]methyl]- (CA INDEX NAME)



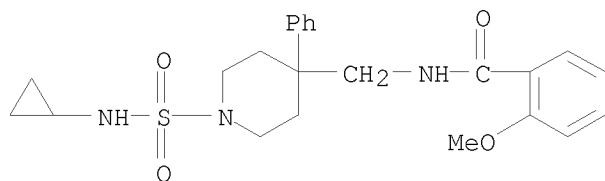
RN 619292-99-8 CAPLUS

CN Benzamide, N-[[1-[(ethylamino)sulfonyl]-4-phenyl-4-piperidiny]methyl]-2-methoxy- (CA INDEX NAME)



RN 619293-00-4 CAPLUS

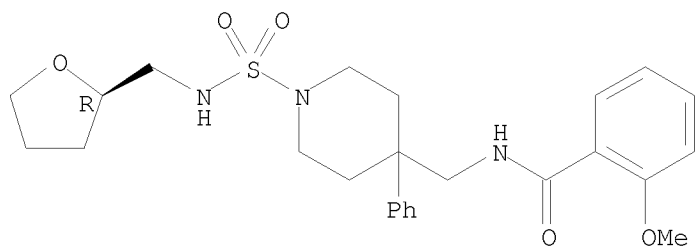
CN Benzamide, N-[[1-[(cyclopropylamino)sulfonyl]-4-phenyl-4-piperidiny]methyl]-2-methoxy- (CA INDEX NAME)



RN 619293-01-5 CAPLUS

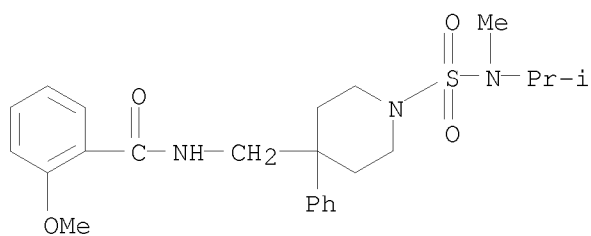
CN Benzamide, 2-methoxy-N-[[4-phenyl-1-[[[(2R)-tetrahydro-2-furanyl]methyl]amino]sulfonyl]-4-piperidiny]methyl]- (CA INDEX NAME)

Absolute stereochemistry.



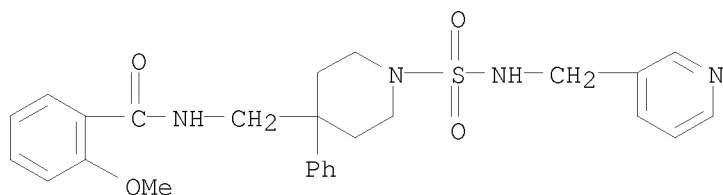
RN 619293-02-6 CAPLUS

CN Benzamide, 2-methoxy-N-[[1-[[methyl(1-methylethyl)amino]sulfonyl]-4-phenyl-4-piperidinyl]methyl]- (CA INDEX NAME)



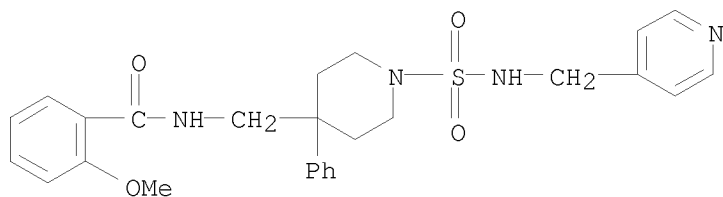
RN 619293-03-7 CAPLUS

CN Benzamide, 2-methoxy-N-[[4-phenyl-1-[[3-pyridinylmethyl]amino]sulfonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)



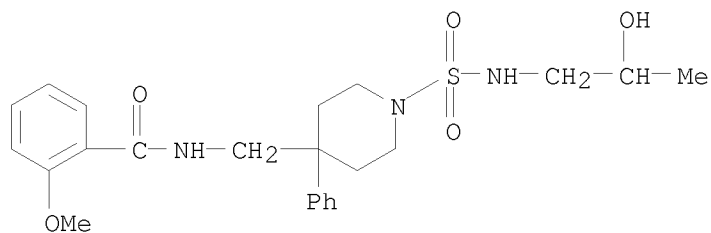
RN 619293-04-8 CAPLUS

CN Benzamide, 2-methoxy-N-[[4-phenyl-1-[[4-pyridinylmethyl]amino]sulfonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)



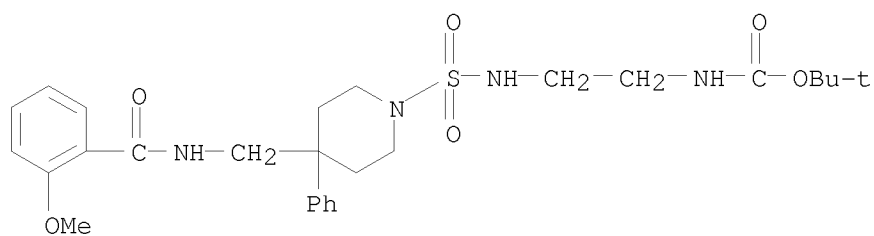
RN 619293-05-9 CAPLUS

CN Benzamide, N-[[1-[[2-hydroxypropyl]amino]sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)



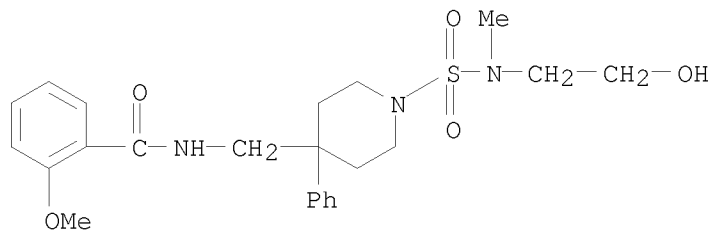
RN 619293-06-0 CAPLUS

CN Carbamic acid, [2-[[[4-[[[(2-methoxybenzoyl)amino]methyl]-4-phenyl-1-piperidinyl]sulfonyl]amino]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



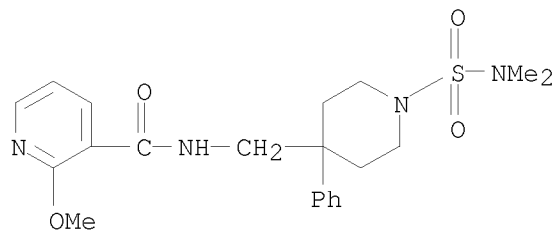
RN 619293-07-1 CAPLUS

CN Benzamide, N-[[1-[[[(2-hydroxyethyl)methylamino]sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)



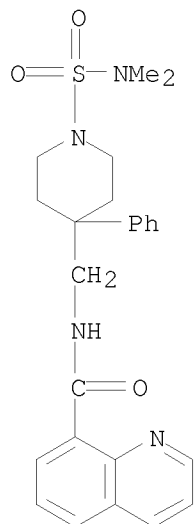
RN 619293-08-2 CAPLUS

CN 3-Pyridinecarboxamide, N-[[1-[(dimethylamino)sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)



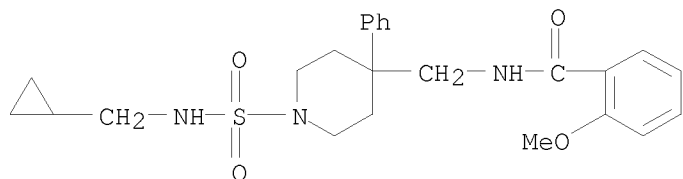
RN 619293-09-3 CAPLUS

CN 8-Quinolinecarboxamide, N-[[1-[(dimethylamino)sulfonyl]-4-phenyl-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 619293-10-6 CAPLUS

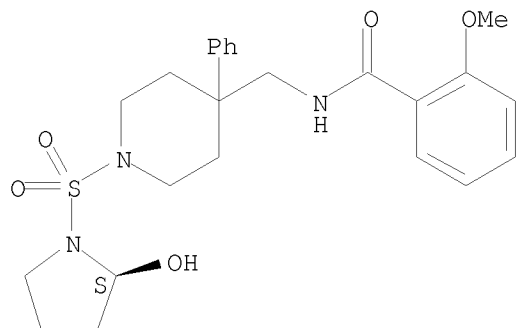
CN Benzamide, N-[[1-[[[(cyclopropylmethyl)amino]sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)



RN 619293-11-7 CAPLUS

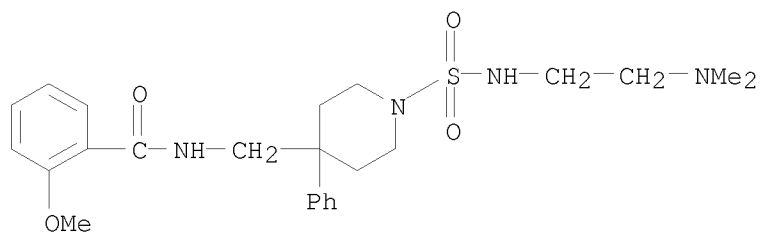
CN Benzamide, N-[[1-[[[(2S)-2-hydroxy-1-pyrrolidinyl]sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

Absolute stereochemistry.



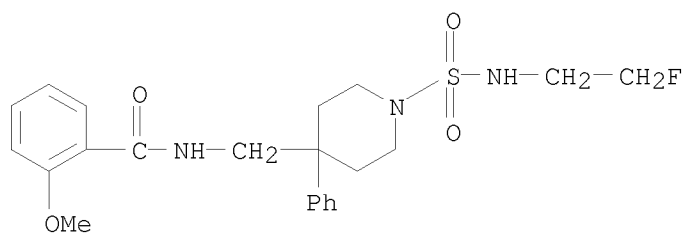
RN 619293-12-8 CAPLUS

CN Benzamide, N-[[1-[[[2-(dimethylamino)ethyl]amino]sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)



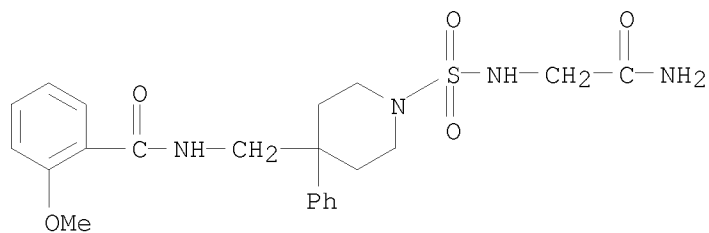
RN 619293-13-9 CAPLUS

CN Benzamide, N-[[1-[[[(2-fluoroethyl)amino]sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)



RN 619293-14-0 CAPLUS

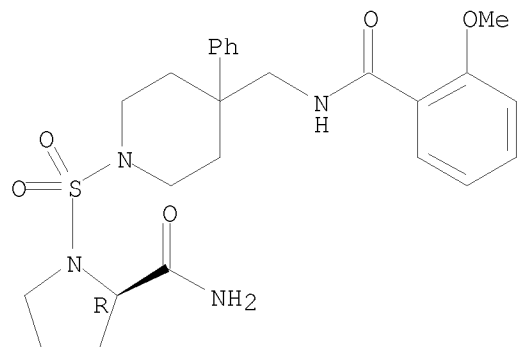
CN Benzamide, N-[[1-[[[(2-amino-2-oxoethyl)amino]sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)



RN 619293-15-1 CAPLUS

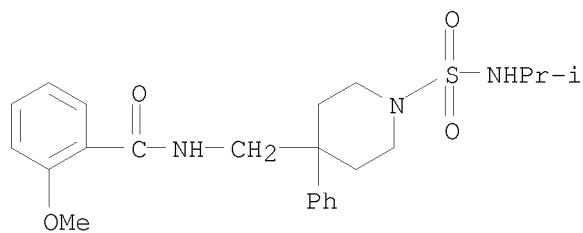
CN 2-Pyrrolidinecarboxamide, 1-[[4-[[[(2-methoxybenzoyl)amino]methyl]-4-phenyl-1-piperidinyl]sulfonyl]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



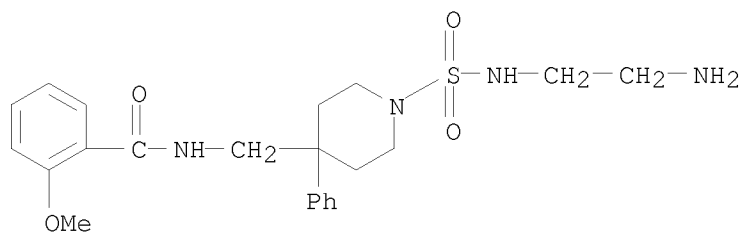
RN 619293-16-2 CAPLUS

CN Benzamide, 2-methoxy-N-[[1-[[[(1-methylethyl)amino]sulfonyl]-4-phenyl-4-piperidinyl]methyl]- (CA INDEX NAME)



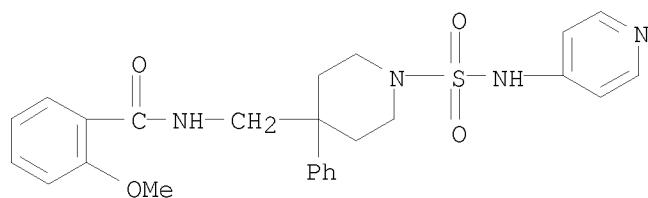
RN 619293-17-3 CAPLUS

CN Benzamide, N-[[1-[[[(2-aminoethyl)amino]sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)



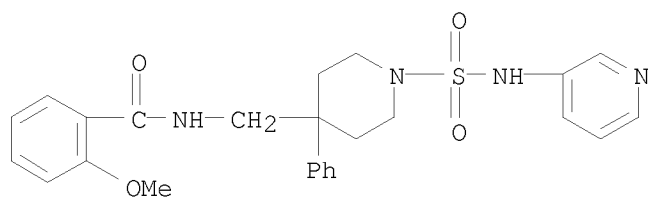
RN 619293-20-8 CAPLUS

CN Benzamide, 2-methoxy-N-[[4-phenyl-1-[(4-pyridinylamino)sulfonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)



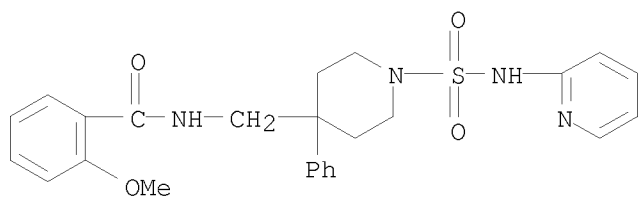
RN 619293-21-9 CAPLUS

CN Benzamide, 2-methoxy-N-[[4-phenyl-1-[(3-pyridinylamino)sulfonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)



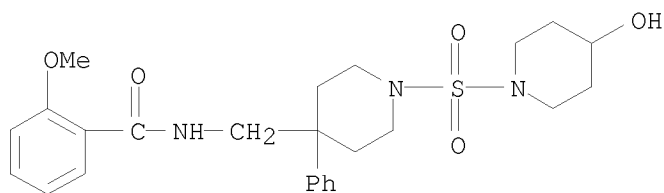
RN 619293-22-0 CAPLUS

CN Benzamide, 2-methoxy-N-[[4-phenyl-1-[(2-pyridinylamino)sulfonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)



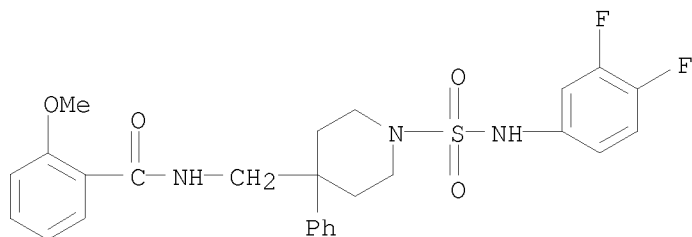
RN 619293-23-1 CAPLUS

CN Benzamide, N-[[1-[(4-hydroxy-1-piperidiny) sulfonyl]-4-phenyl-4-piperidiny]methyl]-2-methoxy- (CA INDEX NAME)



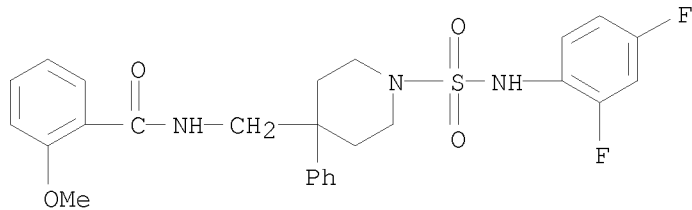
RN 619293-24-2 CAPLUS

CN Benzamide, N-[[1-[[[(3,4-difluorophenyl)amino]sulfonyl]-4-phenyl-4-piperidiny]methyl]-2-methoxy- (CA INDEX NAME)



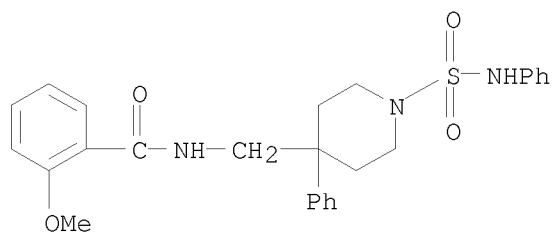
RN 619293-25-3 CAPLUS

CN Benzamide, N-[[1-[[[(2,4-difluorophenyl)amino]sulfonyl]-4-phenyl-4-piperidiny]methyl]-2-methoxy- (CA INDEX NAME)



RN 619293-26-4 CAPLUS

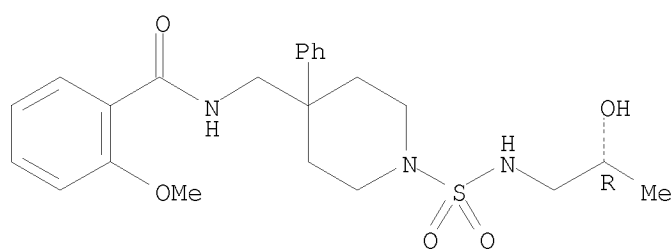
CN Benzamide, 2-methoxy-N-[[4-phenyl-1-[(phenylamino) sulfonyl]-4-piperidiny]methyl]- (CA INDEX NAME)



RN 619293-27-5 CAPLUS

CN Benzamide, N-[[1-[[[(2R)-2-hydroxypropyl]amino]sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

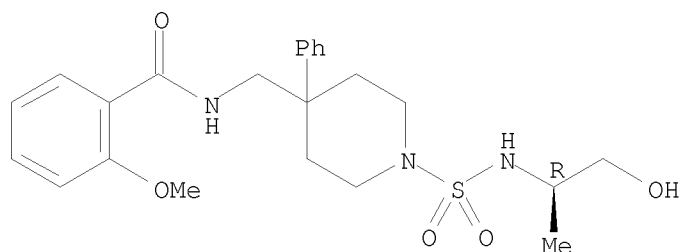
Absolute stereochemistry.



RN 619293-28-6 CAPLUS

CN Benzamide, N-[[1-[[[(1R)-2-hydroxy-1-methylethyl]amino]sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

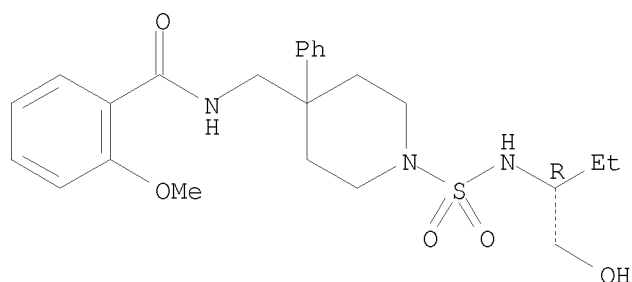
Absolute stereochemistry.



RN 619293-29-7 CAPLUS

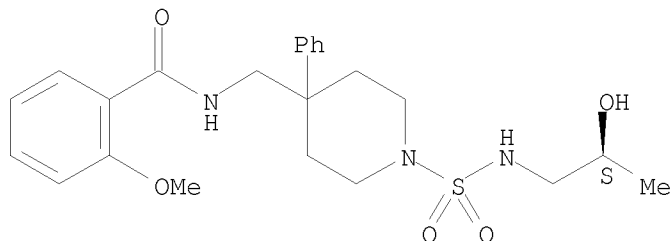
CN Benzamide, N-[[1-[[[(1R)-1-(hydroxymethyl)propyl]amino]sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

Absolute stereochemistry.



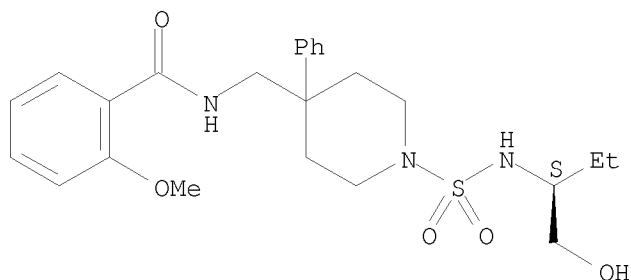
RN 619293-30-0 CAPLUS
CN Benzamide, N-[[1-[[[(2S)-2-hydroxypropyl]amino]sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

Absolute stereochemistry.



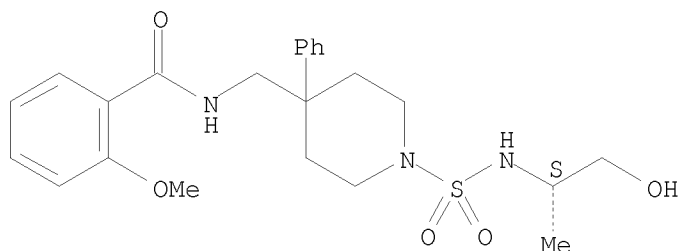
RN 619293-31-1 CAPLUS
CN Benzamide, N-[[1-[[[(1S)-1-(hydroxymethyl)propyl]amino]sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

Absolute stereochemistry.

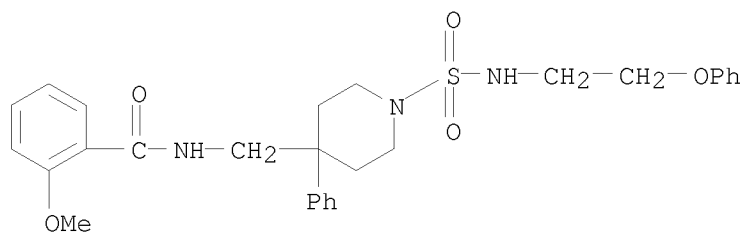


RN 619293-32-2 CAPLUS
CN Benzamide, N-[[1-[[[(1S)-2-hydroxy-1-methylethyl]amino]sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

Absolute stereochemistry.

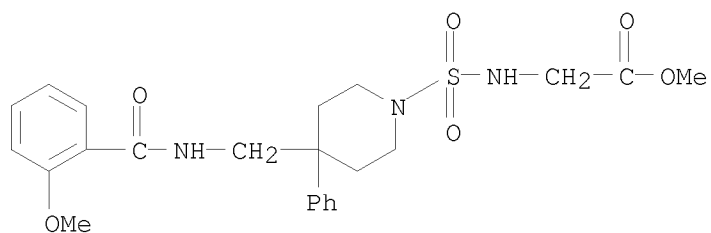


RN 619293-33-3 CAPLUS
CN Benzamide, 2-methoxy-N-[[1-[(2-phenoxyethyl)amino]sulfonyl]-4-phenyl-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 619293-34-4 CAPLUS

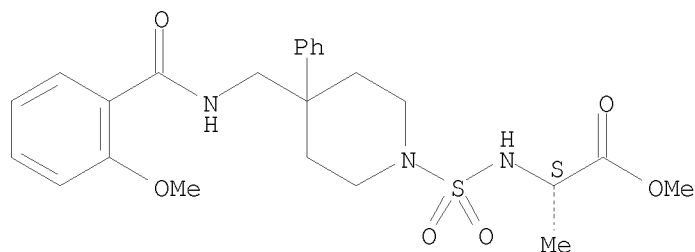
CN Glycine, N-[[4-[[[(2-methoxybenzoyl)amino]methyl]-4-phenyl-1-piperidinyl]sulfonyl]-, methyl ester (CA INDEX NAME)



RN 619293-35-5 CAPLUS

CN L-Alanine, N-[[4-[[[(2-methoxybenzoyl)amino]methyl]-4-phenyl-1-piperidinyl]sulfonyl]-, methyl ester (CA INDEX NAME)

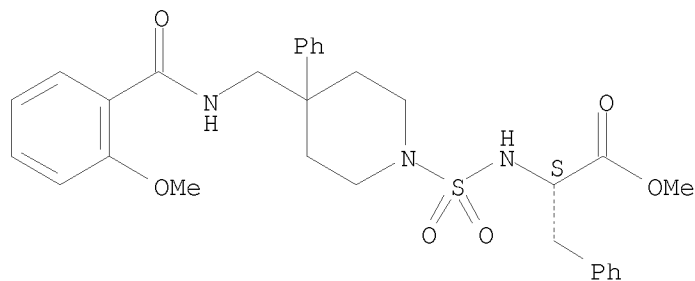
Absolute stereochemistry.



RN 619293-36-6 CAPLUS

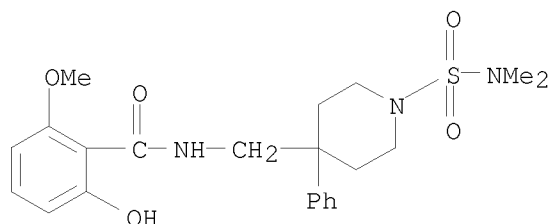
CN L-Phenylalanine, N-[[4-[[[(2-methoxybenzoyl)amino]methyl]-4-phenyl-1-piperidinyl]sulfonyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



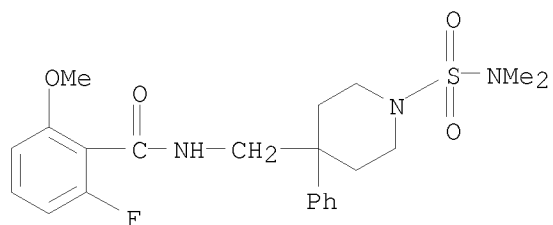
RN 619293-37-7 CAPLUS

CN Benzamide, N-[[1-[(dimethylamino)sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-hydroxy-6-methoxy- (CA INDEX NAME)



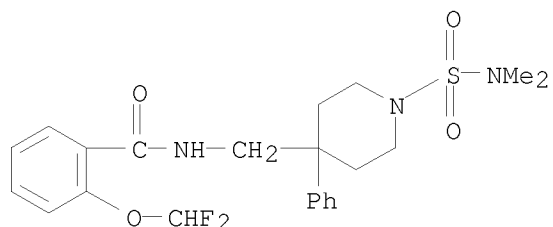
RN 619293-38-8 CAPLUS

CN Benzamide, N-[[1-[(dimethylamino)sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-fluoro-6-methoxy- (CA INDEX NAME)



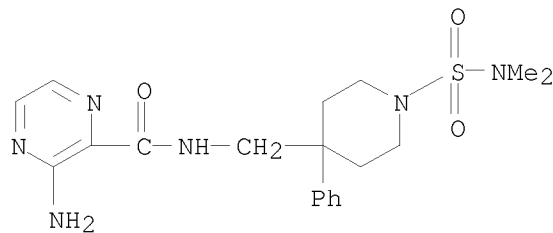
RN 619293-39-9 CAPLUS

CN Benzamide, 2-(difluoromethoxy)-N-[[1-[(dimethylamino)sulfonyl]-4-phenyl-4-piperidinyl]methyl]- (CA INDEX NAME)



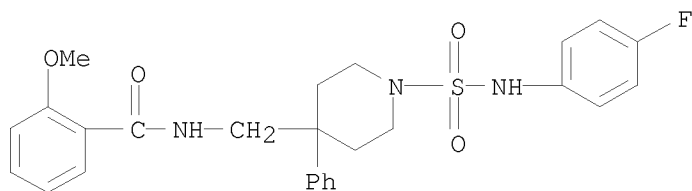
RN 619293-40-2 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-[[1-[(dimethylamino)sulfonyl]-4-phenyl-4-piperidinyl]methyl]- (CA INDEX NAME)



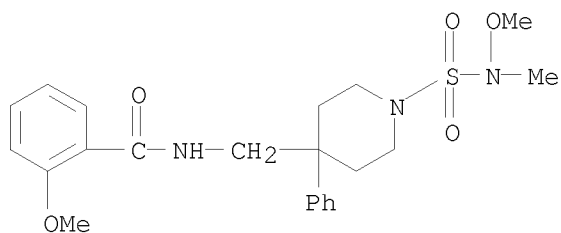
RN 619293-41-3 CAPLUS

CN Benzamide, N-[[1-[[[4-fluorophenyl]amino]sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)



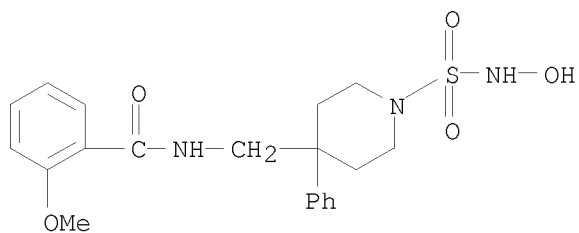
RN 619293-42-4 CAPLUS

CN Benzamide, 2-methoxy-N-[[1-[(methoxymethylamino)sulfonyl]-4-phenyl-4-piperidinyl]methyl]- (CA INDEX NAME)



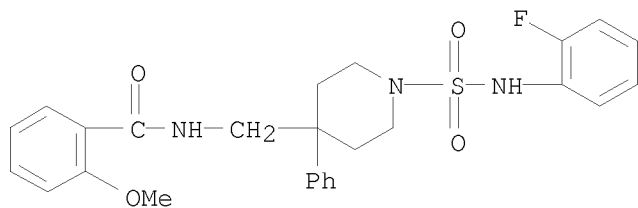
RN 619293-43-5 CAPLUS

CN Benzamide, N-[[1-[(hydroxyamino)sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)



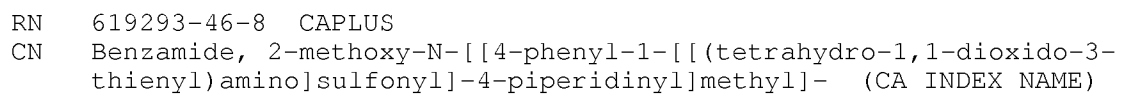
RN 619293-44-6 CAPLUS

CN Benzamide, N-[[1-[[[(2-fluorophenyl)amino]sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)



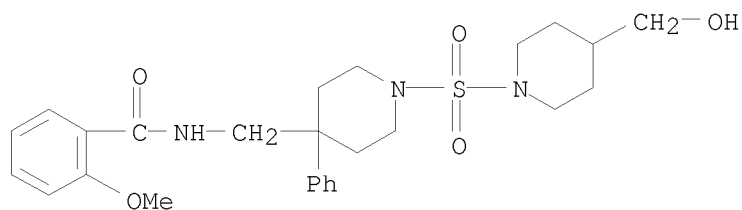
RN 619293-45-7 CAPLUS

CN Benzamide, N-[[1-[[[(3-fluorophenyl)amino]sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

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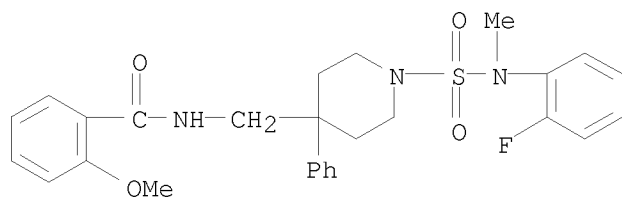
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RN	619293-47-9	CAPLUS
CN	Benzamide, N-[[[1-[[4-(hydroxymethyl)-1-piperidinyl]sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)	



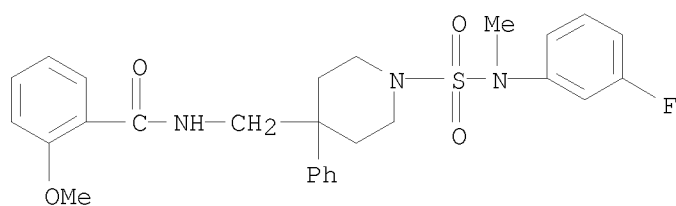
RN 619293-48-0 CAPLUS

CN Benzamide, N-[[1-[[4-(2-fluorophenyl)methylamino]sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)



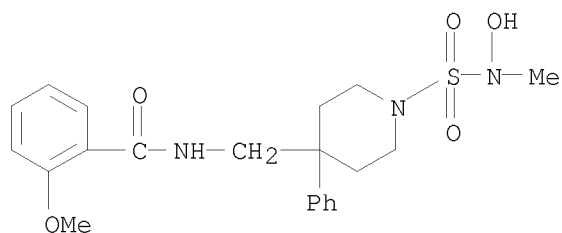
RN 619293-49-1 CAPLUS

CN Benzamide, N-[[1-[[4-(3-fluorophenyl)methylamino]sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)



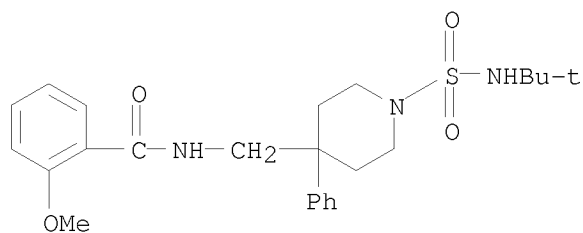
RN 619293-50-4 CAPLUS

CN Benzamide, N-[[1-[(hydroxymethylamino)sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)



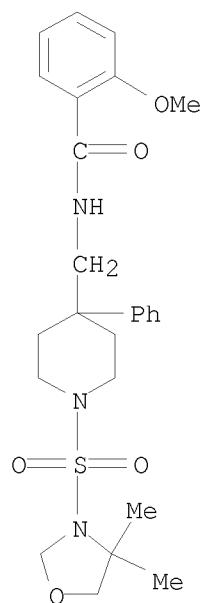
RN 619293-51-5 CAPLUS

CN Benzamide, N-[[1-[[4-(1,1-dimethylethylamino)sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)



RN 619293-52-6 CAPLUS

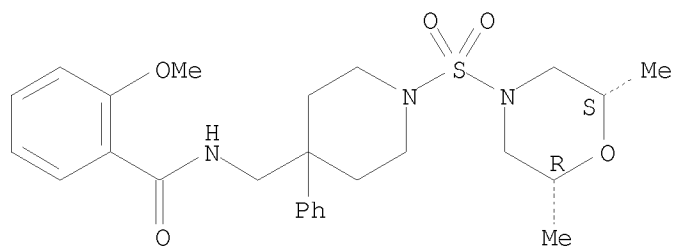
CN Benzamide, N-[[1-[(4,4-dimethyl-3-oxazolidinyl)sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)



RN 619293-53-7 CAPLUS

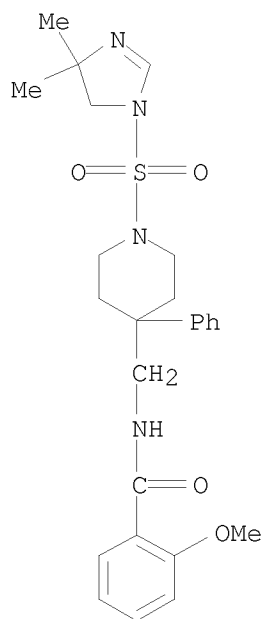
CN Benzamide, N-[[1-[(2R,6S)-2,6-dimethyl-4-morpholinyl)sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy-, rel- (CA INDEX NAME)

Relative stereochemistry.



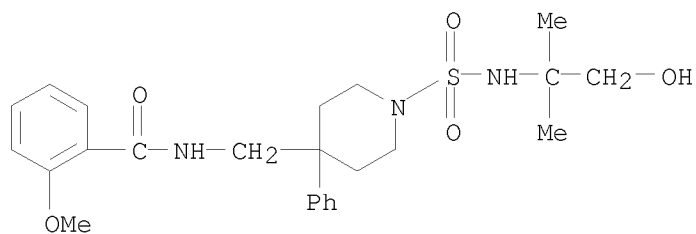
RN 619293-54-8 CAPLUS

CN Benzamide, N-[[1-[(4,5-dihydro-4,4-dimethyl-1H-imidazol-1-yl)sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)



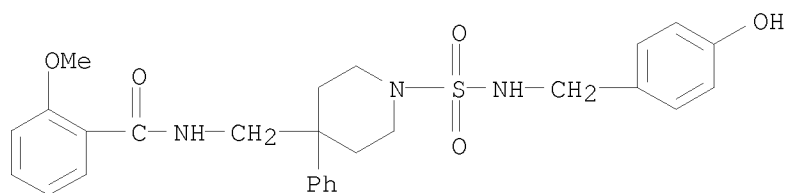
RN 619293-55-9 CAPLUS

CN Benzamide, N-[[1-[[[(2-hydroxy-1,1-dimethylethyl)amino]sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)



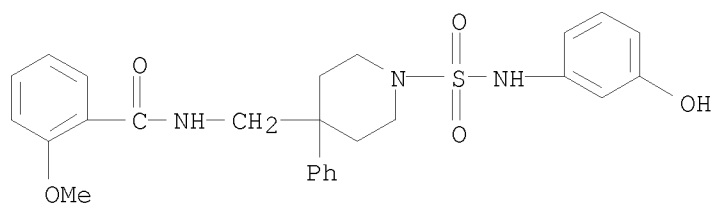
RN 619293-56-0 CAPLUS

CN Benzamide, N-[[1-[[[(4-hydroxyphenyl)methyl]amino]sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)



RN 619293-57-1 CAPLUS

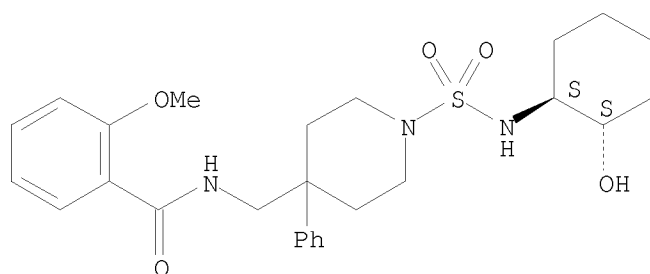
CN Benzamide, N-[[1-[[[(3-hydroxyphenyl)methyl]amino]sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)



RN 619293-58-2 CAPLUS

CN Benzamide, N-[[1-[[[(1R,2R)-2-hydroxycyclohexyl]amino]sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy-, rel- (CA INDEX NAME)

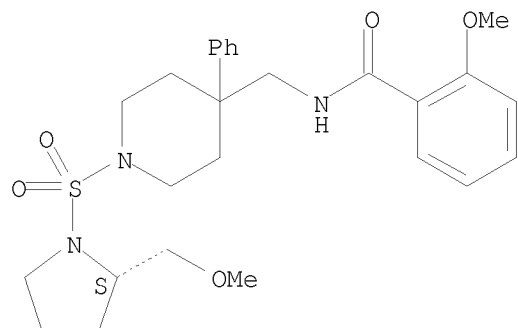
Relative stereochemistry.



RN 619293-59-3 CAPLUS

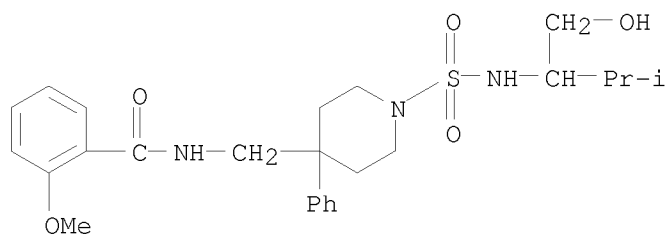
CN Benzamide, 2-methoxy-N-[[1-[[[(2S)-2-(methoxymethyl)-1-pyrrolidinyl]sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy-, absolute stereochemistry. (CA INDEX NAME)

Absolute stereochemistry.



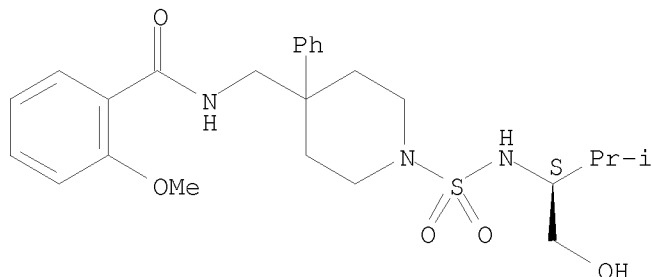
RN 619293-60-6 CAPLUS

CN Benzamide, N-[[1-[[[(1S)-1-(hydroxymethyl)-2-methylpropyl]amino]sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy-, absolute stereochemistry. (CA INDEX NAME)



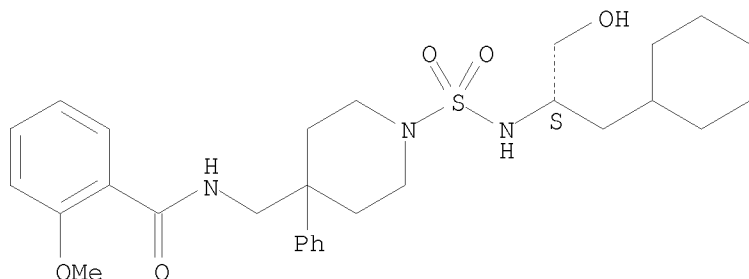
RN 619293-61-7 CAPLUS
 CN Benzamide, N-[[1-[[[(1S)-1-(hydroxymethyl)-2-methylpropyl]amino]sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

Absolute stereochemistry.



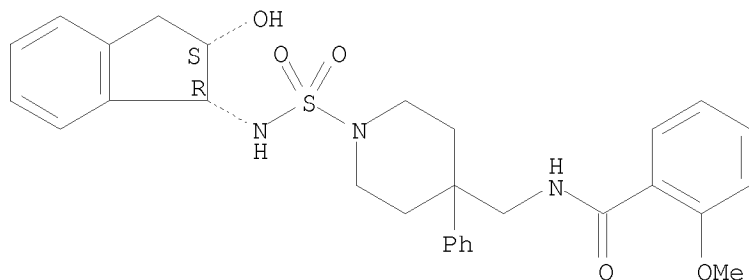
RN 619293-62-8 CAPLUS
 CN Benzamide, N-[[1-[[[(1S)-2-cyclohexyl-1-(hydroxymethyl)ethyl]amino]sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

Absolute stereochemistry.



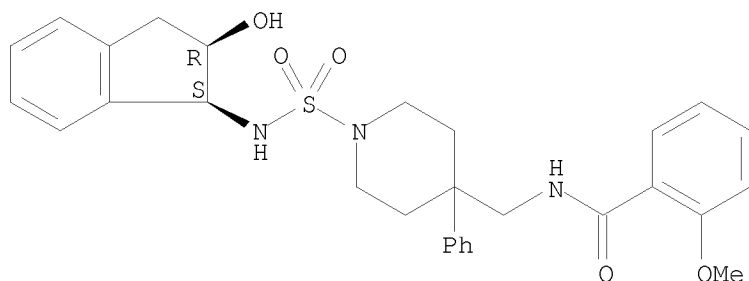
RN 619293-63-9 CAPLUS
 CN Benzamide, N-[[1-[[[(1R,2S)-2,3-dihydro-2-hydroxy-1H-inden-1-yl]amino]sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

Absolute stereochemistry.



RN 619293-64-0 CAPLUS
 CN Benzamide, N-[[1-[[[(1S,2R)-2,3-dihydro-2-hydroxy-1H-inden-1-yl]amino]sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

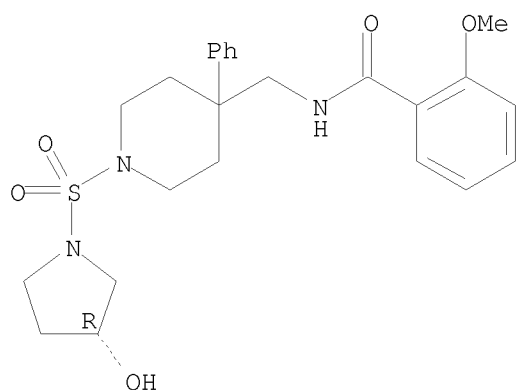
Absolute stereochemistry.



RN 619293-65-1 CAPLUS

CN Benzamide, N-[[1-[[[(3R)-3-hydroxy-1-pyrrolidinyl]sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)

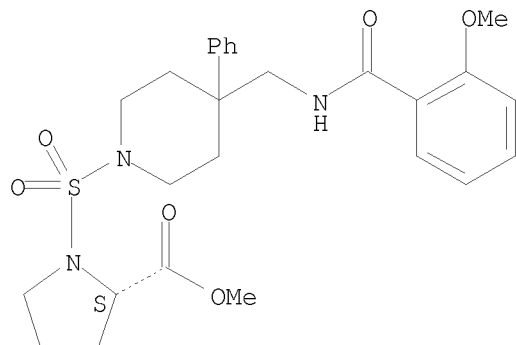
Absolute stereochemistry.



RN 619293-66-2 CAPLUS

CN L-Proline, 1-[[4-[[[(2-methoxybenzoyl)amino]methyl]-4-phenyl-1-piperidinyl]sulfonyl]-, methyl ester (CA INDEX NAME)

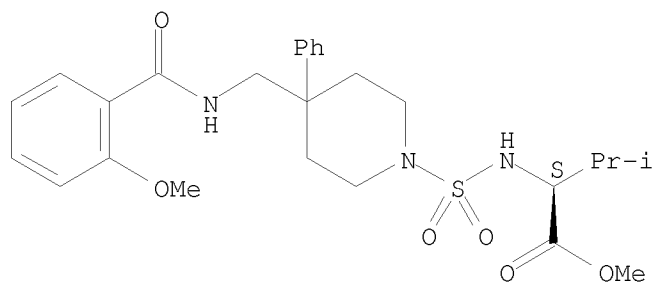
Absolute stereochemistry.



RN 619293-67-3 CAPLUS

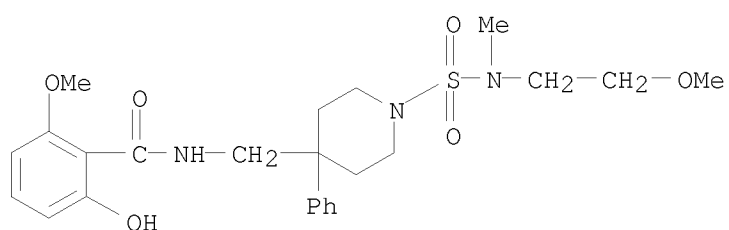
CN L-Valine, N-[[4-[[[(2-methoxybenzoyl)amino]methyl]-4-phenyl-1-piperidinyl]sulfonyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



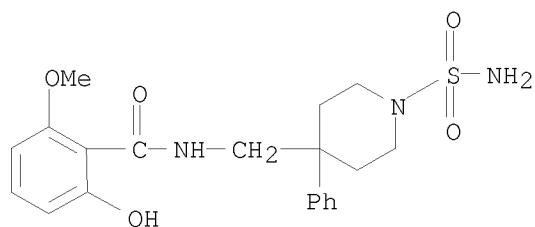
RN 619293-68-4 CAPLUS

CN Benzamide, 2-hydroxy-6-methoxy-N-[[1-[(2-methoxyethyl)methylamino]sulfonyl]-4-phenyl-4-piperidinyl]methyl]- (CA INDEX NAME)



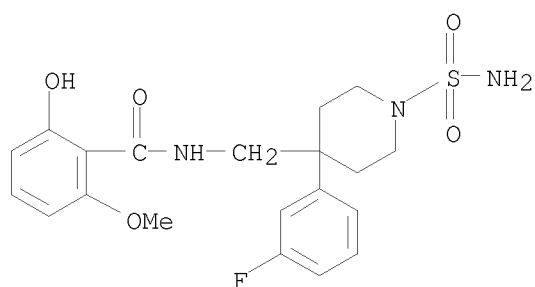
RN 619293-69-5 CAPLUS

CN Benzamide, N-[[1-(aminosulfonyl)-4-phenyl-4-piperidinyl]methyl]-2-hydroxy-6-methoxy- (CA INDEX NAME)



RN 619293-70-8 CAPLUS

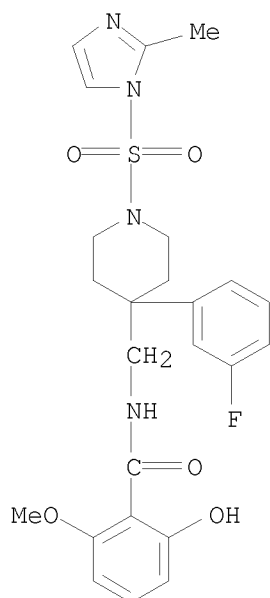
CN Benzamide, N-[[1-(aminosulfonyl)-4-(3-fluorophenyl)-4-piperidinyl]methyl]-2-hydroxy-6-methoxy- (CA INDEX NAME)



RN 619293-71-9 CAPLUS

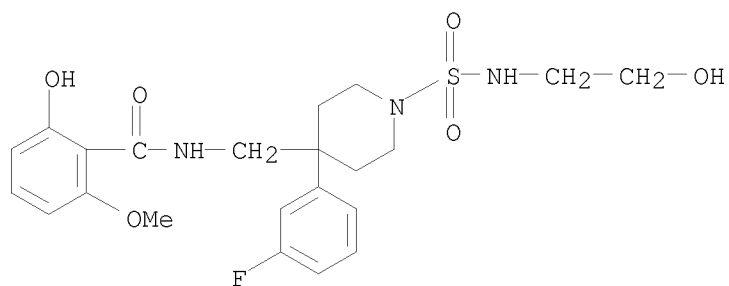
CN Benzamide, N-[[4-(3-fluorophenyl)-1-[(2-methyl-1H-imidazol-1-yl)sulfonyl]-4-piperidinyl]methyl]-2-hydroxy-6-methoxy- (CA INDEX NAME)

4-piperidinyl)methyl]-2-hydroxy-6-methoxy- (CA INDEX NAME)



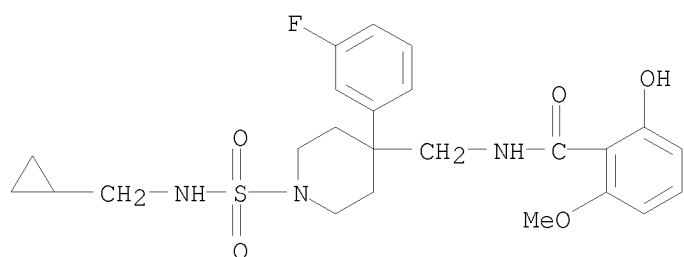
RN 619293-72-0 CAPLUS

CN Benzamide, N-[[4-(3-fluorophenyl)-1-[[2-hydroxyethyl]amino]sulfonyl]-4-piperidinyl)methyl]-2-hydroxy-6-methoxy- (CA INDEX NAME)



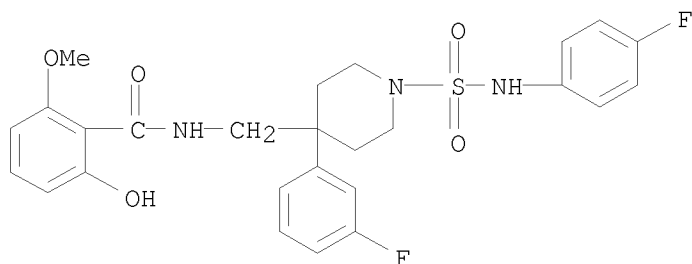
RN 619293-73-1 CAPLUS

CN Benzamide, N-[[1-[[[(cyclopropylmethyl)amino]sulfonyl]-4-(3-fluorophenyl)-4-piperidinyl]methyl]-2-hydroxy-6-methoxy- (CA INDEX NAME)



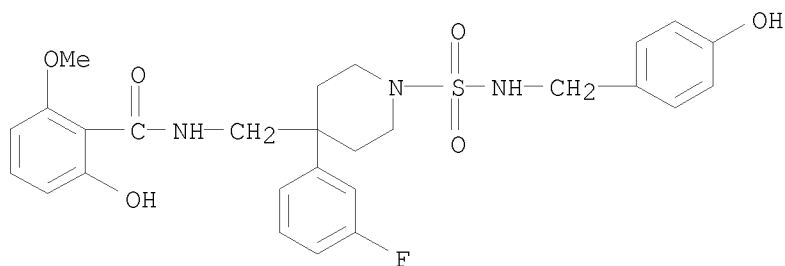
RN 619293-74-2 CAPLUS

CN Benzamide, N-[[4-(3-fluorophenyl)-1-[[4-(4-fluorophenyl)amino]sulfonyl]-4-piperidinyl]methyl]-2-hydroxy-6-methoxy- (CA INDEX NAME)



RN 619293-75-3 CAPLUS

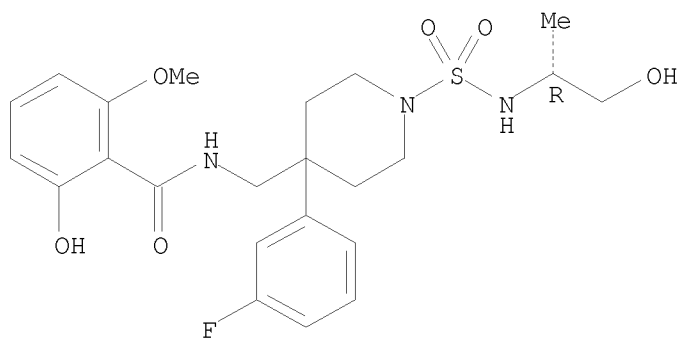
CN Benzamide, N-[[4-(3-fluorophenyl)-1-[[[(4-hydroxyphenyl)methyl]amino]sulfonyl]-4-piperidinyl]methyl]-2-hydroxy-6-methoxy- (CA INDEX NAME)



RN 619293-76-4 CAPLUS

CN Benzamide, N-[[4-(3-fluorophenyl)-1-[[[(1R)-2-hydroxy-1-methylethyl]amino]sulfonyl]-4-piperidinyl]methyl]-2-hydroxy-6-methoxy- (CA INDEX NAME)

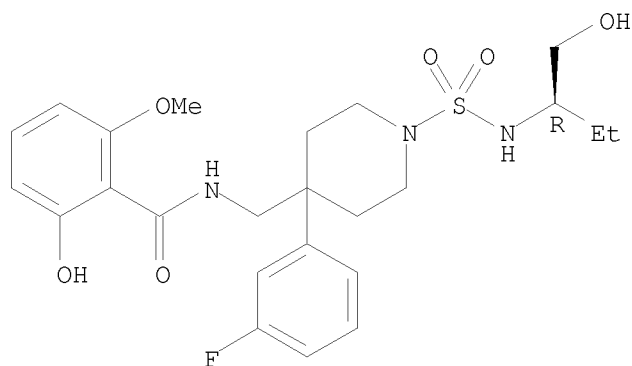
Absolute stereochemistry.



RN 619293-77-5 CAPLUS

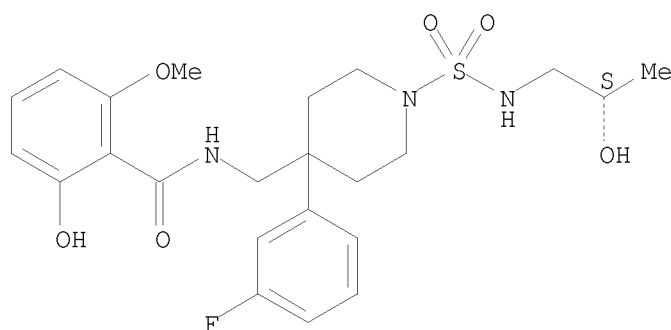
CN Benzamide, N-[[4-(3-fluorophenyl)-1-[[[(1R)-1-(hydroxymethyl)propyl]amino]sulfonyl]-4-piperidinyl]methyl]-2-hydroxy-6-methoxy- (CA INDEX NAME)

Absolute stereochemistry.



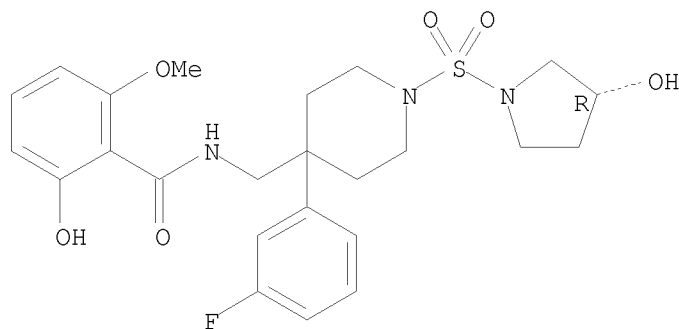
RN 619293-78-6 CAPLUS
 CN Benzamide, N-[[4-(3-fluorophenyl)-1-[[[(2S)-2-hydroxypropyl]amino]sulfonyl]-4-piperidinyl]methyl]-2-hydroxy-6-methoxy- (CA INDEX NAME)

Absolute stereochemistry.

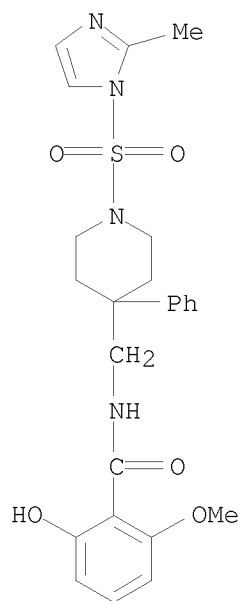


RN 619293-79-7 CAPLUS
 CN Benzamide, N-[[4-(3-fluorophenyl)-1-[(3R)-3-hydroxy-1-methylpyrrolidinyl]sulfonyl]-4-piperidinyl]methyl]-2-hydroxy-6-methoxy- (CA INDEX NAME)

Absolute stereochemistry.



RN 619293-80-0 CAPLUS
 CN Benzamide, 2-hydroxy-6-methoxy-N-[[1-[(2-methyl-1H-imidazol-1-yl)sulfonyl]-4-phenyl-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 619293-82-2 CAPLUS

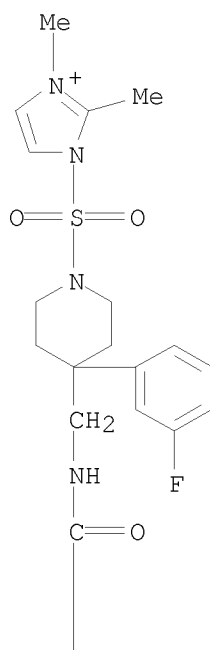
CN 1H-Imidazolium, 3-[[4-(3-fluorophenyl)-4-[[[(2-hydroxy-6-methoxybenzoyl)amino]methyl]-1-piperidinyl]sulfonyl]-1,2-dimethyl-, 1,1,1-trifluoromethanesulfonate (1:1) (CA INDEX NAME)

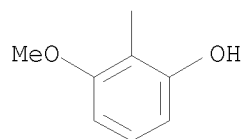
CM 1

CRN 619293-81-1

CMF C25 H30 F N4 O5 S

PAGE 1-A

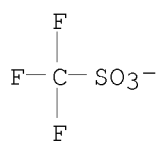




CM 2

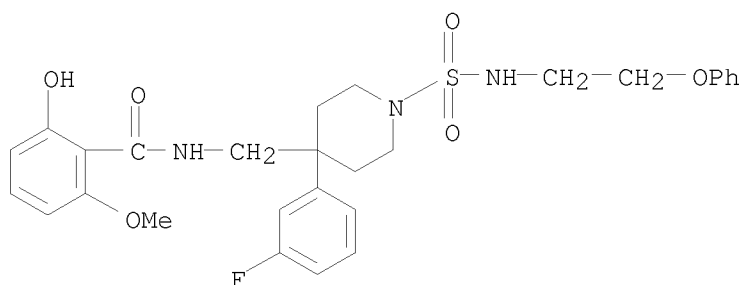
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CMF C F3 O3 S



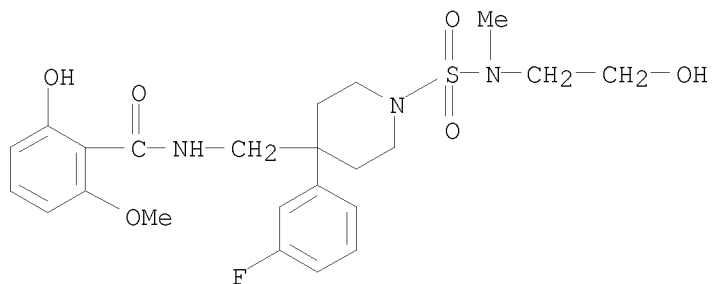
RN 619293-83-3 CAPLUS

CN Benzamide, N-[[4-(3-fluorophenyl)-1-[[(2-phenoxyethyl)amino]sulfonyl]-4-piperidinyl]methyl]-2-hydroxy-6-methoxy- (CA INDEX NAME)



RN 619293-84-4 CAPLUS

CN Benzamide, N-[[4-(3-fluorophenyl)-1-[[(2-hydroxyethyl)methylamino]sulfonyl]-4-piperidinyl]methyl]-2-hydroxy-6-methoxy- (CA INDEX NAME)

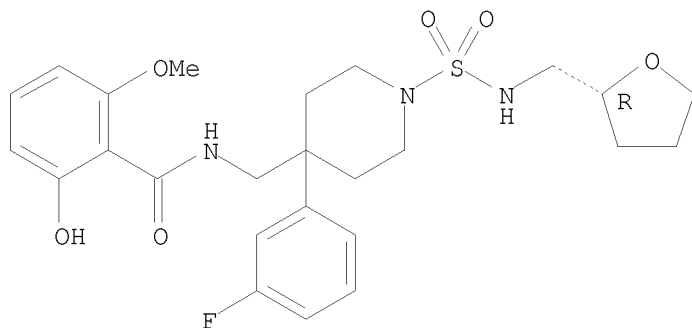


RN 619293-85-5 CAPLUS

CN Benzamide, N-[[4-(3-fluorophenyl)-1-[[[(2R)-tetrahydro-2-furanyl]methyl]amino]sulfonyl]-4-piperidinyl]methyl]-2-hydroxy-6-methoxy-

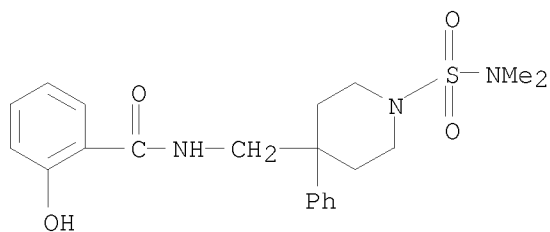
(CA INDEX NAME)

Absolute stereochemistry.



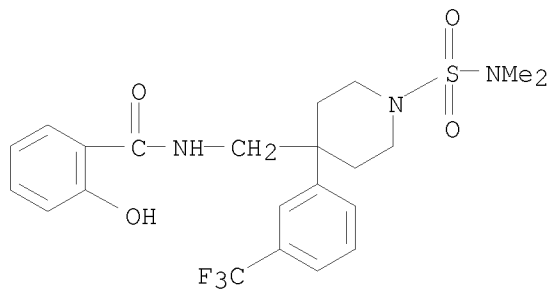
RN 619293-86-6 CAPLUS

CN Benzamide, N-[[1-[(dimethylamino)sulfonyl]-4-phenyl-4-piperidinyl]methyl]-2-hydroxy- (CA INDEX NAME)



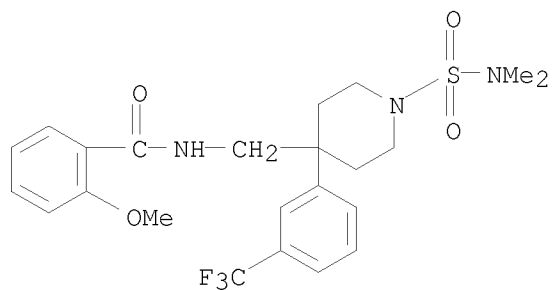
RN 619293-87-7 CAPLUS

CN Benzamide, N-[[1-[(dimethylamino)sulfonyl]-4-[3-(trifluoromethyl)phenyl]-4-piperidinyl]methyl]-2-hydroxy- (CA INDEX NAME)



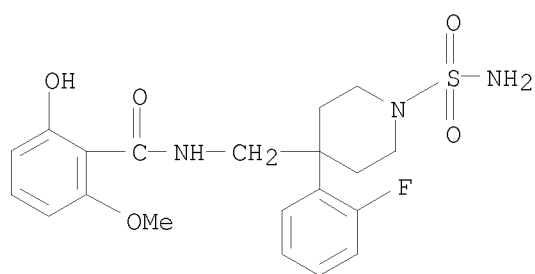
RN 619293-88-8 CAPLUS

CN Benzamide, N-[[1-[(dimethylamino)sulfonyl]-4-[3-(trifluoromethyl)phenyl]-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)



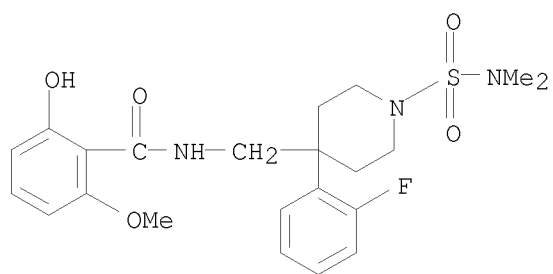
RN 619293-89-9 CAPLUS

CN Benzamide, N-[[1-(aminosulfonyl)-4-(2-fluorophenyl)-4-piperidinyl)methyl]-2-hydroxy-6-methoxy- (CA INDEX NAME)



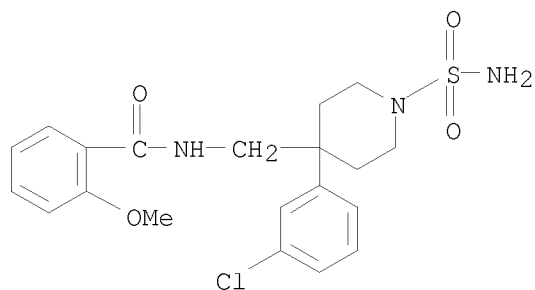
RN 619293-90-2 CAPLUS

CN Benzamide, N-[[1-[(dimethylamino)sulfonyl]-4-(2-fluorophenyl)-4-piperidinyl)methyl]-2-hydroxy-6-methoxy- (CA INDEX NAME)



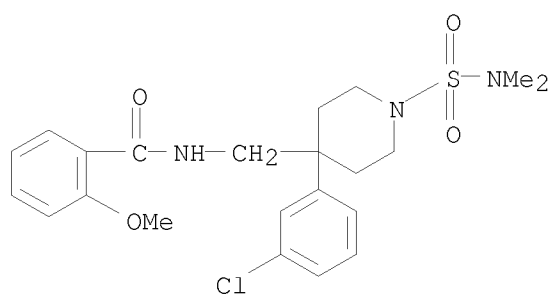
RN 619293-91-3 CAPLUS

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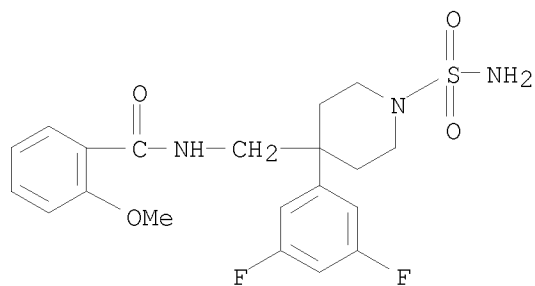
RN 619293-92-4 CAPLUS

CN Benzamide, N-[[4-(3-chlorophenyl)-1-[(dimethylamino)sulfonyl]-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)



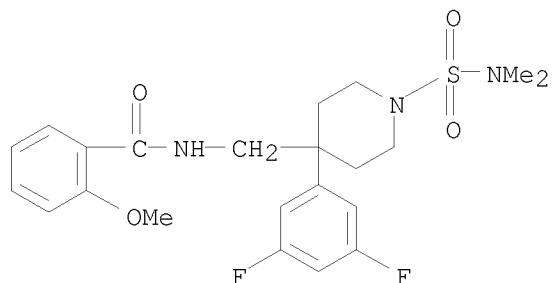
RN 619293-93-5 CAPLUS

CN Benzamide, N-[[1-(aminosulfonyl)-4-(3,5-difluorophenyl)-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)



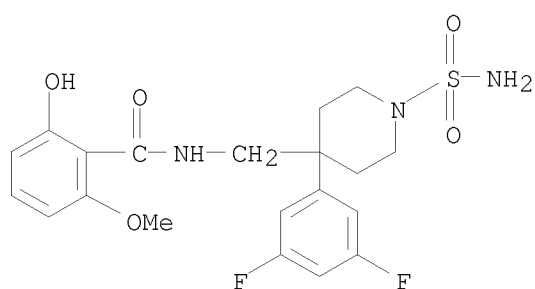
RN 619293-94-6 CAPLUS

CN Benzamide, N-[[4-(3,5-difluorophenyl)-1-[(dimethylamino)sulfonyl]-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)



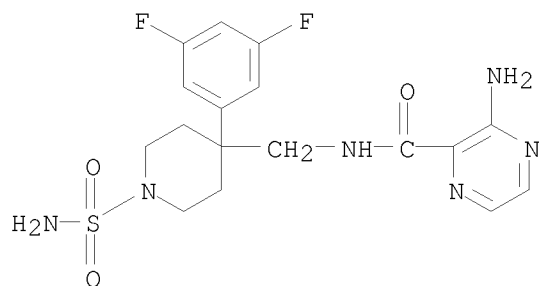
RN 619293-95-7 CAPLUS

CN Benzamide, N-[[1-(aminosulfonyl)-4-(3,5-difluorophenyl)-4-piperidinyl]methyl]-2-hydroxy-6-methoxy- (CA INDEX NAME)



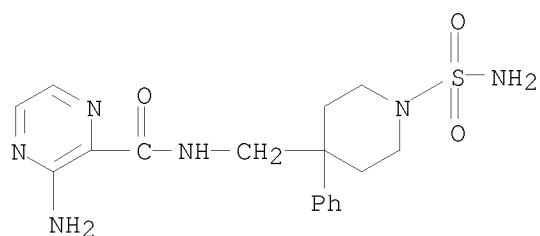
RN 619293-96-8 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-[[1-(aminosulfonyl)-4-(3,5-difluorophenyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



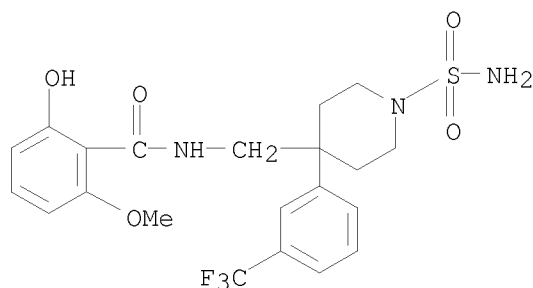
RN 619293-97-9 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-[[1-(aminosulfonyl)-4-phenyl-4-piperidinyl]methyl]- (CA INDEX NAME)



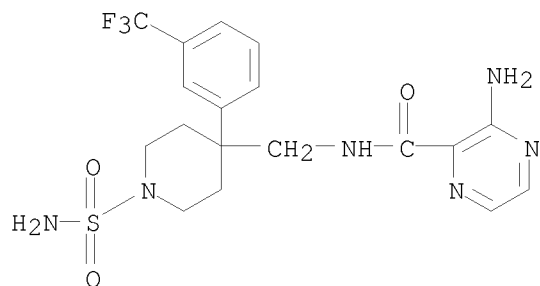
RN 619293-98-0 CAPLUS

CN Benzamide, N-[[1-(aminosulfonyl)-4-[3-(trifluoromethyl)phenyl]-4-piperidinyl]methyl]-2-hydroxy-6-methoxy- (CA INDEX NAME)



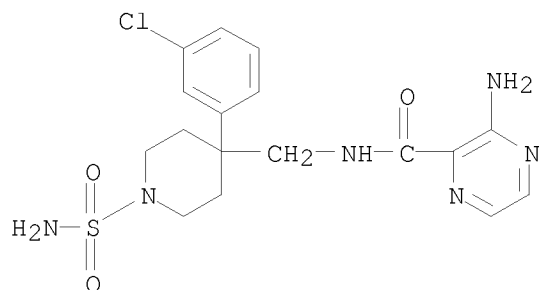
RN 619293-99-1 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-[[1-(aminosulfonyl)-4-[3-(trifluoromethyl)phenyl]-4-piperidinyl]methyl]- (CA INDEX NAME)



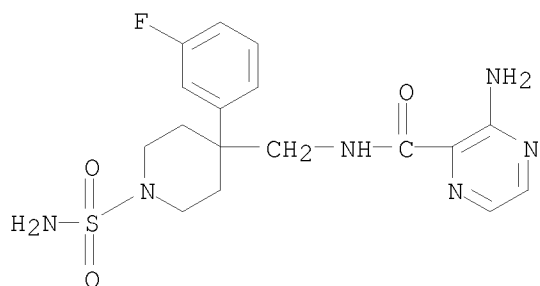
RN 619294-00-7 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-[[1-(aminosulfonyl)-4-(3-chlorophenyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



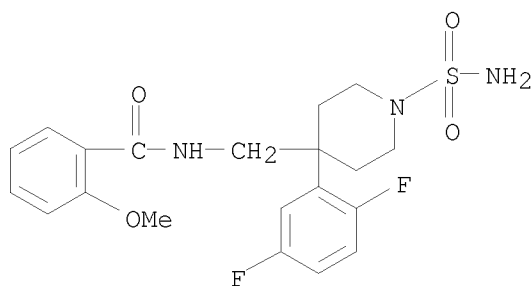
RN 619294-01-8 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-[[1-(aminosulfonyl)-4-(3-fluorophenyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



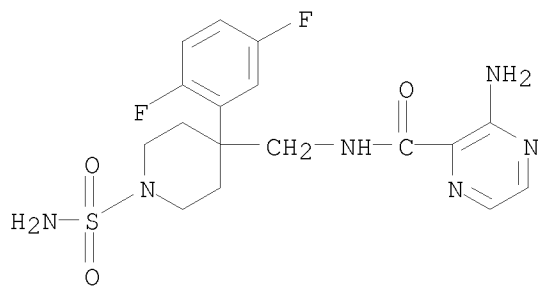
RN 619294-02-9 CAPLUS

CN Benzamide, N-[[1-(aminosulfonyl)-4-(2,5-difluorophenyl)-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)



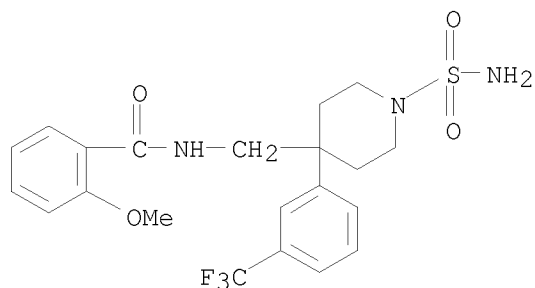
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CN 2-Pyrazinecarboxamide, 3-amino-N-[[1-(aminosulfonyl)-4-(2,5-difluorophenyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



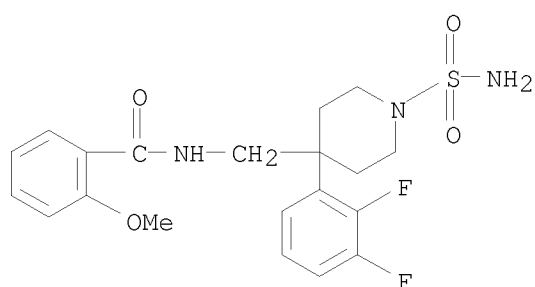
RN 619294-04-1 CAPLUS

CN Benzamide, N-[[1-(aminosulfonyl)-4-[3-(trifluoromethyl)phenyl]-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)



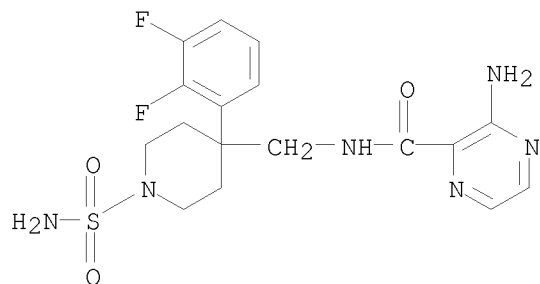
RN 619294-05-2 CAPLUS

CN Benzamide, N-[[1-(aminosulfonyl)-4-(2,3-difluorophenyl)-4-piperidinyl]methyl]-2-methoxy- (CA INDEX NAME)



RN 619294-06-3 CAPLUS

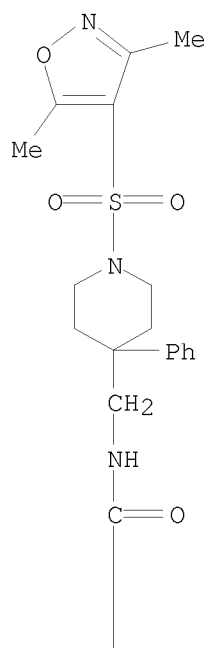
CN 2-Pyrazinecarboxamide, 3-amino-N-[[1-(aminosulfonyl)-4-(2,3-difluorophenyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



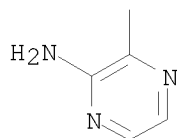
RN 619295-07-7 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-[[1-[(3,5-dimethyl-4-isoxazolyl)sulfonyl]-4-phenyl-4-piperidinyl]methyl]- (CA INDEX NAME)

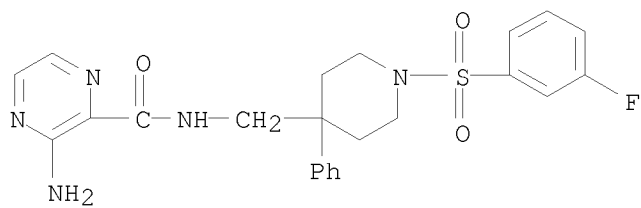
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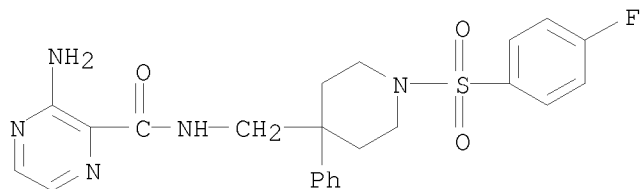
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RN 619295-08-8 CAPLUS
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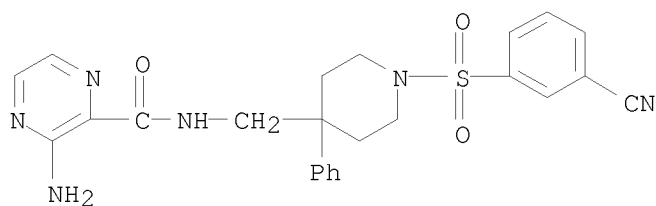


RN 619295-09-9 CAPLUS
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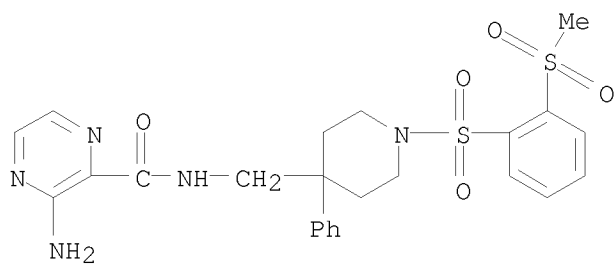
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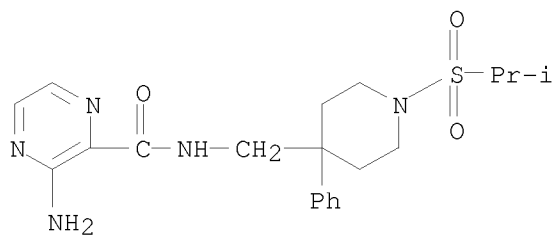
RN 619295-11-3 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-[[1-[[2-(methylsulfonyl)phenyl]sulfonyl]-4-phenyl-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 619295-12-4 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-[[1-[(1-methylethyl)sulfonyl]-4-phenyl-4-piperidinyl]methyl]- (CA INDEX NAME)



IT 619295-96-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of substituted piperidines as inhibitors of potassium channel function)

RN 619295-96-4 CAPLUS

CN 1H-Imidazolium, 3-[[4-[[2-(methoxybenzoyl)amino]methyl]-4-phenyl-1-

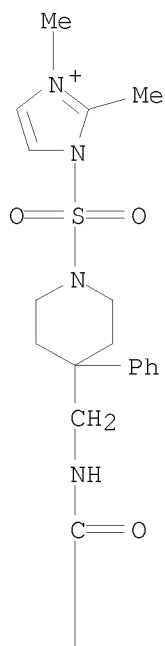
piperidinyl]sulfonyl]-1,2-dimethyl-, 1,1,1-trifluoromethanesulfonate (1:1)
(CA INDEX NAME)

CM 1

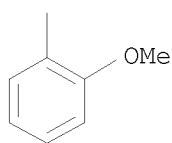
CRN 619295-95-3

CMF C25 H31 N4 O4 S

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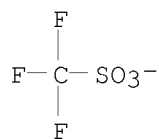
PAGE 2-A



CM 2

CRN 37181-39-8

CMF C F3 O3 S



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REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 16 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2000:314546 CAPLUS

DOCUMENT NUMBER: 132:321801

TITLE: Preparation of 4-[(benzoylamino)methyl]piperidines and analogs as potassium channel inhibitors

INVENTOR(S): Bao, Jianming; Kayser, Frank; Kotliar, Andrew; Parsons, William H.; Rupprecht, Kathleen M.; Claiborne, Christopher F.; Liverton, Nigel; Claremon, David A.; Thompson, Wayne J.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 91 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

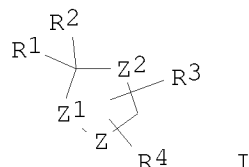
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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WO 2000025786	A1	20000511	WO 1999-US25066	19991026
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6303637	B1	20011016	US 1999-422500	19991021
CA 2348735	A1	20000511	CA 1999-2348735	19991026
CA 2348735	C	20071211		
EP 1126849	A1	20010829	EP 1999-955169	19991026
EP 1126849	B1	20050309		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002528503	T	20020903	JP 2000-579227	19991026
AU 764515	B2	20030821	AU 2000-11338	19991026
AT 290382	T	20050315	AT 1999-955169	19991026
PRIORITY APPLN. INFO.:			US 1998-106292P	P 19981030
			WO 1999-US25066	W 19991026

OTHER SOURCE(S): MARPAT 132:321801

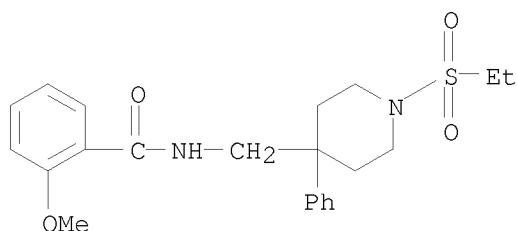
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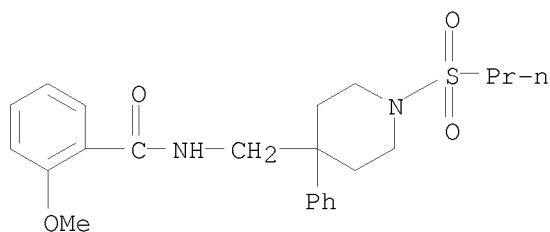
AB Title compds. [I; R1 = CH₂NR₁₀COR₆; R₂, R₆ = (un)substituted Ph; R₃, R₄ = H, halo, alkyl, acyl, etc.; R₁₀ = H, alkyl, acyl, etc.; Z = O, SO₀₋₂, NR₅; R₅ = H, OH, alkyl, acyl, etc.; Z₁, Z₂ = bond, CH₂, CH₂CH₂] were prepared as potassium channel inhibitors (no data). Thus,

4-cyano-1-benzyl-4-phenylpiperidine was reduced and the product N-acylated by 2-(MeO)C6H4COCl to give, after deprotection and Ac2O acylation, 2-(MeO)C6H4CONHCH2Z3Ac (Z3 = 4-phenylpiperidine-4,1-diyl).

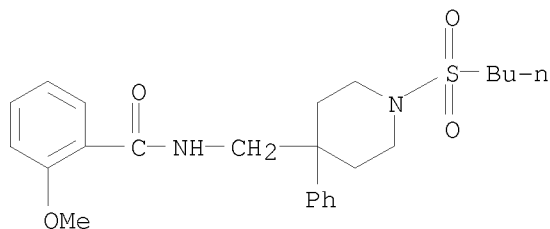
IT 266341-41-7P 266341-42-8P 266341-43-9P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of 4-[(benzoylamino)methyl]piperidines and analogs as potassium channel inhibitors)
 RN 266341-41-7 CAPLUS
 CN Benzamide, N-[[1-(ethylsulfonyl)-4-phenyl-4-piperidinyl]methyl]-2-methoxy-
 (CA INDEX NAME)



RN 266341-42-8 CAPLUS
 CN Benzamide, 2-methoxy-N-[[4-phenyl-1-(propylsulfonyl)-4-piperidinyl]methyl]-
 (CA INDEX NAME)



RN 266341-43-9 CAPLUS
 CN Benzamide, N-[[1-(butylsulfonyl)-4-phenyl-4-piperidinyl]methyl]-2-methoxy-
 (CA INDEX NAME)



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